

chain nodes :

7 8 9 10 11 13 15 17 18

ring nodes :

1 2 3 4 5 6

chain bonds :

2-7 3-17 5-8 7-15 8-9 9-10 10-11 10-13 17-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

2-7 3-17 7-15 10-11 10-13 17-18

exact bonds :

5-8 8-9 9-10

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:OH,N

G2:H,Cb,Cy,Hy,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS8:CLASS9:CLASS10:CLASS11:CLASS13:CLASS15:CLASS17:CLASS18:CLASS

(FILE 'HOME' ENTERED AT 10:56:25 ON 08 FEB 2007)

FILE 'REGISTRY' ENTERED AT 11:04:58 ON 08 FEB 2007

L1 STRUCTURE UPLOADED  
L2 7462 S L1 SSS FULL

FILE 'CAPLUS, USPATFULL' ENTERED AT 11:05:33 ON 08 FEB 2007

L3 853 S L2 AND (HYPERTENSION OR HYPERTENSIVE OR HIGH BLOOD PRESSURE  
L4 510 S L3 AND (HYPERTENSION OR HIGH BLOOD PRESSURE)  
L5 611 S L3 AND (HYPERTENSION OR HIGH BLOOD PRESSURE OR ANTIHYPERTENSI  
L6 586 DUP REM L5 (25 DUPLICATES REMOVED)  
L7 571 S L6 NOT FERULIC ACID  
L8 571 FOCUS L7 1-  
L9 382 S L8 AND PD <= 2001  
L10 382 FOCUS L9 1-

=> s l10 not caffeic acid

L11 378 L10 NOT CAFFEIC ACID

=> s l11 and (hypertension or high blood pressure)

L12 309 L11 AND (HYPERTENSION OR HIGH BLOOD PRESSURE)

=> d ibib abs hitstr 51-100

L26 ANSWER 48 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1976:539727 CAPLUS

DOCUMENT NUMBER: 85:139727

TITLE: Isolation and synthesis of pinoresinol diglucoside, a major antihypertensive principle of Tu-Chung (*Eucommia ulmoides*, Oliver)

AUTHOR(S): Sih, Charles J.; Ravikumar, P. R.; Huang, Fu-Chih; Buckner, Carl; Whitlock, Howard, Jr.

CORPORATE SOURCE: Sch. Pharm., Univ. Wisconsin, Madison, WI, USA

SOURCE: Journal of the American Chemical Society (1976), 98(17), 5412-13

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

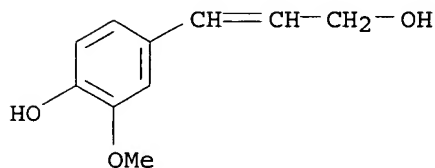
AB The major antihypertensive principle of Tu-Chung bark (*E. ulmoides*) was isolated and shown to be pinoresinol-di- $\beta$ -D-glucoside. Exposure of coniferyl alc. to the chloroperoxidase-containing microorganism, *Caldariomyces fumago*, gave (+)-pinoresinol and (+)-cis-dehydrodiconiferyl alc. The resulting (+)-pinoresinol was reacted with 2 moles of  $\alpha$ -bromoacetoglucose to yield a product whose antihypertensive activity was indistinguishable from the natural product.

IT 458-35-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(dimerization of)

RN 458-35-5 CAPLUS

CN Phenol, 4-(3-hydroxy-1-propenyl)-2-methoxy- (9CI) (CA INDEX NAME)



L26 ANSWER 46 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1978:87653 CAPLUS

DOCUMENT NUMBER: 88:87653

TITLE: Glycosides of 2,6-bis(hydroxyphenyl)-3,7-dioxabicyclo [3.3.0] octane

INVENTOR(S): Sih, Charles John

PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA

SOURCE: Ger. Offen., 25 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

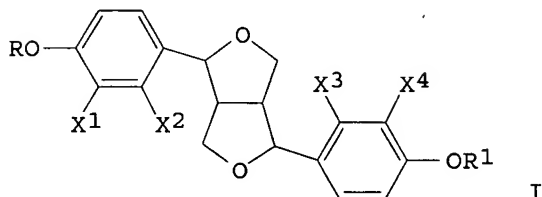
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2722911	A1	19771201	DE 1977-2722911	19770520 <--
US 4103006	A	19780725	US 1976-688275	19760520 <--
CA 1085826	A1	19800916	CA 1977-278165	19770511 <--
GB 1575439	A	19800924	GB 1977-21229	19770519 <--
FR 2351992	A1	19771216	FR 1977-15485	19770520 <--
FR 2351992	B1	19800411		
JP 53007698	A	19780124	JP 1977-57799	19770520 <--
PRIORITY APPLN. INFO.:			US 1976-688275	A 19760520

GI



AB Compds. of structure I, where X1, X2, X3, and X4 are H, OH, Cl, NH2, lower alkyl, or lower alkoxy groups and R and R1 are mono- or disaccharides, are prepared by chemical synthesis, isolation from the tree *Eucommia ulmoides*, or by fermentation with *Caldariomyces fumago*. These compds. have antihypertensive properties. Thus, *C. fumago* was inoculated into flasks containing 500 mL medium composed of soybean meal 5, dextrose 20, NaCl 5, K2HPO4 5, and yeast extract 5 g/L. After 48 h at 25° with shaking, 2.5 g coniferyl alc. [458-35-5] dissolved in 4 mL DMF were added to each flask. After 16 addnl. h, the supernatant from 3 flasks were combined, acidified to pH 2.5, and extracted with EtOAc. The extract was evaporated and the oily residue

chromatographed on silica gel to yield 810 mg (±)-pinoresinol [4263-88-1] and 798 mg cis-dehydrodiconiferyl alc. [60536-58-5]. Pinoresinol diglucoside [63902-38-5] was prepared in a 34% yield by reaction of pinoresinol with Ag2O and aceto-α-bromoglucose [572-09-8].

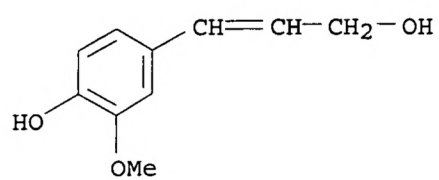
IT 458-35-5

RL: BIOL (Biological study)  
(pinoresinol manufacture from, with *Caldariomyces fumago*)

RN 458-35-5 CAPLUS

CN Phenol, 4-(3-hydroxy-1-propenyl)-2-methoxy- (9CI) (CA INDEX NAME)





L26 ANSWER 47 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1977:137539 CAPLUS

DOCUMENT NUMBER: 86:137539

TITLE: Increased vesicular transfer of horseradish peroxidase across cerebral endothelium, evoked by acute hypertension

AUTHOR(S): Westergaard, E.; Van Deurs, B.; Broendsted, H. E.

CORPORATE SOURCE: Anat. Dep. C, Univ. Copenhagen, Copenhagen, Den.

SOURCE: Acta Neuropathologica (1977), 37(2), 141-52

CODEN: ANPTAL; ISSN: 0001-6322

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The permeability to i.v. injected horseradish peroxidase (HRP) was increased across the cerebral arterioles, capillaries and venules in acute induced hypertension. The tight junctions between endothelial cells were intact and prevented intercellular movement of peroxidase. Many HRP-labeled vesicles within the endothelial cells or connected with the luminal or abluminal surface, occurred in segments of the microvasculature. Otherwise the endothelium was unchanged. Thus, acute hypertension increases the vesicular transport of HRP across the endothelium of cerebral arterioles, venules, and capillaries that normally occurs to a small extent only after i.v. injection of the tracer.

IT 9003-99-0

RL: BIOL (Biological study)

(cerebral endothelium permeability to, in hypertension)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

L26 ANSWER 34 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:514068 CAPLUS

DOCUMENT NUMBER: 122:281796

TITLE: Antihypertensive activity of phenolics from the flower of *Lonicera japonica*

AUTHOR(S): Cheng, Juei-Tang; Lee, Yung-Yung; Hsu, Feng-Lin; Chang, Wen; Niu, Chiang-Shan

CORPORATE SOURCE: College of Medicine, National Cheng Kung University, Tainan, 70101, Taiwan

SOURCE: Chinese Pharmaceutical Journal (Taipei, Taiwan) (1994), 46(6), 575-82

CODEN: CPHJEP; ISSN: 1016-1015

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The effects on blood pressure of phenolic compds. obtained from dried flower of *Lonicera japonica* Thunb. (Caprifoliaceae) were investigated in spontaneously hypertensive rats. Protocatechuic acid and Me caffeate were identified as the major active substances. Chlorogenic acid and five caffeoylquinic acids at higher doses possessed the delay hypotensive effect. Also, at the highest ED, all of these compds. except the flavonoids rutin and luteolin produced hypotension in normotensive rats.

IT 327-97-9, Chlorogenic acid 2450-53-5 14534-61-3

29708-87-0, Methyl chlorogenate 141545-93-9

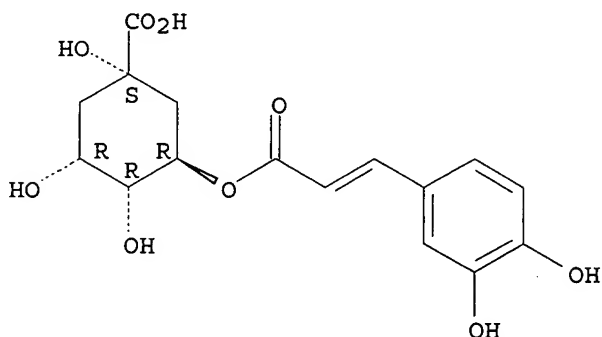
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(antihypertensive activity of phenolics from flower of *Lonicera japonica*)

RN 327-97-9 CAPLUS

CN Cyclohexanecarboxylic acid, 3-[[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]oxy]-1,4,5-trihydroxy-, (1S,3R,4R,5R)-, (9CI) (CA INDEX NAME)

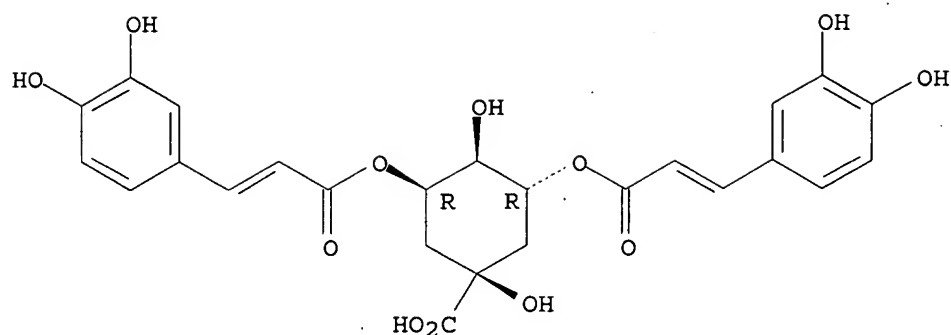
Absolute stereochemistry.  
Double bond geometry unknown.



RN 2450-53-5 CAPLUS

CN Cyclohexanecarboxylic acid, 3,5-bis[[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]oxy]-1,4-dihydroxy-, (1 $\alpha$ ,3R,4 $\alpha$ ,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

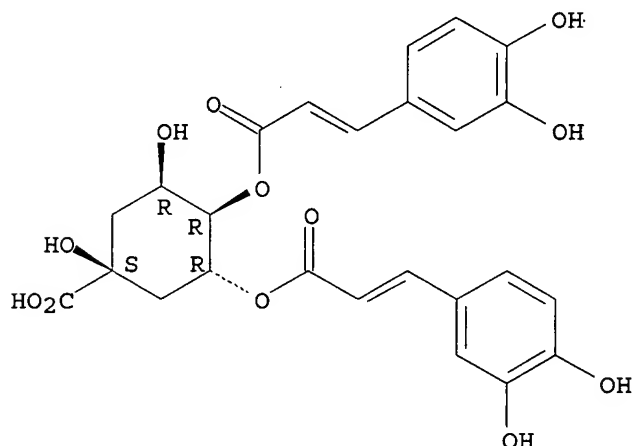


RN 14534-61-3 CAPLUS

CN Cyclohexanecarboxylic acid, 3,4-bis[[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]oxy]-1,5-dihydroxy-, (1S,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

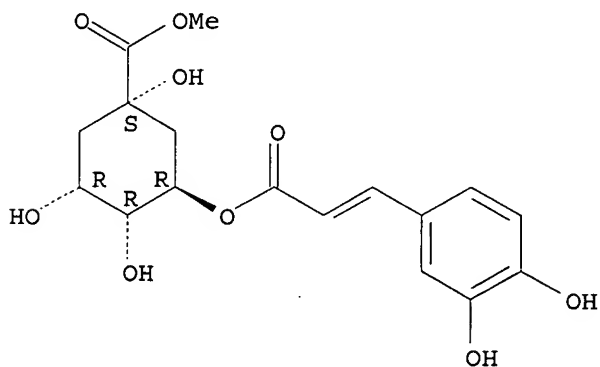


RN 29708-87-0 CAPLUS

CN Cyclohexanecarboxylic acid, 3-[[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]oxy]-1,4,5-trihydroxy-, methyl ester, (1S,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

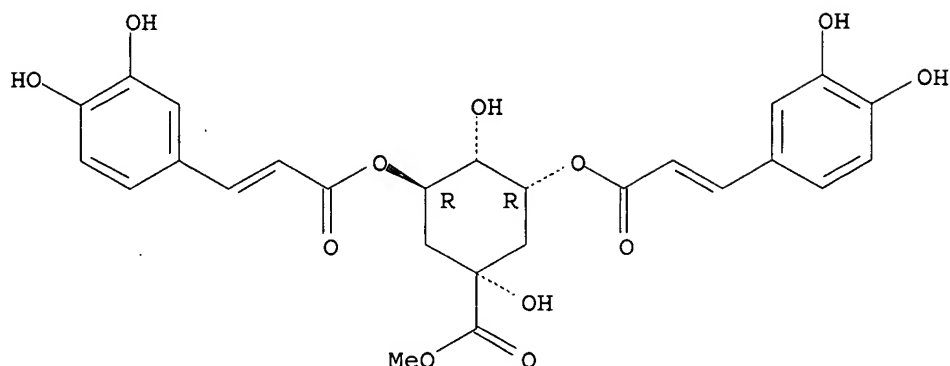


RN 141545-93-9 CAPLUS

CN Cyclohexanecarboxylic acid, 3,5-bis[[3-(3,4-dihydroxyphenyl)-1-oxo-2-

propenyl]oxy]-1,4-dihydroxy-, methyl ester, (1 $\alpha$ ,3R,4 $\alpha$ ,5R)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.



L26 ANSWER 35 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:698944 CAPLUS

DOCUMENT NUMBER: 121:298944

TITLE: Immune complex glomerulonephritis is induced in rats immunized with heterologous myeloperoxidase

AUTHOR(S): Yang, J. J.; Jennette, J. C.; Falk, R. J.

CORPORATE SOURCE: Department Medicine, University of North Carolina, Chapel Hill, NC, 27599-7155, USA

SOURCE: Clinical and Experimental Immunology (1994), 97(3), 466-73

CODEN: CEXIAL; ISSN: 0009-9104

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Anti-neutrophil cytoplasmic antibodies (ANCA), including anti-myeloperoxidase (MPO) antibodies, are associated with pauci-immune necrotizing small vessel vasculitis or glomerulonephritis. To substantiate a pathogenic role for ANCA, an animal model of pauci-immune ANCA-induced glomerulonephritis or vasculitis is required. Brouwer et al. reported pauci-immune glomerulonephritis in rats immunized with human MPO followed by perfusion of kidneys with lysosomal enzyme extract combined with H<sub>2</sub>O<sub>2</sub>, and suggested that this could serve as a model of ANCA-induced disease. These studies were repeated here in spontaneously hypertensive rats (SHR) and Brown Norway rats (BNR). Rats were immunized with human MPO. When circulating anti-MPO antibodies were detectable by indirect immunofluorescence microscopy and ELISA, blood pressure was measured, then perfusion of the left kidney of each rat was done via the renal artery in a closed, blood-free circuit with either MPO + H<sub>2</sub>O<sub>2</sub>, MPO, H<sub>2</sub>O<sub>2</sub> alone or MPO + H<sub>2</sub>O<sub>2</sub> + neutral protease. Rats were killed on day 4 or day 10 after perfusion, and specimens were examined by light and immunofluorescence microscopy. Pathol. lesions and deposits of IgG, C3, and MPO were found in immunized rats perfused with MPO + H<sub>2</sub>O<sub>2</sub> with or without neutral protease, or MPO alone, in both rat strains and on both day 4 and day 10. The degree of histol. injury was proportional in intensity to the amount of IgG immune deposits. Spontaneously hypertensive rats sustained more damage and higher blood pressure than Brown Norway rats. No lesion was observed in immunized rats perfused with H<sub>2</sub>O<sub>2</sub> or in the non-perfused right kidneys. Some of the non-immunized rats perfused with MPO + H<sub>2</sub>O<sub>2</sub> developed pathol. lesions. In conclusion, these rat models are examples of immune complex-mediated glomerulonephritis, and therefore are not similar to human ANCA-associated disease.

IT 9003-99-0, Myeloperoxidase

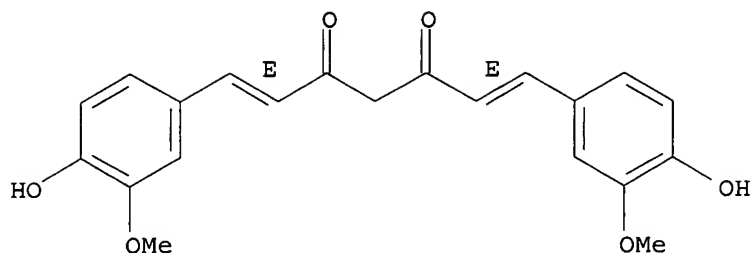
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)

(immune complex glomerulonephritis induction in hypertensive rats  
immunized with heterologous myeloperoxidase)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2843 REFERENCES IN FILE CA (1907 TO DATE)  
 132 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 2868 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
 21 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> d his

(FILE 'HOME' ENTERED AT 10:56:25 ON 08 FEB 2007)

FILE 'REGISTRY' ENTERED AT 11:04:58 ON 08 FEB 2007

L1 STRUCTURE UPLOADED

L2 7462 S L1 SSS FULL

FILE 'CAPLUS, USPATFULL' ENTERED AT 11:05:33 ON 08 FEB 2007

L3 853 S L2 AND (HYPERTENSION OR HYPERTENSIVE OR HIGH BLOOD PRESSURE

L4 510 S L3 AND (HYPERTENSION OR HIGH BLOOD PRESSURE)

L5 611 S L3 AND (HYPERTENSION OR HIGH BLOOD PRESSURE OR ANTIHYPERTENSI

L6 586 DUP REM L5 (25 DUPLICATES REMOVED)

L7 571 S L6 NOT FERULIC ACID

L8 571 FOCUS L7 1-

L9 382 S L8 AND PD <= 2001

L10 382 FOCUS L9 1-

L11 378 S L10 NOT CAFFEIC ACID

L12 309 S L11 AND (HYPERTENSION OR HIGH BLOOD PRESSURE)

FILE 'REGISTRY' ENTERED AT 11:22:29 ON 08 FEB 2007

L13 45 S 3 CAFFEYOYLQUINIC ACID OR NEOCHLORGENIC ACID 4 CAFFEYOYLQUINIC

L14 65 S L13 OR DICAFFEYOYL QUINIC ACID OR FERULOYLQUINIC ACID OR FERUL

L15 9 S DIMETHYL CAFFEATE ETHER OR PHENYLETHYL CAFFEATE OR CAFFEYOYL A

L16 183 S DICAFFEYOYLQUINIC ACID OR CICHORIC ACID OR CONIFERYL ALCOHOL O

L17 518066 S 14 OR L15 OR L16

L18 227 S L14 OR L15 OR L16

L19 66 S CURCUMIN

=> l18 not curcumin

L18 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.

For a list of commands available to you in the current file, enter

"HELP COMMANDS" at an arrow prompt (=>).

=> s l18 not curcumin

66 CURCUMIN

L20 227 L18 NOT CURCUMIN

=> s l16 not curcumin

66 CURCUMIN

L21 183 L16 NOT CURCUMIN

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	321.15	1302.18
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-92.04

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 FILE LAST UPDATED: 7 Feb 2007 (20070207/ED)

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<http://www.cas.org/infopolicy.html>

=> s l18

L22 62987 L18

=> s l22 and (hypertension or high blood pressure or antihypertensives )

83810 HYPERTENSION

104 HYPERTENSIONS

83830 HYPERTENSION

(HYPERTENSION OR HYPERTENSIONS)

3972522 HIGH

591 HIGHS

3972854 HIGH

(HIGH OR HIGHS)

1287475 BLOOD

1248 BLOODS

1287616 BLOOD

(BLOOD OR BLOODS)

1226951 PRESSURE

176764 PRESSURES

1293696 PRESSURE

(PRESSURE OR PRESSURES)

2360 HIGH BLOOD PRESSURE

(HIGH(W) BLOOD(W) PRESSURE)

30815 ANTIHYPERTENSIVES

L23 159 L22 AND (HYPERTENSION OR HIGH BLOOD PRESSURE OR ANTIHYPERTENSIVE S )

=> focus

PROCESSING COMPLETED FOR L23

L24 159 FOCUS L23 1-

=> s l24 and pd <=2001

L25 159 S L24



21851679 PD <=2001

(PD<=20019999)

L26 57 L25 AND PD <=2001

=> d ibib abs 1-57 hitstr

L26 ANSWER 1 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:90556 CAPLUS

DOCUMENT NUMBER: 136:131255

TITLE: Methods for early diagnosis of kidney disease and treatment by drug intervention using lysosome activating compounds

INVENTOR(S): Comper, Wayne D.

PATENT ASSIGNEE(S): Austria

SOURCE: U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of U.S. Ser. No. 415,217.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002012906	A1	20020131	US 2001-893346	20010628
US 2002110799	A1	20020815	US 1999-415217	19991012
US 6447989	B2	20020910		
WO 2000037944	A1	20000629	WO 1999-IB2029	19991220 <--
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
ZA 2001005058	A	20020620	ZA 2001-5058	20010620
US 2004106155	A1	20040603	US 2003-721351	20031126
JP 2006038877	A	20060209	JP 2005-270160	20050916
PRIORITY APPLN. INFO.:			AU 1998-7843	A 19981221
			US 1999-415217	A2 19991012
			WO 1999-IB2029	W 19991220
			JP 2000-589950	A3 19991220
			US 2001-893346	A1 20010628

AB A method is disclosed for diagnosing early stage of a disease in which an intact protein found in urine is an indicator of the disease, followed by early drug intervention to prevent and treat the disease are also disclosed. The drug treatment involves the use of a lysosome activating compound. Urine samples of normal and diabetic patients were analyzed by size-exclusion chromatog. and HPLC.

IT 9003-99-0, Peroxidase

RL: ANT (Analyte); DGN (Diagnostic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)

(horseradish; methods for early diagnosis of kidney disease and treatment by drug intervention using lysosome activating compds.)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 2 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:903829 CAPLUS

DOCUMENT NUMBER: 136:15240

TITLE: Method for treating hyperglycemia and conditions

associated with damage caused by reducing sugars using aminoguanidine

INVENTOR(S): Wuerth, Jean-Paul; Cartwright, Kenneth

PATENT ASSIGNEE(S): Alteon, Inc., USA

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001093854	A1	20011213	WO 2001-US40874	20010607 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2003045582	A1	20030306	US 2002-71851	20020208
PRIORITY APPLN. INFO.:			US 2000-210114P	P 20000607
			US 2001-876874	B1 20010607
AB Provided, among other things, are methods for treating mammals, such as humans, with diabetes mellitus to delay the onset of end stage renal disease, relating to administering an effective amount of a pharmaceutical composition, wherein said composition comprise, a compound selected from the group consisting of aminoguanidine, its pharmaceutically acceptable salts, and mixts. thereof. Methods are disclosed for the gradual administration of the compound for treatment of diabetes or other indications associated with damage caused by reducing sugars, for the use of a periodic screening test for crescentic glomerulonephritis, and for treating humans with indicia of overt diabetic nephropathy.				
IT 9003-99-0, Myeloperoxidase RL: BSU (Biological study, unclassified); BIOL (Biological study) (anti-neutrophil cytoplasmic antibodies of the myeloperoxidase-type; aminoguanidine treatment to delay the onset of end stage renal disease in diabetic subjects screened for crescentic glomerulonephritis by measuring MPO-ANCA levels)				
RN 9003-99-0 CAPLUS CN Peroxidase (9CI) (CA INDEX NAME)				

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 3 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:902404 CAPLUS

DOCUMENT NUMBER: 136:163044

TITLE: Interactions of nitric oxide-derived reactive nitrogen species with peroxidases and lipooxygenases

AUTHOR(S): Coffey, Marcus J.; Coles, Barbara; O'Donnell, Valerie B.

CORPORATE SOURCE: Wales Heart Research Institute, University of Wales College of Medicine, Cardiff, CF14 4XN, UK

SOURCE: Free Radical Research (2001), 35(5), 447-464  
CODEN: FRARER; ISSN: 1071-5762

PUBLISHER: Harwood Academic Publishers

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. Nitric oxide (NO) is a major free radical modulator of smooth muscle tone, which under basal conditions acts to preserve vascular homeostasis through its anti-inflammatory properties. The biochem. of NO, in particular, its rapid conversion in vivo into secondary reactive nitrogen species (RNS), its chemical nature as a free radical and its high diffusibility and hydrophobicity dictate that this species will interact with numerous biomols. and enzymes. In this review, the authors consider the interactions of a number of enzymes found in the vasculature with NO and NO-derived RNS. All these enzymes are either homeostatic or promote the development of atherosclerosis and hypertension. Therefore their interactions with NO and NO-derived RNS will be of central importance in the initiation and progression of vascular disease. In some examples, (e.g., lipooxygenase, LOX), such interactions provide catalytic "sinks" for NO, but for others, in particular peroxidases and prostaglandin H synthase (PGHS), reactions with NO may be detrimental. Nitric oxide and NO-derived RNS directly modulate the activity of vascular peroxidases and LOXs through a combination of effects, including transcriptional regulation, altering substrate availability, and direct reaction with enzyme turnover intermediates. Therefore, these interactions will have two major consequences: (i) depletion of NO levels available to cause vasorelaxation and prevent leukocyte/platelet adhesion and (ii) modulation of activity of the target enzymes, thereby altering the generation of bioactive signaling mols. involved in maintenance of vascular homeostasis, including prostaglandins and leukotrienes.

IT 9003-99-0, Peroxidase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(interactions of nitric oxide-derived reactive nitrogen species with peroxidases and lipooxygenases)

RN 9003-99-0 CAPLUS  
CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 174 THERE ARE 174 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L26 ANSWER 4 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:826669 CAPLUS

DOCUMENT NUMBER: 137:57317

TITLE: Effect of intravenous taurine on endotoxin-induced acute lung injury in sheep

AUTHOR(S): Egan, Bridget M.; Abdih, Hazem; Kelly, Cathal J.; Condron, Claire; Bouchier-Hayes, David J.

CORPORATE SOURCE: Department of Surgery, Beaumont Hospital, Dublin, Ire.

SOURCE: European Journal of Surgery (2001), 167(8), 575-580

CODEN: EUJSEH; ISSN: 1102-4151

PUBLISHER: Taylor & Francis Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Objective: To find out if pretreatment with taurine would reduce the severity of endotoxin-induced acute lung injury in a large animal model. Design: Randomized controlled study under license from the Department of Health. Setting: Department of Surgical Research, Ireland. Animals: 15 male Suffolk sheep. Interventions: Vascular catheters were placed in the femoral artery and vein and a Swan-Ganz catheter in the external jugular vein under general anesthetic. Animals were randomized into 3 groups: control with measurements taken at baseline and half hourly up to 90 min; endotoxin, given Escherichia coli endotoxin i.v. after baseline measurements and taurine given 300 mg/kg 1 h before endotoxin was given. Main outcome measures: Mean systemic arterial pressure, mean pulmonary arterial pressure, arterial oxygen tension (pO2), pulmonary myeloperoxidase activity, and neutrophil respiratory burst activity. Results: Endotoxin induced a severe lung injury characterized by a

decrease in mean systemic blood pressure and an increase in pulmonary artery pressure, hypoxia, and an increase in pulmonary myeloperoxidase activity. Pretreatment with i.v. taurine significantly reduced these hemodynamic changes. It reduced pulmonary myeloperoxidase activity and peripheral neutropenia and increased neutrophil respiratory burst activity. Conclusions: This data suggest that taurine may have a therapeutic role in preventing the lung injury seen in endotoxemia.

IT 9003-99-0, Myeloperoxidase  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (effect of i.v. taurine on pulmonary myeloperoxidase activity after  
 endotoxin-induced acute lung injury in sheep)  
 RN 9003-99-0 CAPLUS  
 CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 5 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:816459 CAPLUS  
 DOCUMENT NUMBER: 135:339302  
 TITLE: Methods and compositions for enhancing cellular  
 function through protection of tissue components  
 INVENTOR(S): Frey, William H., II; Fawcett, John Randall; Thorne,  
 Robert Gary; Chen, Xueqing  
 PATENT ASSIGNEE(S): Healthpartners Research Foundation, USA  
 SOURCE: PCT Int. Appl., 77 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001082932	A2	20011108	WO 2001-US13931	20010430 <--
WO 2001082932	A3	20020718		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2002028786	A1	20020307	US 2001-844450	20010427
US 7084126	B2	20060801		
CA 2429162	A1	20011108	CA 2001-2429162	20010430 <--
EP 1278525	A2	20030129	EP 2001-930957	20010430
EP 1278525	B1	20061102		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
AT 344040	T	20061115	AT 2001-930957	20010430
US 2005272642	A1	20051208	US 2005-191901	20050728
US 2006009413	A1	20060112	US 2005-220115	20050906
US 2006009414	A1	20060112	US 2005-220116	20050906
US 2006014716	A1	20060119	US 2005-220223	20050906
US 2006030542	A1	20060209	US 2005-220222	20050906
PRIORITY APPLN. INFO.:			US 2000-200843P	P 20000501
			US 2000-230263P	P 20000906
			US 2000-233025P	P 20000915
			US 2001-844450	A3 20010427
			WO 2001-US13931	W 20010430

OTHER SOURCE(S): MARPAT 135:339302

AB Methods and compns. for enhancing cellular function through protection of tissue components, such as receptors, proteins, lipids, nucleic acids, carbohydrates, hormones, vitamins, and cofactors, by administering pyrophosphate analogs or related compds. Preferably, the invention provides a method for protecting a muscarinic acetylcholine receptor (mAChR) an/or increasing the efficacy of and agent the directly or indirectly affects a mAChR in a subject in need thereof.

IT 9003-99-0, Peroxidase

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methods and compns. for enhancing cellular function through protection of tissue components such as muscarinic receptors by administering pyrophosphate analogs and combination with other agents)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 6 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:338762 CAPLUS

DOCUMENT NUMBER: 134:362292

TITLE: Methods of determining individual hypersensitivity to a pharmaceutical agent from gene expression profile

INVENTOR(S): Farr, Spencer

PATENT ASSIGNEE(S): Phase-1 Molecular Toxicology, USA

SOURCE: PCT Int. Appl., 222 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2001032928	A2	20010510	WO 2000-US30474	20001103 <--
WO 2001032928	A3	20020725		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 1999-165398P P 19991105

US 2000-196571P P 20000411

AB The invention discloses methods, gene databases, gene arrays, protein arrays, and devices that may be used to determine the hypersensitivity of individuals to a given agent, such as drug or other chemical, in order to prevent toxic side effects. In one embodiment, methods of identifying hypersensitivity in a subject by obtaining a gene expression profile of multiple genes associated with hypersensitivity of the subject suspected to be hypersensitive, and identifying in the gene expression profile of the subject a pattern of gene expression of the genes associated with hypersensitivity are disclosed. The gene expression profile of the subject may be compared with the gene expression profile of a normal individual and a hypersensitive individual. The gene expression profile of the subject that is obtained may comprise a profile of levels of mRNA or cDNA. The gene expression profile may be obtained by using an array of nucleic acid probes for the plurality of genes associated with hypersensitivity. The expression of the genes predetd. to be associated with

hypersensitivity is directly related to prevention or repair of toxic damage at the tissue, organ or system level. Gene databases arrays and apparatus useful for identifying hypersensitivity in a subject are also disclosed.

IT 9003-99-0, Myeloperoxidase  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(methods of determining individual hypersensitivity to a pharmaceutical agent from gene expression profile)  
RN 9003-99-0 CAPLUS  
CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 7 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2001:247215 CAPLUS  
DOCUMENT NUMBER: 134:276498  
TITLE: Engineering of replication selective adenoviruses with tumor-associated antigen promoter for use in cancer therapy  
INVENTOR(S): Molnar-kimber, Katherine; Toyoizumi, Takane  
PATENT ASSIGNEE(S): The Trustees of the University of Pennsylvania, USA  
SOURCE: PCT Int. Appl., 56 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023004	A1	20010405	WO 2000-US27212	20001002 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 1999-157224P P 19990930

AB The invention provides a replication selective adenovirus (Ad) mutant with improved selectively for tumor cells expressing the tumor associated antigen in cancers and malignancies, as well as in proliferative cells, characterizing diseases, such as restenosis, intimal proliferative disease and pulmonary hypertension. The selected Ad vectors are driven by promoters of the tumor associated antigens, or RNA transcripts or genes therefor, substituting for the activity of at least adenovirus E1A promoter, which has been deactivated or diminished. Also provided is the use of the Ad vector to deliver therapeutic compns. to patients, as well as a method for treating cancers, such as CEA pos. cancers, or proliferative cell diseases in a patient by administering to the patient an effective amount of the Ad vector, which may also express a therapeutic gene or peptide, and treatment may also be combined with radiation, chemotherapy or immunomodulatory agents. The Ad is designed to replicate within the tumor cell, thereby spreading throughout the tumor nodule. This permits the delivery of a much higher dose of the heterologous therapeutic protein than previously possible, and the virus achieves a direct, oncolytic effect on the tumor.

IT 9002-10-2, Tyrosinase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(promoter, specific to tumor expressing; engineering of replication

selective adenoviruses with tumor-associated antigen promoter for use in cancer therapy)

RN 9002-10-2 CAPLUS

CN Oxygenase, monophenol mono- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 8 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:44267 CAPLUS

DOCUMENT NUMBER: 134:290172

TITLE: Effect of captopril on mushroom tyrosinase activity in vitro

AUTHOR(S): Espin, J. C.; Wichers, H. J.

CORPORATE SOURCE: Laboratorio de Fitoquímica, Departamento de Ciencia y Tecnología de Alimentos, CEBAS-CSIC, Murcia, 30080, Spain

SOURCE: Biochimica et Biophysica Acta, Protein Structure and Molecular Enzymology (2001), 1544(1-2), 289-300

CODEN: BBAEDZ; ISSN: 0167-4838

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The study presented here demonstrates that the antihypertensive drug captopril ([2S]-N-[3-mercaptopropionyl]-L-proline) is an irreversible non-competitive inhibitor and an irreversible competitive inhibitor of the monophenolase and diphenolase activities of mushroom tyrosinase when L-tyrosine and L-DOPA were assayed spectrophotometrically in vitro, resp. Captopril was rendered unstable by tyrosinase catalysis because of the interaction between the enzymic-generated product (o-quinone) and captopril to give rise to a colorless conjugate. Therefore, captopril was able to prevent melanin formation. The spectrophotometric recordings of the inhibition of tyrosinase by captopril were characterized by the presence of a lag period prior to the attainment of an inhibited steady state rate. The lag period corresponded to the time in which captopril was reacting with the enzymically generated o-quinone. Increasing captopril concns. provoked longer lag periods as well as a concomitant decrease in the tyrosinase activity. Both lag period and steady state rate were dependent of captopril, substrate and tyrosinase concns. The inhibition of both monophenolase and diphenolase activities of tyrosinase by captopril showed pos. kinetic co-operativity which arose from the protection of both substrate and o-quinone against inhibition by captopril. Inhibition expts. carried out using a latent mushroom tyrosinase demonstrated that captopril only bound the enzyme at its active site. The presence of Cu ions only partially prevented but not reverted mushroom tyrosinase inhibition. This could be due to the formation of both Cu-captopril complex and disulfide interchange reactions between captopril and cysteine rich domains at the active site of the enzyme.

IT 9002-10-2

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(effect of captopril on mushroom tyrosinase activity in vitro)

RN 9002-10-2 CAPLUS

CN Oxygenase, monophenol mono- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 9 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:573694 CAPLUS  
 DOCUMENT NUMBER: 133:182988  
 TITLE: Organosilicate sol-gel matrixes for drug delivery  
 INVENTOR(S): Babich, John W.; Bonavia, Grant; Zubieta, Jon  
 PATENT ASSIGNEE(S): Biostream, Inc., USA  
 SOURCE: PCT Int. Appl., 133 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047236	A1	20000817	WO 2000-US3754	20000214 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2328614	A1	20000817	CA 2000-2328614	20000214 <--
US 6395299	B1	20020528	US 2000-503438	20000214
JP 2002536422	T	20021029	JP 2000-598187	20000214
AU 772153	B2	20040408	AU 2000-27599	20000214
US 2003082238	A1	20030501	US 2002-77475	20020215
US 2004241205	A1	20041202	US 2004-838423	20040504
US 7052913	B2	20060530		

PRIORITY APPLN. INFO.:  
 US 1999-119828P P 19990212  
 US 2000-503438 A1 20000214  
 WO 2000-US3754 W 20000214  
 US 2002-77475 A1 20020215

AB Biocompatible matrixes such as sol-gels encapsulating a reaction center may be administered to a subject for conversion of prodrugs into biol. active agents. In certain embodiments, the biocompatible matrixes of the present invention are sol-gels. In one embodiment, the enzyme L-amino acid decarboxylase is encapsulated and implanted in the brain to convert L-dopa to dopamine for treatment of Parkinson's disease. The silica sol was prepared by the addition of substituted trimethoxysilanes, tetra-Me orthosilicate (TMOS) and 4 mM HCl solution Total desired volume of the sol was determined by the number of matrixes to be prepared Entrapment of

penicillinase in the matrix was performed by using pH 6.5 phosphate buffer. The penicillinase activity was determined by using 3 mM solution of penicillin G in buffer.

IT 9002-10-2, Tyrosinase  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (organosilicate sol-gel matrixes for drug delivery)

RN 9002-10-2 CAPLUS  
 CN Oxygenase, monophenol mono- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 10 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:534984 CAPLUS  
 DOCUMENT NUMBER: 133:144942  
 TITLE: Melanogenesis-stimulating mono- and bicyclic monoterpane diols as dermatological compounds,



preparation, and use  
 INVENTOR(S): Ren, Wu Yun; Brown, David A.  
 PATENT ASSIGNEE(S): Codon Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044368	A1	20000803	WO 1999-US11841	19990528 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6214888	B1	20010410	US 1998-86547	19980528 <--
AU 9942155	A1	20000818	AU 1999-42155	19990528 <--
PRIORITY APPLN. INFO.:				
			US 1998-86547	A 19980528
			US 1996-26577P	P 19960918
			US 1997-35947P	P 19970121
			US 1997-36863P	P 19970204
			US 1997-48597P	P 19970604
			WO 1997-US16642	A2 19970918
			WO 1998-US5346	A1 19980318
			WO 1999-US11841	W 19990528

OTHER SOURCE(S): MARPAT 133:144942  
 AB Monocyclic and bicyclic monoterpene diols are provided that stimulate melanogenesis in mammalian skin, hair, wool or fur, and are useful for treating or preventing various skin and proliferative disorders, neurodegenerative diseases, and diseases regulated by the nitric oxide/cyclic GMP/protein kinase G pathway.  
 IT 9002-10-2, Tyrosinase  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (melanogenesis-stimulating mono- and bicyclic monoterpene diols as dermatol. compds., preparation, and use)  
 RN 9002-10-2 CAPLUS  
 CN Oxygenase, monophenol mono- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 11 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:442020 CAPLUS

DOCUMENT NUMBER: 133:57150

TITLE: The diagnosis and monitoring of treatment for the early stages of renal disease and/or renal complications of disease through the determination of proteinuria using immunological or non-immunological techniques

INVENTOR(S): Comper, Wayne D.  
 PATENT ASSIGNEE(S): Monash University, Australia  
 SOURCE: PCT Int. Appl., 50 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037944	A1	20000629	WO 1999-IB2029	19991220 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002110799	A1	20020815	US 1999-415217	19991012
US 6447989	B2	20020910		
CA 2356174	A1	20000629	CA 1999-2356174	19991220 <--
BR 9916407	A	20010925	BR 1999-16407	19991220 <--
EP 1141728	A1	20011010	EP 1999-959616	19991220 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002533680	T	20021008	JP 2000-589950	19991220
ZA 2001005058	A	20020620	ZA 2001-5058	20010620
US 2002012906	A1	20020131	US 2001-893346	20010628
US 2004106155	A1	20040603	US 2003-721351	20031126
JP 2006038877	A	20060209	JP 2005-270160	20050916
PRIORITY APPLN. INFO.:				
			AU 1998-7843	A 19981221
			US 1999-415217	A 19991012
			JP 2000-589950	A3 19991220
			WO 1999-IB2029	W 19991220
			US 2001-893346	A1 20010628
AB	A method is disclosed for diagnosing early stage of a disease in which an intact protein found in urine is an indicator of the disease. The method includes assaying urine sample to detect the presence of modified protein using either immunol. or non-immunol. technique. Methods for preventing and treating the disease are also disclosed.			
IT	9003-99-0, Peroxidase RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses) (horseradish; diagnosis and monitoring of treatment for early stages of renal disease and/or renal complications of disease through determination of proteinuria using immunol. or non-immunol. techniques)			
RN	9003-99-0 CAPLUS			
CN	Peroxidase (9CI) (CA INDEX NAME)			

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 12 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:277810 CAPLUS

DOCUMENT NUMBER: 132:326056

TITLE: Systems for oral delivery

INVENTOR(S): Russell-Jones, Gregory John

PATENT ASSIGNEE(S): Biotech Australia Pty. Ltd., Australia

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000022909	A2	20000427	WO 1999-IB1872	19991018 <--

WO 2000022909 A3 20001123

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,  
CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,  
IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,  
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,  
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,  
BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2000010712 A 20000508 AU 2000-10712 19991018 <--

PRIORITY APPLN. INFO.: US 1998-104827P P 19981019

WO 1999-IB1872 W 19991018

AB A pharmaceutical and a biol. active substance, for oral administration, can be "coated" or "encapsulated" with a carboxylic acid, such that the substance is protected from proteolysis in the stomach and is taken up from the intestine. It is thought that the carboxylic acids coat and protect the active agent from the proteolytic environment of the stomach, allowing the agent to pass safely through the stomach and to be absorbed in the small intestines. The carboxylic acid agent complex can be adopted for oral, nasal, buccal, and transdermal delivery of moderately soluble and even insol. bioactive agents.

IT 9003-99-0, Myeloperoxidase

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(carboxylic acids for encapsulating or enteric coating biol. active agents for delivery to intestine)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 13 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:13671 CAPLUS

DOCUMENT NUMBER: 133:15695

TITLE: Inhibition of polyadenosine diphosphate-ribose  
synthetase attenuates dysfunction of pulmonary  
vasorelaxation in acute lung injury

AUTHOR(S): Pulido, Edward J.; Bensard, Denis D.; Shames, Brian  
D.; Selzman, Craig H.; McIntyre, Robert C., Jr.

CORPORATE SOURCE: Department of Surgery, University of Colorado Health  
Sciences Center, Denver, CO, USA

SOURCE: Surgical Forum (1998), 49, 14-15

CODEN: SUFOAX; ISSN: 0071-8041

PUBLISHER: American College of Surgeons

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Acute respiratory distress syndrome (ARDS) is characterized by hypoxemia, decreased compliance, and pulmonary hypertension. The main purpose of this study was to determine the effect of polyadenosine diphosphate-ribose synthetase (PARS) inhibition by endotoxin (ETX) on pulmonary vasorelaxation and to determine the effect of PARS inhibition on neutrophil accumulation and edema in the lung. ETX-induced acute lung injury results in polymorphonuclear neutrophil-mediated dysfunction of pulmonary vasorelaxation. these data support the hypothesis that ETX-induced vascular dysfunction is mediated by cellular energy depletion as a result of excessive PARS activity.

IT 9003-99-0, Myeloperoxidase

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(endotoxin-induced polyadenosine diphosphate-ribose synthetase inhibition and its relation to acute respiratory distress syndrome in human)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 14 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:600732 CAPLUS

DOCUMENT NUMBER: 132:117292

TITLE: The therapeutic effects of nitric oxide synthase  
inhibitors, sulfasalazine or the proteasome inhibitor  
on the chronic intestinal and colonic inflammation  
developed in HLA-B27 transgenic rats

AUTHOR(S): Aiko, Satoshi; Grisham, Matthew B.

CORPORATE SOURCE: Department of Surgery II, National Defense Medical  
College, Louisiana State University Medical Center,  
USA

SOURCE: Furi Rajikaru no Rinsho (1998), 13, 64-69

CODEN: FRRIFI

PUBLISHER: Nihon Igakukan

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB To determine the therapeutic effects of certain nitric oxide synthase (NOS)  
inhibitors, sulfasalazine (SZ) or the proteasome inhibitor, HLA-B27 male  
rats (B27 rats) that spontaneously developed colitis were randomized into  
six groups consisting of one untreated group, one vehicle group and four  
treated groups that received either aminoguanidine (AG),  
NG-nitro-L-arginine Me ester (L-NAME) or SZ in their drinking water, and  
MG-341, the selective proteasome inhibitor, orally for 21 days. Fisher  
344 male rats were used as healthy control. We found that only AG was  
clin. effective on the colitic symptoms. Treatment with L-NAME resulted  
in deterioration of colitic symptoms, severe hypertension and  
enhanced mucosal permeabilities. Treatment with AG and SZ but not MG-341  
attenuated the increases in ileal and colonic mucosal permeabilities. AG,  
L-NAME and SZ significantly attenuated the increases in the MPO activity  
in the distal colon, while MG-341 attenuated the MPO activity only in the  
proximal colon. We found that both AG and L-NAME but not SZ nor MG-341  
significantly attenuated the increased plasma NO<sub>2</sub>-/NO<sub>3</sub>- levels. These  
results suggested that (1) the selective inhibition of iNOS may be more  
proper in order to manage the intestinal inflammation in the B27 rats, and  
(2) the granulocyte recruitment into the distal colon in this model may be  
regulated by NO-dependent and -independent pathways. Addnl. studies will  
be required to determine the therapeutic effects of proteasome inhibitor in  
this model of chronic colitis.

IT 9003-99-0, Myeloperoxidase

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
(Biological study); PROC (Process)

(response; therapeutic effects of nitric oxide synthase inhibitors,  
sulfasalazine or the proteasome inhibitor MG-341 on chronic intestinal  
and colonic inflammation developed in HLA-B27 transgenic rats)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 15 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:595348 CAPLUS

DOCUMENT NUMBER: 131:225828

TITLE: Methods of diagnosis and triage using cell activation  
measures

INVENTOR(S): Stoughton, Roland B.; Schmid-Schonbein, Geert W.;  
Hugli, Tony E.; Kistler, Erik

PATENT ASSIGNEE(S): Cell Activation, Inc., USA; The Regents of the  
University of California; The Scripps Research  
Institute

SOURCE: PCT Int. Appl., 184 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9946367	A2	19990916	WO 1999-US5247	19990311 <--
WO 9946367	A3	19991209		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2003190368	A1	20031009	US 1998-38894	19980311
CA 2322618	A1	19990916	CA 1999-2322618	19990311 <--
AU 9931829	A	19990927	AU 1999-31829	19990311 <--
EP 1062323	A2	20001227	EP 1999-913843	19990311 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002505874	T	20020226	JP 2000-535734	19990311
PRIORITY APPLN. INFO.:			US 1998-38894	A2 19980311
			WO 1999-US5247	W 19990311

AB Diagnostic methods that rely on the use of one or more assays that assess cellular activation are provided. The assays are performed on whole blood or leukocytes (neutrophils), and indicate individually or in combination the level of cardiovascular cell activation, which is pivotal in many chronic and acute disease states. These results of the assays are used within a clin. framework to support therapeutic decisions such as: further testing for infectious agents, anti-oxidant or anti-adhesion therapy, postponement and optimal re-scheduling of high-risk surgeries, classifying susceptibility to and progression rates of chronic disease such as diabetes, organ rejection, atherogenesis, and venous insufficiency; extreme interventions in trauma cases of particularly high risk and activation-lowering therapies. Also provided is composition derived from a pancreatic homogenate that contains circulating cell activating factors, which can serve as targets for drug screening to identify drug candidates for use in activation lowering therapies. Methods for lowering cell activation by administering protease inhibitors, particularly serine protease inhibitors, are also provided. Kits for performing the methods are also provided.

IT 9003-99-0, Peroxidase  
 RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)  
 (methods of diagnosis and triage using cell activation measures)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 16 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:231552 CAPLUS

DOCUMENT NUMBER: 130:249107

TITLE: System and method for measuring hydrogen peroxide levels in a fluid and method for assessing oxidative stress

INVENTOR(S): Lacy, Fred; Schmid-Schonbein, Geert W.; Gough, David

PATENT ASSIGNEE(S): The Regents of the University of California, USA

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9915891	A1	19990401	WO 1998-US19013	19980914 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9894805	A	19990412	AU 1998-94805	19980914 <--
PRIORITY APPLN. INFO.:			US 1997-60010P	P 19970925
			WO 1998-US19013	W 19980914

AB The detection system includes a pair of electrochem. hydrogen peroxide sensors, each sensor having working, counter and reference electrodes. A bias voltage is applied to maintain a voltage difference between the working and reference electrodes. A sample aliquot of fluid was treated with either sodium azide or catalase. The sensors are placed in containers containing sufficient amts. of treated fluid to cover the active portions of the electrodes. The output current of each sensor is amplified, and the resulting amplified signals are combined and subtracted to provide a signal which is representative of the level of hydrogen peroxide in the fluid. In a method for assessing oxidative stress, including that related to essential hypertension, the detection system is used to determine a representative level of hydrogen peroxide in blood plasma drawn from a test subject. The level of hydrogen peroxide is directly related to the level of reactive oxygen species in the plasma, and can be used as an accurate predictor of risk for essential hypertension or other conditions related to oxidative stress. Blood plasma samples of normotensive subjects and patients with essential hypertension were analyzed by the system. When hypertensives were compared with family history neg. normotensives, it was found that the hypertensive group had a higher mean arterial pressure by 23% as well as higher levels of plasma hydrogen peroxide by 48% over the normotensive control.

IT 9003-99-0, Myeloperoxidase  
RL: ARU (Analytical role, unclassified); ANST (Analytical study)  
(stabilizer for inhibiting blood catalase and; system and method for measuring hydrogen peroxide levels in fluids and method for assessing oxidative stress)

RN 9003-99-0 CAPLUS  
CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 17 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:78214 CAPLUS

DOCUMENT NUMBER: 131:296100

TITLE: Improved linkage map and thirty new microsatellite markers for rat Chromosome 10

AUTHOR(S): Dukhanina, Oksana I.; Sverdlov, Vladimir E.; Hoebee, Barbara; Rapp, John P.

CORPORATE SOURCE: Department of Physiology and Molecular Medicine, Medical College of Ohio, Toledo, OH, 43614-5804, USA

SOURCE: Mammalian Genome (1999), 10(1), 26-29

CODEN: MAMGEC; ISSN: 0938-8990

PUBLISHER: Springer-Verlag New York Inc.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB An improved linkage map for rat Chromosome (Chr) 10 with two F2 populations was constructed. Thirty new microsatellite markers were generated from a Chr 10-specific, small-insert genomic library and mapped to rat Chr 10. Among them were the rat homologs for the mouse gene for light and heavy chains of myeloperoxidase and human neurofibromatosis 1. Eight newly generated markers (D10Mco62, D10Mco63, D10Mco64, D10Mco65, D10Mco67, D10Mco68, D10Mco70, and D10Mco74) were mapped to the region of the rat Chr 10 blood pressure QTL. The availability of such markers may be instrumental in the search for genes responsible for the hypertension.

IT 9003-99-0, Myeloperoxidase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(light and heavy chains; improved linkage map and thirty new microsatellite markers for rat chromosome 10: microsatellite sequence similar to intron 7 of light and heavy chains of myeloperoxidase)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 18 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:313962 CAPLUS

DOCUMENT NUMBER: 129:38295

TITLE: New competitive enzyme-linked immunosorbent assay for determination of metallothionein in tissue and sera

AUTHOR(S): Apostolova, Margarita; Nachev, Choudomir; Koleva, Milena; Bontchev, Panayot R.; Kehaiov, Ivan

CORPORATE SOURCE: Department of Chemistry and Biochemistry, Medical Academy, Sofia, 1431, Bulg.

SOURCE: Talanta (1998), 46(2), 325-333

CODEN: TLNTA2; ISSN: 0039-9140

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Very little information is available concerning the relationship between metallothionein (MT) and diseases in humans. Several methods to measure MT levels exist but many of these assays are not sensitive to measure MT in human sera. A new sensitive competitive ELISA system has been developed using MT labeled with horseradish peroxidase as a conjugate and high-titer polyclonal antibodies obtained from rabbit IgG for MT determination

in human sera. The cELISA proposed here permits a reliable determination of MT in the range 10-2 000 000 pg ml<sup>-1</sup>. The method was compared with Cd-hem assay and showed good agreement of results. The recovery of the assay was determined by spiking rat MT into rat and human sera, and comparing it with spiked diluent controls. The overall recoveries of the added MT were 101% for rat sera and 89% for human sera. The variation within-assay and between assay were 3 and 6%, resp. A significant difference ( $P < 0.001$ ) was found between the MT-level in human sera from patient with essential hypertension ( $646 \pm 223$  ng ml<sup>-1</sup>,  $n = 90$ ) and normotensive subjects ( $21 \pm 18$  ng ml<sup>-1</sup>,  $n = 236$ ). A correlation between arterial hypertension and MT-level seems possible. A very sensitive new cELISA method was presented for determination of MT in sera and tissues. It enables investigation of possible correlations between sera MT-concentration

and certain diseases.

IT 9003-99-0, Peroxidase

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)

(horseradish; new competitive ELISA for determination of metallothionein in

tissue and sera)  
RN 9003-99-0 CAPLUS  
CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 19 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:231271 CAPLUS

DOCUMENT NUMBER: 128:253811

TITLE: Expression constructs for the manufacture of  
therapeutic proteins as fusion products cleavable by  
proteinases manufactured by diseased tissues

INVENTOR(S): Heidtmann, Hans Heinrich; Mueller, Rolf; Sedlacek,  
Hans-Harald

PATENT ASSIGNEE(S): Hoechst A.-G., Germany

SOURCE: Ger., 28 pp.

CODEN: GWXXAW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19701141	C1	19980409	DE 1997-19701141	19970116 <--
CN 1192473	A	19980909	CN 1998-103791	19980114 <--
EP 859058	A2	19980819	EP 1998-100632	19980115 <--
EP 859058	A3	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
HU 9800075	A2	19981028	HU 1998-75	19980115 <--
RU 2204414	C2	20030520	RU 1998-100678	19980115
CA 2227159	A1	19980716	CA 1998-2227159	19980116 <--
AU 9852107	A	19980723	AU 1998-52107	19980116 <--
AU 738717	B2	20010927		
JP 10210973	A	19980811	JP 1998-6589	19980116 <--
BR 9800341	A	19990629	BR 1998-341	19980116 <--
US 6080575	A	20000627	US 1998-8308	19980116 <--
US 6670147	B1	20031230	US 1999-256237	19990224
US 2004110682	A1	20040610	US 2003-638537	20030812

PRIORITY APPLN. INFO.:

DE 1997-19701141	A	19970116
US 1998-8308	A3	19980116
US 1999-256237	A3	19990224

AB A method of manufacturing therapeutically useful proteins as fusion products that can be cleaved and activated in situ by proteinases secreted by diseased or damaged tissue is described. The therapeutic protein is manufactured as a fusion protein with a protein that inhibits its therapeutic action with the two moieties connected by a peptide that is a substrate for a proteinase that is present at increased levels in the diseased tissue. The expression constructs may use tissue-specific promoters to drive expression of the chimeric gene and further increase the specificity of the treatment.

IT 9003-99-0D, Peroxidase, fusion products

RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(in situ proteolytic activation of; expression constructs for manufacture of therapeutic proteins as fusion products cleavable by proteinases manufactured by diseased tissues)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 20 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:197390 CAPLUS

DOCUMENT NUMBER: 128:253008

TITLE: Pharmaceutical compositions and methods using alcohols  
and analogs thereof for regulation of melanin content  
and treatment of skin and other diseases

INVENTOR(S): Brown, David A.; Khorlin, Alexander A.; Lesiak,  
Krystyna; Ren, Wu Yun

PATENT ASSIGNEE(S): Codon Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9811882	A1	19980326	WO 1997-US16642	19970918 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2266496	A1	19980326	CA 1997-2266496	19970918 <--
AU 9745842	A	19980414	AU 1997-45842	19970918 <--
AU 740783	B2	20011115		
US 5990177	A	19991123	US 1997-933144	19970918 <--
EP 957903	A1	19991124	EP 1997-944319	19970918 <--
EP 957903	B1	20050810		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6110975	A	20000829	US 1997-933145	19970918 <--
AT 301459	T	20050815	AT 1997-944319	19970918
ES 2245465	T3	20060101	ES 1997-944319	19970918
WO 9855085	A1	19981210	WO 1998-US5346	19980318 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9865659	A	19981221	AU 1998-65659	19980318 <--
US 6214888	B1	20010410	US 1998-86547	19980528 <--
US 6290937	B1	20010918	US 1998-85917	19980528 <--
US 2002141952	A1	20021003		
US 6623724	B2	20030923		
US 2004067209	A1	20040408	US 2003-667630	20030922
US 6955804	B2	20051018		
US 2006120976	A1	20060608	US 2005-251217	20051014
PRIORITY APPLN. INFO.:				
			US 1996-26577P	P 19960918
			US 1997-35947P	P 19970121
			US 1997-36863P	P 19970204
			US 1997-48597P	P 19970604
			US 1997-933143	B2 19970918
			WO 1997-US16642	W 19970918
			WO 1998-US5346	W 19980318
			US 1998-85917	A1 19980528

OTHER SOURCE(S): MARPAT 128:253008

AB Disclosed are methods and compns. for regulating the melanin content of mammalian melanocytes; regulating pigmentation in mammalian skin, hair, wool or fur; treating or preventing various skin and proliferative disorders; increasing the differentiation of mammalian neuronal cells for purposes of treating neurodegenerative diseases or nerve damage; and stimulating cellular nitric oxide (NO) synthesis, cyclic guanosine monophosphate levels (cGMP), and protein kinase G (PKG) activity for purposes of treating diseases mediated by deficiencies in the NO/cGMP/PKG signal transduction pathway; by administration of various compds., including alcs., diols and/or triols and their analogs.

IT 9002-10-2, Tyrosinase

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(alcs. and analogs for regulation of melanin content and treatment of skin and other diseases)

RN 9002-10-2 CAPLUS

CN Oxygenase, monophenol mono- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 21 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:107525 CAPLUS

DOCUMENT NUMBER: 128:151918

TITLE: Morphological and phytochemical investigations on *Crataegus curvisepala* and *Crataegus oxyacantha*

AUTHOR(S): Ghassemi Dehkordi, N.; Ghannadi, A. R.; Mohtaj, F.

CORPORATE SOURCE: Pharmacognosy Department, Faculty of Pharmacy and Pharmaceutical Sciences, Isfahan University of Medical Sciences, Iran

SOURCE: Daru, Journal of the School of Pharmacy, Tehran University of Medical Sciences and Health Services (1996), 6(1&amp;2), 25-36 Persian

CODEN: DJPSFS

PUBLISHER: Tehran University of Medical Sciences, School of Pharmacy

DOCUMENT TYPE: Journal

LANGUAGE: Persian

AB Several species of the genus *Crataegus* have been used for treatment of hypertension and certain cardiac disorders. In this study, *C. curvisepala* was examined botanically and phytochem. in comparison to *C. oxyacantha*. Morphol. and microscopic characteristics of *C. curvisepala* were examined and some differences were notes from *C. oxyacantha*. By means of TLC, rutin, hyperoside and chlorogenic acid were identified in these plants.

IT 327-97-9, Chlorogenic acid

RL: BOC (Biological occurrence); BSU (Biological study, unclassified);

BIOL (Biological study); OCCU (Occurrence)

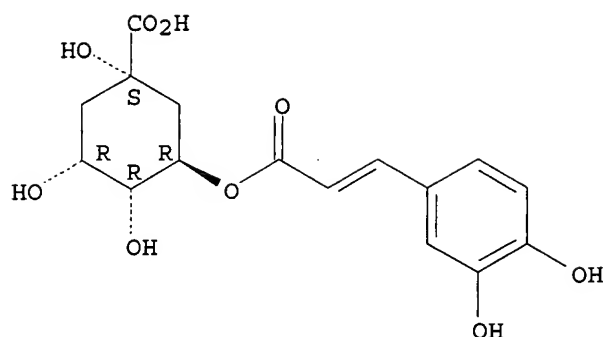
(morphol. and phytochem. investigations of *Crataegus curvisepala* and *Crataegus oxyacantha*)

RN 327-97-9 CAPLUS

CN Cyclohexanecarboxylic acid, 3-[[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]oxy]-1,4,5-trihydroxy-, (1S,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



L26 ANSWER 22 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:600476 CAPLUS

DOCUMENT NUMBER: 127:253196

TITLE: Use of (E)-1-(4-(2-alkylaminoethoxy)phenyl)-1-(3-hydroxyphenyl)-2-phenylbut-1-enes for inhibiting pathological conditions

INVENTOR(S): Maclean, David Burton; Thompson, David Duane

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 792640	A2	19970903	EP 1997-301149	19970221 <--
EP 792640	A3	19980708		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5985932	A	19991116	US 1997-804346	19970221 <--
CA 2198571	A1	19970828	CA 1997-2198571	19970226 <--
AU 9714956	A	19970904	AU 1997-14956	19970226 <--
AU 707455	B2	19990708		
ZA 9701710	A	19980827	ZA 1997-1710	19970227 <--
CN 1165651	A	19971126	CN 1997-103416	19970228 <--
JP 09328421	A	19971222	JP 1997-45616	19970228 <--
PRIORITY APPLN. INFO.:			US 1996-12401P	P 19960228
			US 1996-12402P	P 19960228
			US 1996-12403P	P 19960228
			US 1996-12404P	P 19960228
			US 1996-12410P	P 19960228
			US 1996-12411P	P 19960228

OTHER SOURCE(S): MARPAT 127:253196

AB (E)-1-(4-(2-alkylaminoethoxy)phenyl)-1-(3-hydroxyphenyl)-2-phenylbut-1-enes are used for the manufacture of a medicament for inhibiting a condition selected from pathol. conditions related to organ systems which respond to estrogen agonists, uterine fibrosis, myeloperoxidase activity, autoimmune diseases, reperfusion damage in ischemic myocardium, and the symptoms of premenstrual syndrome. An example compound is droloxifene and a number of pharmaceutical formulations were given.

IT 9003-99-0, Myeloperoxidase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibition of; (alkylaminoethoxyphenyl) (hydroxyphenyl)phenylbutenes for inhibiting pathol. conditions)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 23 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:475087 CAPLUS  
DOCUMENT NUMBER: 127:113366  
TITLE: Calcium mineral-based biodegradable microparticles  
INVENTOR(S): Nuwayser, Elie S.  
PATENT ASSIGNEE(S): Biotek, Inc., USA  
SOURCE: U.S., 13 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5648097	A	19970715	US 1995-538635	19951004 <--
PRIORITY APPLN. INFO.:			US 1995-538635	19951004

AB A novel method of producing biodegradable microparticles is disclosed. Inorg. calcium salts are mixed with water to form a slurry. The slurry is then added to an oil bath which is then mixed to form an emulsion. The mixing continues for a period of time sufficient to form hardened microparticles. The hardened microparticles are then retrieved and characterized. Biol. active agents may be added to the slurry prior to emulsification, or they may be added to the hardened microparticles after production. The microparticles may be injected into a human being whereby they act as controlled-release drug delivery vehicles. Calcium sulfate hemihydrate (prepared by heating calcium sulfate dihydrate at 130° for 4 h) 10, butyrylcholinesterase 1 g, and water 8 mL were mixed to make a slurry which was then poured into 400 mL of corn oil at room temperature and mixed with a propeller speed of 2200 rpm for 30 min. The speed was reduced to 1200 rpm for an addnl. 30 min then the microparticles were isolated, washed, and dried.

IT 9003-99-0, Peroxidase  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(calcium mineral-based biodegradable microparticles)

RN 9003-99-0 CAPLUS  
CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 24 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:464446 CAPLUS  
DOCUMENT NUMBER: 127:134146  
TITLE: LTB4-induced accumulation of neutrophils in the lung plays a role in monocrotaline-induced pulmonary hypertension  
AUTHOR(S): Tabata, Toshiharu  
CORPORATE SOURCE: Inst. Dev., Aging Cancer, Tohoku Univ., Sendai, 980-77, Japan  
SOURCE: Karei Igaku Kenkyusho Zasshi (1997), 48(3/4), 147-159  
CODEN: KIKZEP; ISSN: 1340-3397  
PUBLISHER: Tohoku Daigaku Karei Igaku Kenkyusho Kenkyukai  
DOCUMENT TYPE: Journal  
LANGUAGE: Japanese  
AB Monocrotaline (MCT) causes lung inflammation and chronic pulmonary hypertension associated with lung vascular remodeling in rats. We hypothesized that leukotriene B4 (LTB4)-induced accumulation of neutrophils in the lung plays a role in MCT-induced lung disease, and therefore measured LTB4 and myeloperoxidase (MPO) levels in the lung tissue of MCT-treated rats at first. Next, we examined the effect of either specific LTB4 receptor antagonists (ONO4057 or SM15178) or neutropenia (induced by vinblastine sulfate or monoclonal antineutrophils antibody

(RP-3)) on the development of pulmonary hypertension induced by MCT. Lung LTB4 and MPO levels increased at 3 days after MCT injection. In the ONO4057 or Vinblastine treated MCT rats, lung MPO levels were significantly lower than those of MCT-treated rats. At 3 wk after MCT injection, it had caused increases in mean pulmonary arterial pressure, the ratio of right ventricular weight to left ventricle + septum weight (RV/[LV + S]), and the media wall thickness of the muscular arteries of the lung. Treatment with ONO4057 or SM15178, either for 3 wk or during the first week after MCT injection, significantly ameliorated these structural changes. Also, neutropenic rats (induced by either Vinblastine or RP-3) showed significantly lower pulmonary arterial pressure, RV/(LV + S) ratio and the media wall thickness when compared with those of non-treated MCT rats. These results indicate that these LTB4 antagonists inhibited the development of pulmonary hypertension induced by MCT and suggest a role for neutrophils accumulated in the lung tissue in the inflammatory process that contributes to the development of pulmonary hypertension of MCT treated rats.

IT 9003-99-0, Myeloperoxidase

RL: BOC (Biological occurrence); BSU (Biological study, unclassified);

BIOL (Biological study); OCCU (Occurrence)

(LTB4-induced accumulation of neutrophils in lung role in monocrotaline-induced pulmonary hypertension)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 25 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:194106 CAPLUS

DOCUMENT NUMBER: 126:262555

TITLE: Protective role of synthetic sialylated oligosaccharide in sepsis-induced acute lung injury  
AUTHOR(S): Ridings, Philip C.; Holloway, Sharon; Bloomfield, Geoffrey L.; Phillips, M. L.; Fisher, Bernard J.; Blocher, Charles R.; Sugerman, Harvey J.; Fowler, Alpha A., III

CORPORATE SOURCE: Department of Surgery, Medical College of Virginia, Virginia Commonwealth University, Richmond, VA, 23298, USA

SOURCE: Journal of Applied Physiology (1997), 82(2), 644-651

CODEN: JAPHEV; ISSN: 8750-7587

PUBLISHER: American Physiological Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Proper engagement of leukocyte and endothelial cell selectins with their counterreceptors is an initial step in neutrophil trafficking to sites of inflammation. Certain fucosylated carbohydrate determinants such as sialyl Lewis-x are proposed to act as these counterreceptors. We studied the effects of a synthetic sialyl Lewis-x analog, CY-1503, on the course of hemodynamic derangements and acute lung injury during exptl. gram-neg. sepsis. Anesthetized ventilated swine were made septic with an infusion of live *Pseudomonas aeruginosa*. A treatment group received an initial bolus of CY-1503 (60 mg/kg) before sepsis, followed by continuous infusion of CY-1503 (12 mg · kg<sup>-1</sup> · h<sup>-1</sup>). Treatment with CY-1503 did not prevent the development of pulmonary hypertension, systemic hypotension, decline in cardiac output, or severe neutropenia. However, CY-1503 significantly attenuated lung injury, demonstrated by decreased bronchoalveolar lavage protein content and neutrophil influx, lowered lung myeloperoxidase activity, and improved arterial oxygenation. Neutrophils from septic and CY-1503 animals showed significant activation, reflected by upregulated CD18 expression and priming for oxidant burst compared with control animals. This study suggests blockade of selection interactions as a potential therapeutic intervention in sepsis-induced lung injury.

IT 9003-99-0, Myeloperoxidase  
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified);  
 BIOL (Biological study); OCCU (Occurrence)  
 (protective role of synthetic sialylated oligosaccharide in  
 sepsis-induced acute lung injury)  
 RN 9003-99-0 CAPLUS  
 CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 26 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1997:165206 CAPLUS  
 DOCUMENT NUMBER: 126:154428  
 TITLE: Process for the identification of proteolytic  
 activities and/or inhibitors thereof  
 INVENTOR(S): Fassina, Giorgio; Corti, Angelo  
 PATENT ASSIGNEE(S): Tecnogen S.C.P.A., Italy  
 SOURCE: Eur. Pat. Appl., 20 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 751225	A1	19970102	EP 1996-114931	19911014 <--
EP 751225	B1	20010328		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
EP 481930	A2	19920422	EP 1991-830428	19911014 <--
EP 481930	A3	19930630		
EP 481930	B1	19970618		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 154609	T	19970715	AT 1991-830428	19911014 <--
AT 200107	T	20010415	AT 1996-114931	19911014 <--
PRIORITY APPLN. INFO.:				
			IT 1990-48365	A 19901015
			IT 1991-RM261	A 19910415
			EP 1991-830428	A3 19911014
			IT 1991-RO261	19910415

AB This invention relates to a process for the identification of proteolytic  
 activities or of activities that inhibit proteolytic activities,  
 particularly of endothelin and/or of TNF, especially in biol. fluids,  
 fermentation  
 broths, conditioned culture soils, cell exts., and plant exts. As an  
 example, the process can use a fragment of proendothelin as substrate as  
 well as a ligand comprising amino acid sequences that are hydropathically  
 complementary to the fragment of proendothelin.

IT 9003-99-0D, Peroxidase, streptavidin conjugates  
 RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)  
 (determination of proendothelin- and TNF-specific proteolytic activities and  
 their inhibitors)  
 RN 9003-99-0 CAPLUS  
 CN Peroxidase (9CI) (CA INDEX NAME)

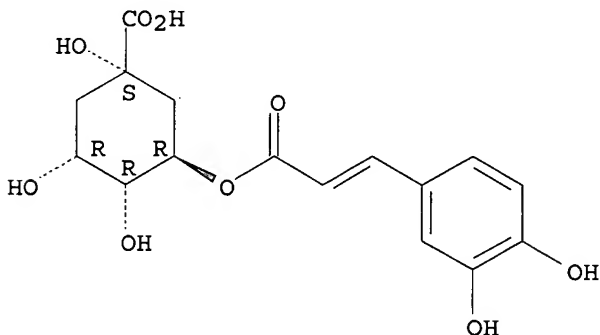
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 27 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1997:121111 CAPLUS  
 DOCUMENT NUMBER: 126:135587  
 TITLE: Extraction of red pigments from apples as  
 antihypertensives, allergy inhibitors,  
 antioxidants, anticaries agents and deodorants  
 INVENTOR(S): Tanabe, Masayuki; Kanda, Tomomasa; Yanagida, Akiro;  
 Shimoda, Shunji

PATENT ASSIGNEE(S): Nikka Whisky, Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 08319433	A	19961203	JP 1995-130327	19950529 <--
PRIORITY APPLN. INFO.:				JP 1995-130327	19950529
AB	Red pigments [anthocyan pigments; polyphenols] are extracted from apples for use as antihypertensives, allergy inhibitors, antioxidants, anticaries agents and deodorants (halitosis inhibitors). In vitro expts. indicated that the red pigments inhibited the histamine release from cultured RBL-2H3 cells, indicating antiallergy activity.				
IT	327-97-9P, Chlorogenic acid RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (extraction of red pigments from apples as antihypertensives, allergy inhibitors, antioxidants, anticaries agents and deodorants)				
RN	327-97-9 CAPLUS				
CN	Cyclohexanecarboxylic acid, 3-[[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]oxy]-1,4,5-trihydroxy-, (1S,3R,4R,5R)- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.  
Double bond geometry unknown.



L26 ANSWER 28 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1996:565344 CAPLUS  
DOCUMENT NUMBER: 125:244617  
TITLE: Allopurinol reduces bacterial translocation,  
intestinal mucosal lipid peroxidation, and  
neutrophil-derived myeloperoxidase activity in chronic  
portal hypertensive and common bile duct-ligated  
growing rats  
AUTHOR(S): Schimpl, Gunther; Pesendorfer, Patricia; Steinwender,  
Gerhard; Feierl, Gerhard; Ratschek, Manfred;  
Hollwarth, Michael E.  
CORPORATE SOURCE: Medical School, University Graz, A-8036, Austria  
SOURCE: Pediatric Research (1996), 40(3), 422-428  
CODEN: PEREBL; ISSN: 0031-3998  
PUBLISHER: Williams & Wilkins  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Bacterial translocation (BT) from the gastrointestinal tract has been  
thought to play a role in the pathogenesis of septic complications in

patients with chronic portal hypertension (PH) and obstructive jaundice. The purpose of this study was to investigate the incidence of BT and to assess the role of intestinal mucosal malondialdehyde (MDA) levels as an indicator of lipid peroxidn. and polymorphonuclear neutrophil-derived myeloperoxidase (MPO) in chronic portal hypertensive and common bile duct-ligated rats. Twenty male rats were subjected to sham laparotomy (SL), 20 rats to calibrated portal vein constriction (PH), 20 rats to common bile duct ligation (CBDL), and 10 rats served as a nonoperated control group (NOP). After 4 wk, 10 animals of each operated group received 50 mg/kg allopurinol i.p., at 24 h, and again 2 h prior to estimation of BT, intestinal mucosal MDA, and MPO activities. In the NOP and SL groups, BT to the mesenteric lymph nodes (MLN) and spleen was present. In PH and in CBDL rats, BT to liver, portal vein, peritoneum, and caval vein occurred. Allopurinol treatment attenuated the frequency of BT in PH and decreased BT in CBDL rats significantly ( $p < 0.05$ ). Ileal mucosal MDA levels (nanomoles/g) in untreated rats increased from  $45.1 \pm 7.9$  in SL to  $98.2 \pm 9.1$  in PH and to  $102.2 \pm 11$  in CBDL rats ( $p < 0.01$ ). In the allopurinol groups the increase of MDA to  $49.1 \pm 1.3$  in PH, and  $66.2 \pm 2.2$  in CBDL was significantly lower ( $p < 0.01$ ). MPO activity (units/g) in the ileal mucosa increased in untreated rats from  $319 \pm 129$  after SL to  $866 \pm 104$  after PH and to  $1016 \pm 104$  after CBDL ( $p < 0.01$ ). Allopurinol significantly attenuated MPO activity to  $369 \pm 44$  in PH, and to  $372 \pm 60$  in CBDL animals ( $p < 0.01$ ). In PH and CBDL rats significant BT, intestinal mucosal lipid peroxidn., and polymorphonuclear neutrophil-derived MPO activity occurred. Allopurinol reduced BT and improved intestinal mucosal MDA and MPO activities, suggesting that there might be an association between BT and intestinal mucosal lipid peroxidn.

IT 9003-99-0, Myeloperoxidase

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(neutrophil; allopurinol reduces bacterial translocation, intestinal mucosal lipid peroxidn., and neutrophil-derived myeloperoxidase activity in chronic portal hypertension and common bile duct ligation)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 29 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:493848 CAPLUS

DOCUMENT NUMBER: 125:184971

TITLE: Allopurinol and glutamine attenuate bacterial translocation in chronic portal hypertensive and common bile duct-ligated growing rats

AUTHOR(S): Schimpl, G.; Pesendorfer, P.; Steinwender, G.; Feierl, G.; Ratschek, M.; Hollwarth, M. E.

CORPORATE SOURCE: Medical School, University Graz, Graz, A-8036, Austria

SOURCE: Gut (1996), 39(1), 48-53

CODEN: GUTTAK; ISSN: 0017-5749

PUBLISHER: BMJ Publishing Group

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Spontaneous bacterial infections and septicemia result in morbidity and mortality in patients with portal hypertension and obstructive jaundice. The aim of this study in rats was to investigate the incidence of bacterial translocation in portal hypertension and obstructive jaundice, and to evaluate the effects of allopurinol and glutamine. Rats were subjected to sham laparotomy (SL), portal hypertension (PH) by calibrated stenosis of the portal vein, and common bile duct ligation (CBDL). Animals of each group were either treated with allopurinol (50 mg/kg twice a week), glutamine (1 g/kg/d), and allopurinol and glutamine. After four weeks, significant bacterial translocation in the untreated PH and CBDL rats occurred. Intestinal



mucosal malondialdehyde concns. (MDA), as an indicator for lipid peroxidn., and myeloperoxidase activity (MPO) released from activated neutrophils were also significantly increased ( $p < 0.01$ ). Allopurinol and glutamine in PH and CBDL rats improved bacterial translocation, and decreased MDA and MPO values ( $p < 0.01$ ). In conclusion, in PH and CBDL rats significant bacterial translocation, ileal mucosal lipid peroxidn., and neutrophil derived MPO activity occurred. Allopurinol and glutamine significantly reduced bacterial translocation, as well as ileal mucosal MDA and MPO activities.

IT 9003-99-0, Myeloperoxidase

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(neutrophil-derived myeloperoxidase; allopurinol and glutamine attenuation of bacterial translocation in chronic portal hypertensive and common bile duct-ligated growing rats)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 30 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:336393 CAPLUS

DOCUMENT NUMBER: 125:19009

TITLE: Solid delivery systems for controlled release of molecules incorporated therein

INVENTOR(S): Roser, Bruce Joseph; Colaco, Camilo; Jerrow, Mohamed Abdel Zahra; Blair, Julian Alexander; Kampinga, Jaap; Wardell, James Lewis; Duffy, John Alistair

PATENT ASSIGNEE(S): Quadrant Holdings Cambridge Limited, UK

SOURCE: PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9603978	A1	19960215	WO 1995-GB1861	19950804 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6290991	B1	20010918	US 1994-349029	19941202 <--
CA 2197982	A1	19960215	CA 1995-2197982	19950804 <--
AU 9531851	A	19960304	AU 1995-31851	19950804 <--
AU 688557	B2	19980312		
EP 773781	A1	19970521	EP 1995-927856	19950804 <--
EP 773781	B1	20031022		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10503769	T	19980407	JP 1995-506345	19950804 <--
HU 77777	A2	19980828	HU 1998-694	19950804 <--
CN 1204959	A	19990113	CN 1995-195496	19950804 <--
EP 1138319	A2	20011004	EP 2001-116637	19950804 <--
EP 1138319	A3	20030319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
EP 1138337	A2	20011004	EP 2001-116638	19950804 <--
EP 1138337	A3	20030326		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				

RU 2177785	C2	20020110	RU 1997-103529	19950804
EE 3593	B1	20020215	EE 1997-62	19950804
PL 184068	B1	20020830	PL 1995-318898	19950804
SK 283026	B6	20030204	SK 1997-277	19950804
AT 252373	T	20031115	AT 1995-927856	19950804
PT 773781	T	20040331	PT 1995-927856	19950804
ES 2208687	T3	20040616	ES 1995-927856	19950804
EP 1516615	A2	20050323	EP 2004-29125	19950804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
CZ 297431	B6	20061213	CZ 1997-476	19950804
FI 9700867	A	19970408	FI 1997-867	19970228 <--
NO 9701688	A	19970411	NO 1997-1688	19970411 <--
AU 9871864	A	19980820	AU 1998-71864	19980612 <--
AU 707605	B2	19990715		
US 6331310	B1	20011218	US 2000-628380	20000801 <--
US 2001038858	A1	20011108	US 2001-755737	20010105 <--
US 6586006	B2	20030701		
US 2002012687	A1	20020131	US 2001-945180	20010831
US 6565871	B2	20030520		
US 2003054040	A1	20030320	US 2002-280468	20021025
US 6811792	B2	20041102		
US 2003147961	A1	20030807	US 2003-376136	20030227
US 6893657	B2	20050517		
US 2004052825	A1	20040318	US 2003-652212	20030829
US 7056495	B2	20060606		
US 2004219206	A1	20041104	US 2004-857100	20040528
US 2005276845	A1	20051215	US 2005-134573	20050520
US 2005276846	A1	20051215	US 2005-134700	20050520
US 2005276759	A1	20051215	US 2005-134701	20050520
JP 2006056898	A	20060302	JP 2005-284596	20050929

PRIORITY APPLN. INFO.:

	GB 1994-15810	A	19940804
	US 1994-349029	A	19941202
	EP 1995-927856	A3	19950804
	JP 1996-506345	A3	19950804
	WO 1995-GB1861	W	19950804
	US 1997-500877	B1	19970818
	US 2000-628380	A1	20000801
	EP 2001-116638	A3	20010713
	US 2001-945180	A1	20010831
	US 2003-376136	A1	20030227
	US 2003-652212	A1	20030829

AB Solid dosage delivery systems suitable for delivery of bioactive materials s.c., intradermal, i.m., and i.v. are disclosed. The delivery systems comprise a vitreous vehicle, e.g. polyol, loaded with the guest substance and capable of releasing the guest substance in situ at various controlled rates. Microparticles were prepared by spray drying a solution of 0.39 M trehalose, 0.14 M calcium lactate and 0.5% MB9. This particles were coated by addition of a saturated solution of zinc palmitate in toluene and cooling at 60-30°. The particles were then filtered under vacuum to remove excess zinc palmitate, washed with acetone, and air-dried. The resulting powder remained unwetted in water for ≥ 3 days and released MB9 slowly into the water.

IT 9003-99-0, Peroxidase  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (controlled-release solid delivery systems comprising polyols)

RN 9003-99-0 CAPLUS

CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 31 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1996:166955 CAPLUS  
 DOCUMENT NUMBER: 124:257280

TITLE: Characteristics of renal tubular atrophy in experimental renovascular hypertension: a model of kidney hibernation  
AUTHOR(S): Groene, H.-J.; Warnecke, E.; Olbricht, C. J.  
CORPORATE SOURCE: Medizinisches Zentrum für Pathologie, Universität Marburg, Marburg/Lahn, D-35043, Germany  
SOURCE: Nephron (1996), 72(2), 243-52  
CODEN: NPRNAY; ISSN: 0028-2766  
PUBLISHER: Karger  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The inability to sep. irreversible lesions of tubular epithelia from reversible tubular atrophy constitutes a major problem in histopathol. and in decisions for revascularization of shrunken kidneys with renal artery stenosis. To characterize reversible tubular atrophy ("kidney hibernation") the authors studied the physiol. and biochem. parameters and morphol. including histochem. in rat kidneys made atrophic by renal artery stenosis and treatment with the angiotensin-converting enzyme inhibitor, enalapril. Renal artery stenosis was induced by a 0.2-mm clip around the left renal artery. Following 7 wk of clipping and 2 concomitant weeks of enalapril treatment, the kidney length decreased from 17.8 to 13.7 mm. Renal blood flow and glomerular filtration rate decreased to 39% and to approx. 3% of control values, resp. The activities of the intracellular proteolytic enzymes cathepsin B and L and of Na-K-ATPase in microdissected proximal tubular segments decreased to values below 50 and 10%, resp. All changes were significant. Histochem. staining for ATPase activity in the distal tubule segments remained unchanged. Tubular cells were atrophic but not necrotic. Histochem. staining of alkaline phosphatase in the tubular brush border and of acid phosphatase and peroxidase in lysosomes was greatly reduced. All observed changes were reversible within 2-3 wk following removal of the clip and withdrawal of enalapril either with or without contralateral nephrectomy. Thus, a form of kidney hibernation with readily reversible tubular atrophy has been described. Based on this description it may be possible in consecutive expts. to differentiate between reversible and irreversible tubular atrophy.

IT 9003-99-0, Peroxidase  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(enzymic characteristics of renal tubular atrophy (kidney hibernation) in renovascular hypertension)  
RN 9003-99-0 CAPLUS  
CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 32 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:90279 CAPLUS  
DOCUMENT NUMBER: 124:142540  
TITLE: Properties of circulating leukocytes in spontaneously hypertensive rats  
AUTHOR(S): Shen, K.; Sung, K.-L. P.; Whittemore, D. E.; DeLano, F. A.; Zweifach, B. W.; Schmid-Schoenbein, G. W.  
CORPORATE SOURCE: Dept. Bioengineering, Univ. California, San Diego, CA, 92093-0412, USA  
SOURCE: Biochemistry and Cell Biology (1995), 73(7 & 8), 491-500  
CODEN: BCBIEQ; ISSN: 0829-8211  
PUBLISHER: National Research Council of Canada  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The factors responsible for predisposition to progressive organ injury and vascular complications in arterial hypertension are uncertain. Recent evidence shows that leukocytes participate in cardiovascular conditions for which hypertension is a risk factor. Therefore,

there is a need to define the properties of circulating leukocytes in hypertensives. There are about twice as many circulating leukocytes in spontaneous hypertensive rats (SHRs) compared with their normotensive controls, the Wistar-Kyoto rats (WKYs). The SHR neutrophils are viscoelastic and similar to neutrophils in WKYs but exhibit lower deformability in short-term elastic deformation. Mature SHRs have elevated levels of spontaneous pseudopod formation. Mild stimulation with N-formyl-Met-Leu-Phe or platelet-activating factor ( $10^{-8}$  M) results in a significantly enhanced level of neutrophil pseudopod formation in SHRs but not in WKYs. SHRs exhibit higher levels of spontaneous superoxide formation. Alkaline phosphatase content of individual circulating neutrophils in SHRs is on average lower while plasma levels of alkaline phosphatase in the same samples are elevated in the SHRs. Spontaneous degranulation of SHR neutrophils is also detectable with myeloperoxidase measurements. Such activity of circulating leukocytes poses a significant risk for vascular cytotoxicity in the hypertensive rats.

IT 9003-99-0, Myeloperoxidase  
 RL: ADV (Adverse effect, including toxicity); BOC (Biological occurrence);  
 BSU (Biological study, unclassified); BIOL (Biological study); OCCU  
 (Occurrence)  
 (myelo-; properties of circulating leukocytes in spontaneously  
 hypertensive rats)  
 RN 9003-99-0 CAPLUS  
 CN Peroxidase (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

L26 ANSWER 33 OF 57 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:731707 CAPLUS

DOCUMENT NUMBER: 123:123135

TITLE: Extraction of fruit polyphenols and their uses as  
 antioxidant, hypotensive, antimutagenic agent,  
 antiallergic agent and anticariogenic agent.

INVENTOR(S): Tanabe, Masayuki; Kanda, Tomomasa; Yanagida, Akio

PATENT ASSIGNEE(S): Nikka Whisky Distilling Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 34 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
EP 657169	A1	19950614	EP 1994-401669	19940720 <--
R: AT, BE, DE, FR, GB, IT				
CA 2128293	A1	19950607	CA 1994-2128293	19940718 <--
CA 2128293	C	20020903		
AU 9468996	A	19941013	AU 1994-68996	19940809 <--
AU 683892	B2	19971127		
CN 1121924	A	19960508	CN 1994-115048	19940818 <--
CN 1051089	B	20000405		
JP 07285876	A	19951031	JP 1994-300578	19941205 <--
JP 3521155	B2	20040419		
JP 2002047196	A	20020212	JP 2001-190347	19941205
US 5932623	A	19990803	US 1995-555729	19951109 <--
JP 08259453	A	19961008	JP 1996-86859	19960409 <--
US 5994413	A	19991130	US 1997-784546	19970121 <--
JP 2005179373	A	20050707	JP 2005-29863	20050204
PRIORITY APPLN. INFO.:				
			JP 1993-305632	A 19931206
			JP 1994-24435	A 19940222
			US 1994-278080	B3 19940720
			JP 1994-300578	A3 19941205
			JP 2001-190347	A3 19941205

AB The present invention provides a fruit polyphenol obtained by subjecting unripe fruits of Rosaceae to pressing and/or extraction and then purifying the resulting juice or extract and its uses as antioxidant, hypotensive, antimutagenic agent, antiallergic agent and anticariogenic agent. The fruit polyphenol has various physiol. activities, e.g., antioxidant, an ACE-inhibiting, hyaluronidase-inhibiting and GTase-inhibiting activities. Thus, polyphenols were obtained by crushing unripe apples, while adding an appropriate amount of SO<sub>2</sub> and pressing using an oil press. Further, the addition of an enzyme followed by centrifugation or filtration and column chromatog. gave polyphenol powder products. The antimutagenic activity of the polyphenol was demonstrated by using Salmonella typhimurium.

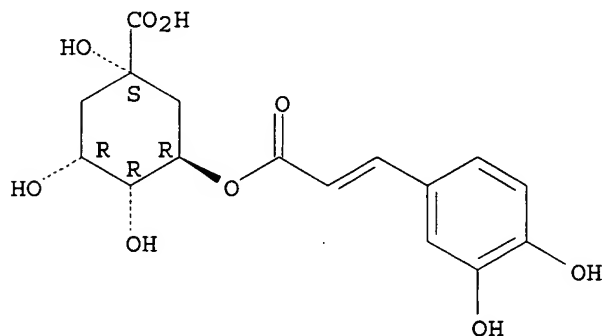
IT 327-97-9, Chlorogenic acid

RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)  
(fruit polyphenols as pharmaceuticals)

RN 327-97-9 CAPLUS

CN Cyclohexanecarboxylic acid, 3-[[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]oxy]-1,4,5-trihydroxy-, (1S,3R,4R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.



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NEWS	13	DEC 18	CA/Caplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS	14	DEC 18	CA/Caplus patent kind codes updated
NEWS	15	DEC 18	MARPAT to CA/Caplus accession number crossover limit increased to 50,000
NEWS	16	DEC 18	MEDLINE updated in preparation for 2007 reload
NEWS	17	DEC 27	CA/Caplus enhanced with more pre-1907 records
NEWS	18	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS	19	JAN 16	CA/Caplus Company Name Thesaurus enhanced and reloaded
NEWS	20	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS	21	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS	22	JAN 22	CA/Caplus updated with revised CAS roles
NEWS	23	JAN 22	CA/Caplus enhanced with patent applications from India
NEWS	24	JAN 29	PHAR reloaded with new search and display fields
NEWS	25	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases

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L1 STRUCTURE UPLOADED

=> s sss l1 full  
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FILE LAST UPDATED: 7 Feb 2007 (20070207/ED)

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=> s l2

L3 15930 L2

=> s l3 and (hypertension or high blood pressure or antihypertensive or hypertensive)

83810 HYPERTENSION

104 HYPERTENSIONS

83830 HYPERTENSION

(HYPERTENSION OR HYPERTENSIONS)

3972522 HIGH

591 HIGHS

3972854 HIGH

(HIGH OR HIGHS)

1287475 BLOOD

1248 BLOODS

1287616 BLOOD

(BLOOD OR BLOODS)

1226951 PRESSURE

176764 PRESSURES

1293696 PRESSURE

(PRESSURE OR PRESSURES)

2360 HIGH BLOOD PRESSURE

(HIGH(W) BLOOD(W) PRESSURE)

27417 ANTIHYPERTENSIVE

30815 ANTIHYPERTENSIVES

38363 ANTIHYPERTENSIVE

(ANTIHYPERTENSIVE OR ANTIHYPERTENSIVES)

38094 HYPERTENSIVE

2167 HYPERTENSIVES

38887 HYPERTENSIVE

(HYPERTENSIVE OR HYPERTENSIVES)

L4 149 L3 AND (HYPERTENSION OR HIGH BLOOD PRESSURE OR ANTIHYPERTENSIVE OR HYPERTENSIVE)

=> focus

PROCESSING COMPLETED FOR L4

L5 149 FOCUS L4 1-

=> s l5 not ferulic acid

L6 149 S L5

8406 FERULIC

1 FERULICS

8406 FERULIC

(FERULIC OR FERULICS)

4310472 ACID

1567929 ACIDS

4811583 ACID

(ACID OR ACIDS)



7910 FERULIC ACID  
(FERULIC(W)ACID)  
L7 120 L6 NOT FERULIC ACID

=> s l7 not caffeic acid  
8629 CAFFEIC  
4310472 ACID  
1567929 ACIDS  
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(ACID OR ACIDS)  
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(CAFFEIC(W)ACID)  
L8 98 L7 NOT CAFFEIC ACID

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PROCESSING COMPLETED FOR L8  
L9 98 FOCUS L8 1-

=> d ibib abs 1-98 hitstr

L9 ANSWER 1 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2006:328632 CAPLUS  
TITLE: Study on NO-donating antihypertensive agents  
I. synthesis and antihypertensive activity  
of C-3 nitrate or furoxan substituted benzopyrans  
AUTHOR(S): Xu, Xin; Zhang, Yihua; Peng, Sixun; Ji, Hui; Li,  
Yongqi  
CORPORATE SOURCE: Center of Drug Discovery, China Pharmaceutical  
University, Nanjing, 210009, Peop. Rep. China  
SOURCE: Zhongguo Yaoke Daxue Xuebao (2005), 36(6), 488-495  
CODEN: ZHYXE9; ISSN: 1000-5048  
PUBLISHER: Zhongguo Yaoke Daxue  
DOCUMENT TYPE: Journal  
LANGUAGE: Chinese

AB The synthesis and antihypertensive activity of NO-donating  
benzopyran compds. were studied to search for novel  
antihypertensive agents with better efficacy and fewer  
side-effects. Sixteen novel compds. were synthesized by coupling of organic  
nitrate and furoxan with trans-4-(acetyloxy)-3,4-dihydro-3-hydroxy-2,2-  
dimethyl-2H-1-benzopyran-6-carbonitrile and succinic acid. The inhibition  
of the target compds. on KCl-induced contraction of aortic strips and the  
effects on systolic aortic pressure (SAP) and diastolic aortic pressure  
(DAP) of the spontaneously hypertensive rats (SHR) were  
measured. The amount of NO released in vitro of the compds. was also  
determined

by Griess method. The preliminary pharmacol. testings showed that most of  
the target compds. inhibited the KCl-induced contraction to some extent.  
Among them, butanedioic acid 4-acetoxy-6-cyano-2,2-dimethyl-3,4-dihydro-2H-  
benzopyran-3-yl 4-(3-phenylsulfonyl-5-oxido-1,2,5-oxadiazol-4-yloxy)butyl  
ester decreased SAP (15.2%) and PAP (12.5%) of the SHR with a longer  
duration than did control pinacidil. The amount of NO released by this  
compound was 0.9 µg/mL. The relationship between NO release and the  
antihypertensive effect of the target compds. remains to be  
investigated.

IT INDEXING IN PROGRESS

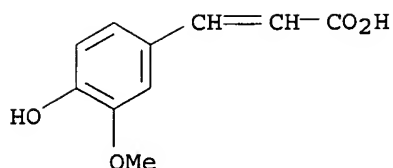
IT 1135-24-6, 4-Hydroxy-3-methoxycinnamic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of nitric oxide-releasing antihypertensive agents  
bearing benzopyran and nitrate or furoxan substituents)

RN 1135-24-6 CAPLUS

CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 2 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:567759 CAPLUS

DOCUMENT NUMBER: 135:298179

TITLE: Novel hypotensive agents from *Verbesina caracasana*. 8. Synthesis and pharmacology of (3,4-dimethoxycinnamoyl)-N1-agmatine and synthetic analogues

AUTHOR(S): Carmignani, Marco; Volpe, Anna Rita; Botta, Bruno; Espinal, Romulo; De Bonnevaux, Stella C.; De Luca, Carlo; Botta, Maurizio; Corelli, Federico; Tafi, Andrea; Sacco, Rosario; Delle Monache, Giuliano

CORPORATE SOURCE: Dipartimento di Biologia di Base e Applicata Sezione di Farmacologia, Universita di L'Aquila, Coppito (AQ), 67010, Italy

SOURCE: Journal of Medicinal Chemistry (2001), 44(18), 2950-2958

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:298179

AB The more polar metabolites from the Venezuelan plant *Verbesina caracasana*, i.e., N3-prenylagmatine, (3,4-dimethoxycinnamoyl)-N1-agmatine, agmatine, and galegine (prenylguanidine), previously reported (Delle Monache, G.; et al. *BioMed. Chemical Lett.* 1999, 9, 3249-3254), have been synthesized following a biosynthetic strategy. The pharmacol. profiles of various synthetic analogs of (3,4-dimethoxycinnamoyl)-N1-agmatine (G5) were also analyzed, to shed some light on the structure-activity relationship of these compds. Derivs. with the (E)-configuration and/or with a p-methoxybenzoyl moiety were found to be responsible for higher hypotensive effects, which were associated with a slight and, in some cases, not dose-related increase of cardiac inotropism, with variable and not significant chronotropic responses, and, only at higher doses, with effects of respiratory depression. Either an increase (to six) or a decrease (to two) of the number of methylene groups in the alkyl chain of (E)-G5 did not change blood pressure responses, while slightly increasing the pos. inotropic ones. At pharmacol. doses, all the studied compds. showed hypotensive and slight pos. inotropic effects without relevant chronotropic and respiratory actions.

IT 146072-40-4P 365568-01-0P 365568-02-1P  
365568-03-2P 365568-04-3P 365568-05-4P  
365568-06-5P 365568-07-6P 365568-08-7P

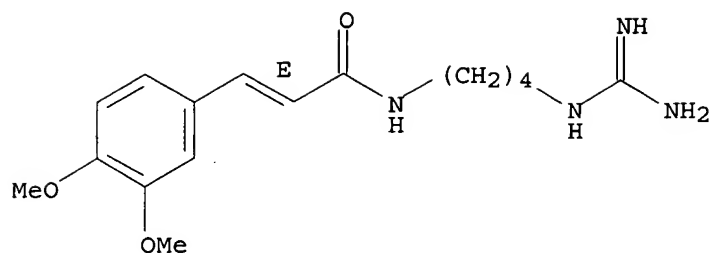
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

(design of antihypertensive drugs from *Verbesina caracasana*)

RN 146072-40-4 CAPLUS

CN 2-Propenamide, N-[4-[(aminoiminomethyl)amino]butyl]-3-(3,4-dimethoxyphenyl)-, (2E)-(9CI) (CA INDEX NAME)

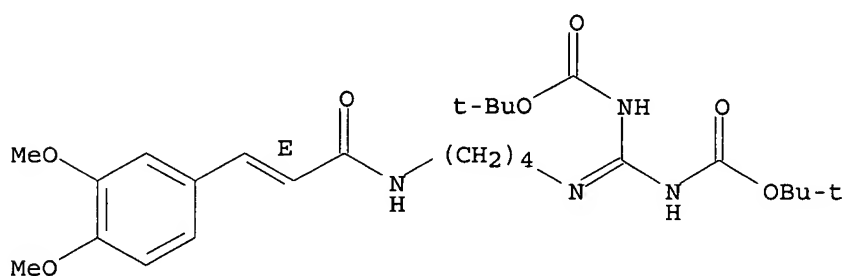
Double bond geometry as shown.



RN 365568-01-0 CAPLUS

CN Carbamic acid, [[4-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]butyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

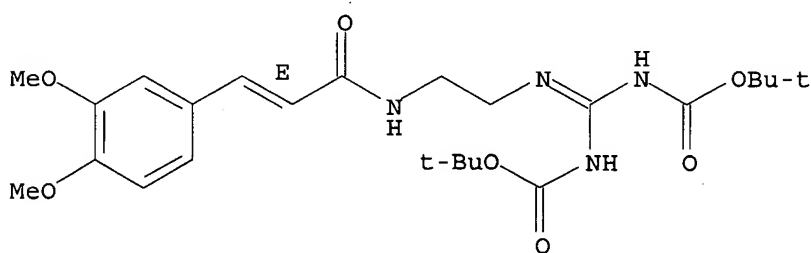
Double bond geometry as shown.



RN 365568-02-1 CAPLUS

CN Carbamic acid, [[2-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]ethyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

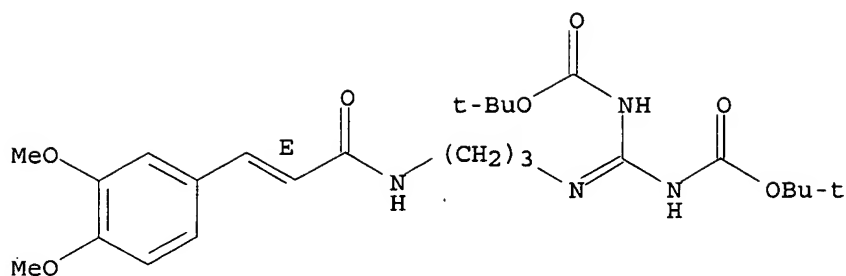
Double bond geometry as shown.



RN 365568-03-2 CAPLUS

CN Carbamic acid, [[3-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]propyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

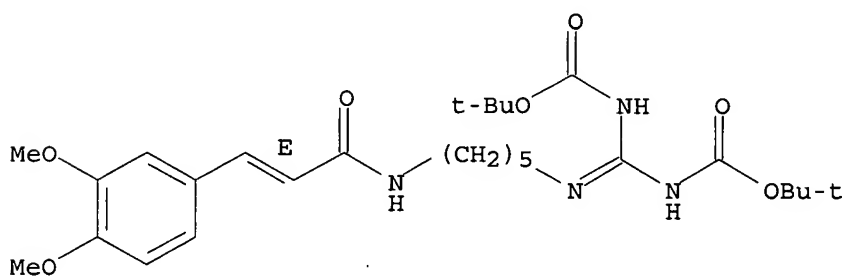
Double bond geometry as shown.



RN 365568-04-3 CAPLUS

CN Carbamic acid, [[5-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]pentyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

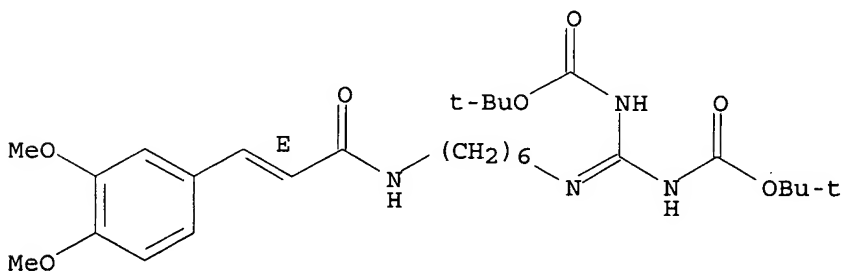
Double bond geometry as shown.



RN 365568-05-4 CAPLUS

CN Carbamic acid, [[6-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]hexyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

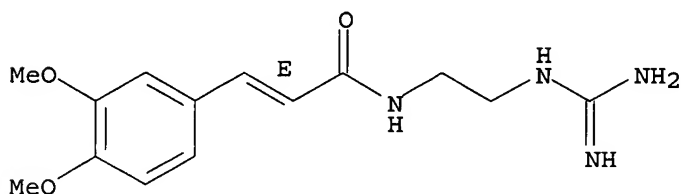
Double bond geometry as shown..



RN 365568-06-5 CAPLUS

CN 2-Propenamide, N-[2-[(aminoiminomethyl)amino]ethyl]-3-(3,4-dimethoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

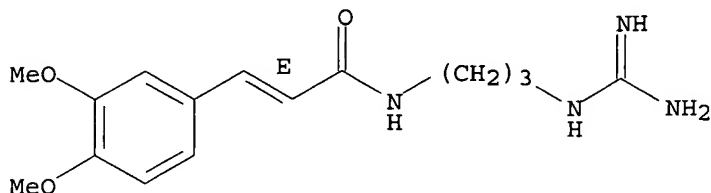
Double bond geometry as shown.



RN 365568-07-6 CAPLUS

CN 2-Propenamide, N-[3-[(aminoiminomethyl)amino]propyl]-3-(3,4-dimethoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

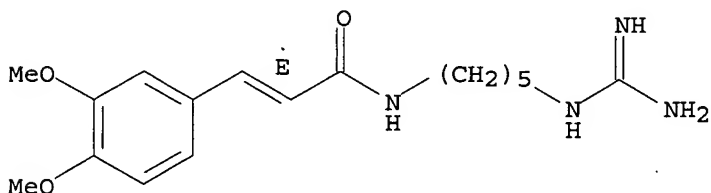
Double bond geometry as shown.



RN 365568-08-7 CAPLUS

CN 2-Propenamide, N-[5-[(aminoiminomethyl)amino]pentyl]-3-(3,4-dimethoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

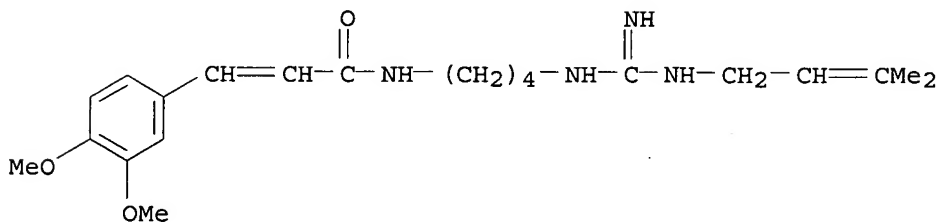


IT 128009-16-5, 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[4-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]butyl]-

RL: ADV (Adverse effect, including toxicity); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(design of antihypertensive drugs from *Verbesina caracasana*)

RN 128009-16-5 CAPLUS

CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[4-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]butyl]- (9CI) (CA INDEX NAME)



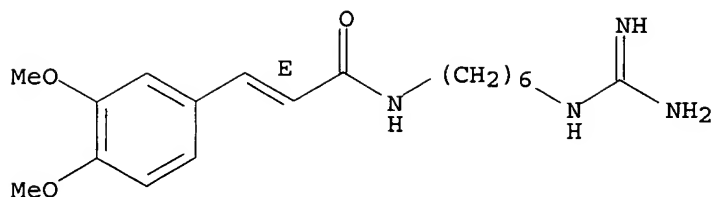
IT 365568-09-8P

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)  
(design of antihypertensive drugs from *Verbesina caracasana*)

RN 365568-09-8 CAPLUS

CN 2-Propenamide, N-[6-[(aminoiminomethyl)amino]hexyl]-3-(3,4-dimethoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



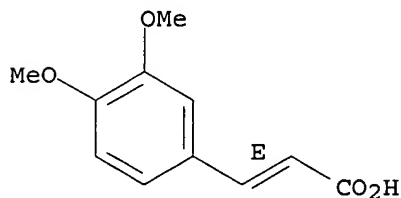
IT 14737-89-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(design of antihypertensive drugs from *Verbesina caracasana*)

RN 14737-89-4 CAPLUS

CN 2-Propenoic acid, 3-(3,4-dimethoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:752957 CAPLUS

DOCUMENT NUMBER: 128:34753

TITLE: Preparation of antihypertensive carboline derivatives

INVENTOR(S): Bombrun, Agnes

PATENT ASSIGNEE(S): Icos Corporation, USA; Bombrun, Agnes

SOURCE: PCT Int. Appl., 122 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

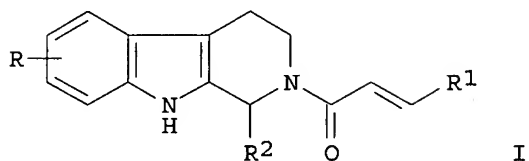
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9743287	A1	19971120	WO 1997-EP2277	19970505
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2253948	A1	19971120	CA 1997-2253948	19970505
CA 2253948	C	20050726		
AU 9728910	A	19971205	AU 1997-28910	19970505
AU 711885	B2	19991021		
EP 912567	A1	19990506	EP 1997-922960	19970505
EP 912567	B1	20020410		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1218471	A	19990602	CN 1997-194508	19970505
CN 1067071	B	20010613		

BR 9709230	A	19990810	BR 1997-9230	19970505
HU 9901478	A2	19990830	HU 1999-1478	19970505
JP 2000513717	T	20001017	JP 1997-540456	19970505
JP 3418405	B2	20030623		
MD 980248	A	20001031	MD 1998-248	19970505
AT 215950	T	20020415	AT 1997-922960	19970505
ES 2175404	T3	20021116	ES 1997-922960	19970505
US 6043252	A	20000328	US 1998-154052	19980916
NO 9805222	A	19990111	NO 1998-5222	19981109
KR 2000010918	A	20000225	KR 1998-709066	19981110
US 6117881	A	20000912	US 1999-155811	19990423
US 6306870	B1	20011023	US 2000-592514	20000612
PRIORITY APPLN. INFO.:			GB 1996-9777	A 19960510
			GB 1996-9820	A 19960510
			WO 1997-EP2277	W 19970505
			US 1999-155811	A2 19990423

OTHER SOURCE(S): MARPAT 128:34753  
GI



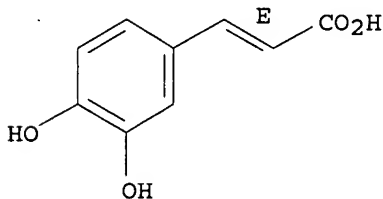
AB Carboline derivs. I [R = H, halogen; R1, R2 = (un)substituted Ph] were prepared and are potent and selective inhibitors of cyclic guanosine 3',5'-monophosphate specific phosphodiesterase (cGMP specific PDE). Thus, tryptamine was cyclized with piperonal and treated with (E)-HO2CCH:CHC6H4NHAc-4 to give I [R = H, R1 = 4-AcNHC6H4, R2 = 3,4-methylenedioxyphenyl, II]. II had an IC50 for cGMP-PDE inhibition of 5 nM.

IT 501-16-6, (E)-3,4-Dihydroxycinnamic acid 537-98-4  
14737-89-4, (E)-3,4-Dimethoxycinnamic acid 20329-98-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of antihypertensive carboline derivs.)

RN 501-16-6 CAPLUS

CN 2-Propenoic acid, 3-(3,4-dihydroxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

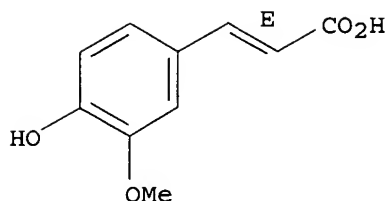
Double bond geometry as shown.



RN 537-98-4 CAPLUS

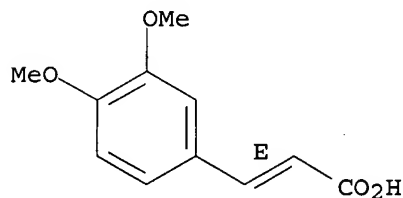
CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



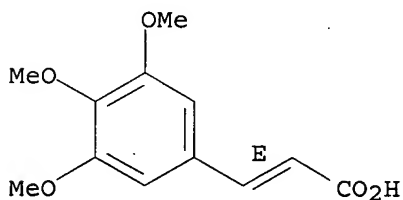
RN 14737-89-4 CAPLUS  
 CN 2-Propenoic acid, 3-(3,4-dimethoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



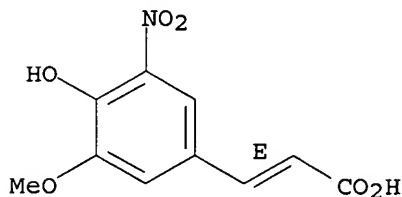
RN 20329-98-0 CAPLUS  
 CN 2-Propenoic acid, 3-(3,4,5-trimethoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 86981-09-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of antihypertensive carboline derivs.)  
 RN 86981-09-1 CAPLUS  
 CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxy-5-nitrophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



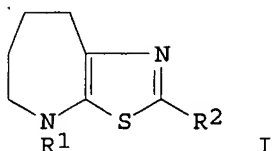
L9 ANSWER 4 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1992:83664 CAPLUS  
 DOCUMENT NUMBER: 116:83664  
 TITLE: Preparation of 5,6,7,8-tetrahydro-4H-thiazolo[5,4-b]azepine derivatives as antihypertensives  
 INVENTOR(S): Aono, Tetsuya; Shimamoto, Norio



PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 63 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03206042	A	19910909	JP 1990-833	19900106
PRIORITY APPLN. INFO.:			JP 1990-833	19900106
OTHER SOURCE(S):	MARPAT 116:83664			

GI



AB The title compds. [I; R1 = H, (un)substituted aliphatic, acyl or sulfonyl; R2 = H, (un)substituted aromatic or aliphatic] are prepared as K channel opener. Thus, 14.8 g 1,1'-carbonyldiimidazole was added to a solution of 12 g 2,6-F2C6H3CO2H in THF and thereto after stirring 15 min at room temperature

9.73

g 3-amino-ε-caprolactam was added and the mixture was stirred 5 h at room temperature to give 13.5 g 3-(2,6-difluorobenzoylamino)-ε-caprolactam which (8.96 g) was refluxed 24 h, with 8.96 g P4S10 in pyridine to give 23.8% I (R1 = H, R2 = 2,6-F2C6H3) (II). II and I (R1 = H, R2 = (Z)-4-Et2NC6H4CH:CH] (III) in vitro inhibited 8 and 100%, resp., rat aorta contraction induced by Et3NCl and BaCl2 and gave no inhibition of the one induced by 80 mM KCl. II and III at 1 mg/kg i.v. lowered 49 and 46%, resp. the blood pressure of rats. A total of 175 I were prepared

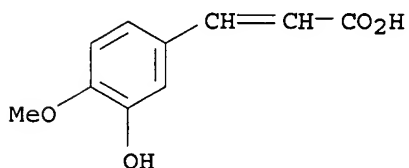
IT 537-73-5, 3-Hydroxy-4-methoxycinnamic acid 128069-93-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(acylation by, of aminocaprolactam)

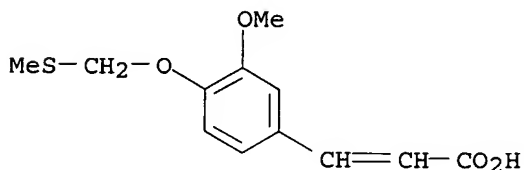
RN 537-73-5 CAPLUS

CN 2-Propenoic acid, 3-(3-hydroxy-4-methoxyphenyl)- (9CI) (CA INDEX NAME)

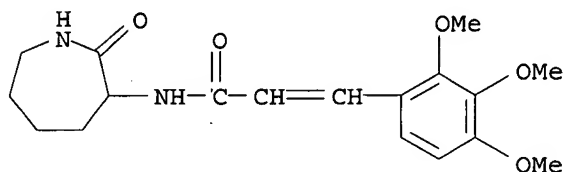


RN 128069-93-2 CAPLUS

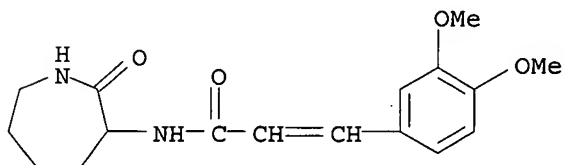
CN 2-Propenoic acid, 3-[3-methoxy-4-[(methylthio)methoxy]phenyl]- (9CI) (CA INDEX NAME)



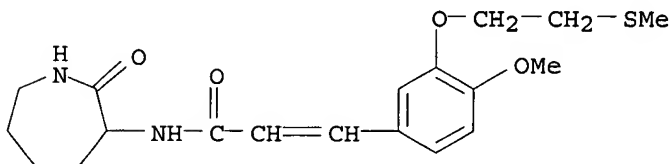
IT 128068-07-5P 128068-08-6P 128068-15-5P  
 128068-16-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and sulfuration-cyclization of, antihypertensive  
 tetrahydrothiazoloazepine derivative from)  
 RN 128068-07-5 CAPLUS  
 CN 2-Propenamide, N-(hexahydro-2-oxo-1H-azepin-3-yl)-3-(2,3,4-  
 trimethoxyphenyl)- (9CI) (CA INDEX NAME)



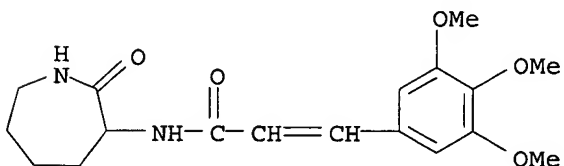
RN 128068-08-6 CAPLUS  
 CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-(hexahydro-2-oxo-1H-azepin-3-yl)-  
 (9CI) (CA INDEX NAME)



RN 128068-15-5 CAPLUS  
 CN 2-Propenamide, N-(hexahydro-2-oxo-1H-azepin-3-yl)-3-[4-methoxy-3-[2-  
 (methylthio)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

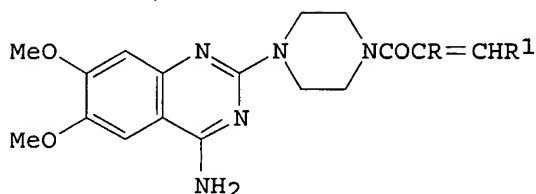


RN 128068-16-6 CAPLUS  
 CN 2-Propenamide, N-(hexahydro-2-oxo-1H-azepin-3-yl)-3-(3,4,5-  
 trimethoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 5 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1983:83222 CAPLUS  
 DOCUMENT NUMBER: 98:83222  
 TITLE: Pyrimidine derivatives. 4. Synthesis and  
 antihypertensive activity of  
 4-amino-2-(4-cinnamoylpiperazino)-6,7-

dimethoxyquinazoline derivatives  
 AUTHOR(S): Sekiya, Tetsuo; Hiranuma, Hidetoshi; Hata, Shunsuke;  
 Mizogami, Susumu; Hanazuka, Mitsuo; Yamada, Shunichi  
 CORPORATE SOURCE: Res. Lab., Mitsubishi Yuka Pharmaceutical Co., Ltd.,  
 Ibaraki, 300-03, Japan  
 SOURCE: Journal of Medicinal Chemistry (1983), 26(3), 411-16  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 98:83222  
 GI



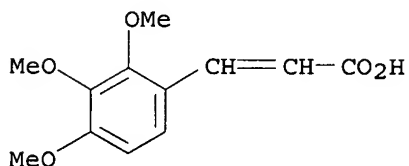
AB The title compds. I (R = H or Me; R1 = Ph, substituted Ph, furyl or thienyl) as the HCl salts, prepared either by condensation of 4-amino-2-chloro-6,7-dimethoxyquinazoline [23680-84-4] with acryloylpiperazines or by selective acylation of 4-amino-6,7-dimethoxy-2-piperazinoquinazoline-HCl [84050-22-6] with mixed anhydrides, were evaluated for their ability to reduce blood pressure in conscious, spontaneously hypertensive rats. 4-Amino-2-(4-cinnamoylpiperazino)-6,7-dimethoxyquinazoline [70842-66-9] Showed activity at oral doses 0.3-10 mg/kg in the above rats, and at 3 and 10 mg in renal hypertensive rats, and  $\alpha$ -adrenoceptor blocking effects in isolated aorta of rats. Structure-activity relations are discussed.

IT 33130-03-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with piperazine hydrobromide)

RN 33130-03-9 CAPLUS

CN 2-Propenoic acid, 3-(2,3,4-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 6 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:995933 CAPLUS

DOCUMENT NUMBER: 141:424343

TITLE: Preparation of nitrosated and nitrosylated compounds for use in pharmaceutical compositions a nitric oxide (NO) donors

INVENTOR(S): Earl, Richard A.; Garvey, David S.; Gaston, Ricky D.; Lin, Chia-En; Ranatunge, Ramani R.; Richardson, Stewart K.; Stevenson, Cheri A.

PATENT ASSIGNEE(S): Nitromed, Inc., USA

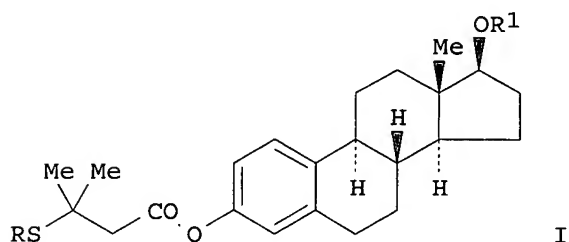
SOURCE: PCT Int. Appl., 181 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098538	A2	20041118	WO 2004-US7943	20040315
WO 2004098538	A3	20050331		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004237574	A1	20041118	AU 2004-237574	20040315
CA 2518506	A1	20041118	CA 2004-2518506	20040315
EP 1603933	A2	20051214	EP 2004-749385	20040315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
US 2006009431	A1	20060112	US 2005-221901	20050909
PRIORITY APPLN. INFO.:			US 2003-453963P	P 20030313
			US 2003-482134P	P 20030625
			WO 2004-US7943	A 20040315
OTHER SOURCE(S):		MARPAT 141:424343		
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AB Nitroso and nitrosyl derivs. of therapeutic agents, such as R-SNO, R-ONO, R-ONO2 [R = antithrombogenic agent, thrombolytic agent, fibrinolytic agent, vasospasm inhibitor, potassium channel blocker, calcium channel blocker, antihypertensive agent, antimicrobial agent, antibiotic, platelet reducing agent, antimitotic agent, antiproliferative agent, microtubule inhibitor, antisecretory agent, remodeling inhibitor, antisense nucleotide, anticancer chemotherapeutic agent, steroid, nonsteroidal antiinflammatory agent, selective COX-2 inhibitor, immunosuppressive agent, growth factor antagonist or antibody, dopamine agonist, radiotherapeutic agent, heavy metal functioning as a radioplaque agent, biol. agent, aldosterone antagonist,  $\alpha$ -adrenergic receptor antagonist, angiotensin II antagonist,  $\beta$ -adrenergic agonist, antihyperlipidemic drug, angiotensin converting enzyme (ACE) inhibitor, antioxidant,  $\beta$ -adrenergic antagonist, endothelin antagonist, neutral endopeptidase inhibitor, renin inhibitor, free radical scavenger, iron chelator, sex hormone, antipolymerase, antiviral agent, photodynamic therapy agent, antibody targeted therapy agent, gene therapy agent, etc.], were prepared for therapeutic use. The compds. and compns. of this

invention can also be bound to a matrix. These nitroso- and nitro-compds. are claimed for use in treating cardiovascular diseases, for inhibiting platelet aggregation and platelet adhesion caused by the exposure of blood to a medical device, for treating pathol. conditions resulting from abnormal cell proliferation; transplantation rejections, autoimmune, inflammatory, proliferative, hyperproliferative or vascular diseases; for reducing scar tissue or for inhibiting wound contraction, particularly the prophylactic and/or therapeutic treatment of restenosis by administering at least one compound of the invention that is optionally nitrosated and/or nitrosylated, in combination with nitric oxide donors that are capable of releasing nitric oxide or indirectly delivering or transferring nitric oxide to targeted sites under physiol. conditions. The compds. of this invention are preferably estradiol compds., troglitazone compds., tranilast compds., retinoic acid compds., resveratrol compds., mycophenolic acid compds., acid compds., anthracenone compds. and trapidil compds. The cardiovascular diseases for treatment include restenosis, coronary artery disease, atherosclerosis, atherogenesis, cerebrovascular disease, angina, ischemic disease, congestive heart failure or pulmonary edema associated with acute myocardial infarction, aneurysm, thrombosis, hypertension, platelet adhesion, platelet aggregation, smooth muscle cell proliferation, a vascular or non-vascular complication associated with the use of a medical device, wounds associated with the use of a medical device, pulmonary thromboembolism, cerebral thromboembolism, thrombophlebitis, thrombocytopenia or a bleeding disorder. The autoimmune diseases for treatment include a pathol. condition resulting from abnormal cell proliferation, polycystic kidney disease, an inflammatory disease, for preserving an organ and/or a tissue or for inhibiting wound contraction in a patient. The pathol. conditions resulting from abnormal cell proliferation include is a cancer, a Kaposi's sarcoma, a cholangiocarcinoma, a choriocarcinoma, a neoblastoma, a Wilm's tumor, Hodgkin's disease, a melanoma, multiple myelomas, a chronic lymphocytic leukemia or an acute or chronic granulocytic lymphoma. The inflammatory diseases for treatment include rheumatoid arthritis, an inflammatory skin disease, restenosis, multiple sclerosis, a surgical adhesion, tuberculosis, a graft rejection, an inflammatory lung disease, an inflammatory bowel disease, an inflammatory disease that affects or causes obstruction of a body passageway, an inflammation of the eye, an inflammation of the nose, an inflammation of the throat or a neovascular diseases of the eye. Thus, S-mono- and O,S-dinitroso- $\beta$ -estradiol derivs. I (R = NO, R1 = H, NO) were prepared via an esterification reaction of  $\beta$ -estradiol with 3-methyl-3-(2,4,6-trimethoxyphenylmethylthio)butyric acid using EDAP and DMAP in DMF to form mono-ester I [R = CH<sub>2</sub>C<sub>6</sub>H<sub>2</sub>-2,4,6-(OMe)<sub>3</sub>, R1 = H], cleavage of the trimethoxybenzyl S-protecting group of the mono-ester using L-cysteine and TFA in CH<sub>2</sub>Cl<sub>2</sub> to give thiol I (R = R1 = H), and finally, treatment of the thiol with Bu nitrite in CH<sub>2</sub>Cl<sub>2</sub> to form the desired S-mono- and O,S-dinitroso- $\beta$ -estradiol derivs. The prepared compds. were assayed for suppression of proliferation of human coronary artery smooth muscle cells.

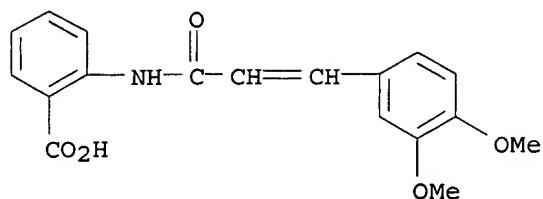
IT 53902-12-8DP, Tranilast, derivs. 794519-34-9P  
794519-35-0P 794519-36-1P 794519-37-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nitrosated and nitrosylated compds. for use in pharmaceutical compns. as nitric oxide (NO) donors)

RN 53902-12-8 CAPLUS

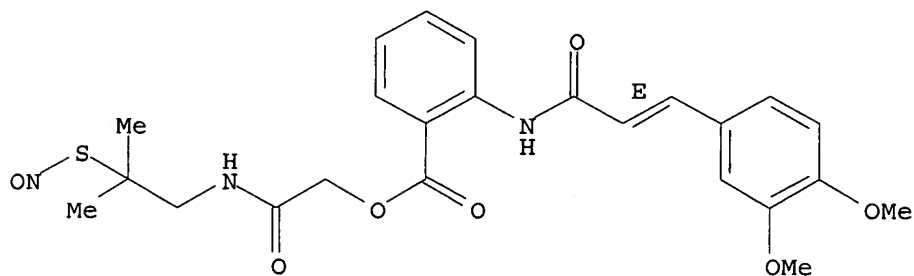
CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
(CA INDEX NAME)



RN 794519-34-9 CAPLUS

CN Benzoic acid, 2-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-, 2-[[2-methyl-2-(nitrosothio)propyl]amino]-2-oxoethyl ester (9CI) (CA INDEX NAME)

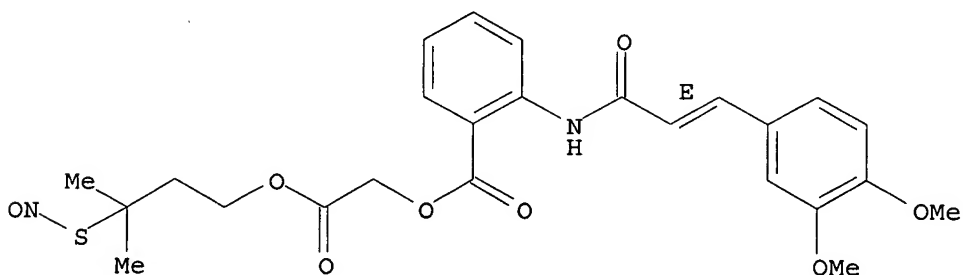
Double bond geometry as shown.



RN 794519-35-0 CAPLUS

CN Benzoic acid, 2-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-, 2-[[3-methyl-3-(nitrosothio)butoxy]-2-oxoethyl ester (9CI) (CA INDEX NAME)

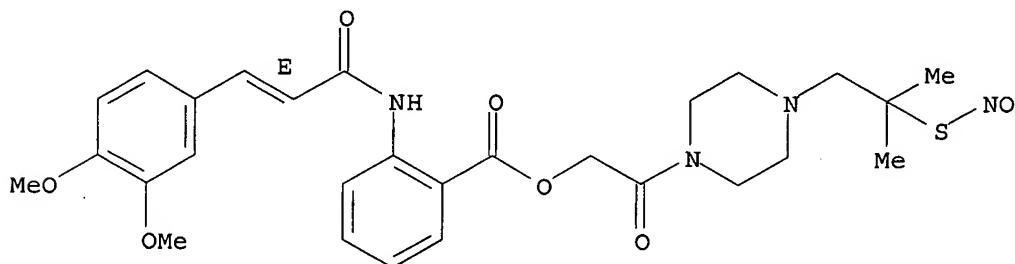
Double bond geometry as shown.



RN 794519-36-1 CAPLUS

CN Benzoic acid, 2-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-, 2-[[4-[2-methyl-2-(nitrosothio)propyl]-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

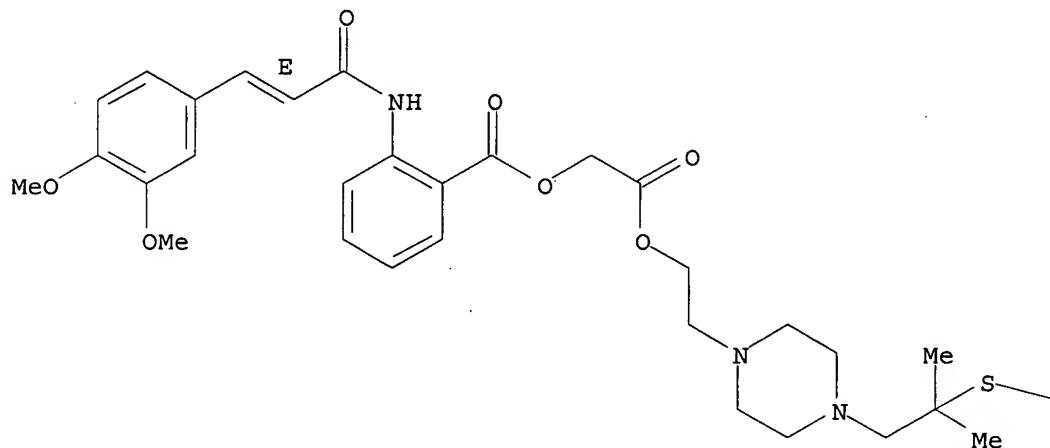
Double bond geometry as shown.



RN 794519-37-2 CAPLUS  
CN Benzoic acid, 2-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-, 2-[2-[4-[2-methyl-2-(nitrosothio)propyl]-1-piperazinyl]ethoxy]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

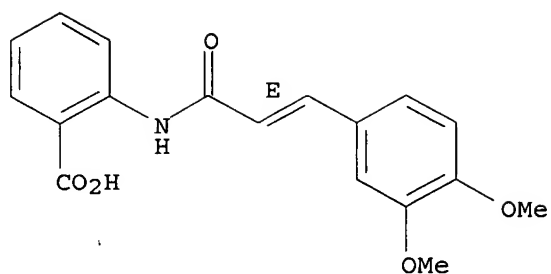


PAGE 1-B

—NO

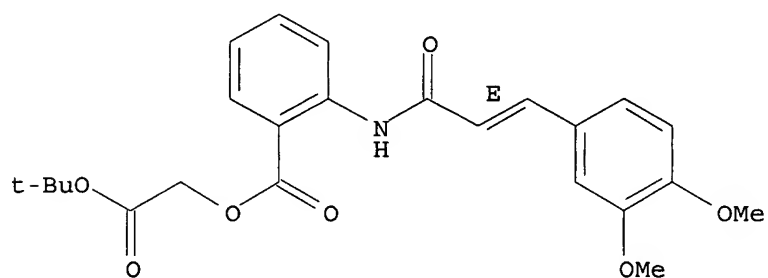
IT 70806-55-2P 794519-94-1P 794519-95-2P  
794519-96-3P 794519-97-4P 794519-98-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of nitrosated and nitrosylated compds. for use in  
pharmaceutical compns. as nitric oxide (NO) donors)  
RN 70806-55-2 CAPLUS  
CN Benzoic acid, 2-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-  
(9CI) (CA INDEX NAME)

Double bond geometry as shown.



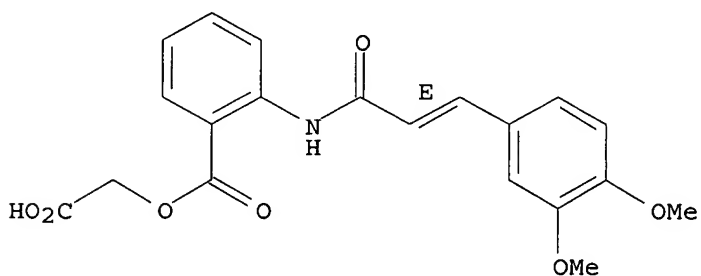
RN 794519-94-1 CAPLUS  
 CN Benzoic acid, 2-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-, 2-(1,1-dimethylethoxy)-2-oxoethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 794519-95-2 CAPLUS  
 CN Benzoic acid, 2-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-, carboxymethyl ester (9CI) (CA INDEX NAME)

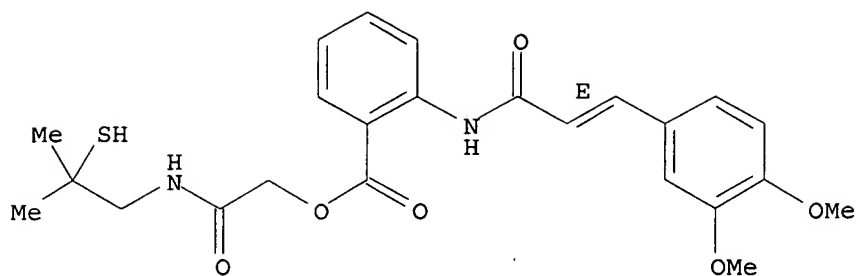
Double bond geometry as shown.



RN 794519-96-3 CAPLUS  
 CN Benzoic acid, 2-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-, 2-[(2-mercapto-2-methylpropyl)amino]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

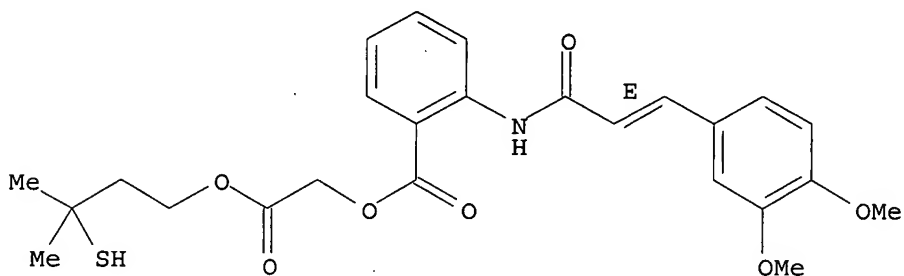




RN 794519-97-4 CAPLUS

CN Benzoic acid, 2-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-, 2-(3-mercapto-3-methylbutoxy)-2-oxoethyl ester (9CI) (CA INDEX NAME)

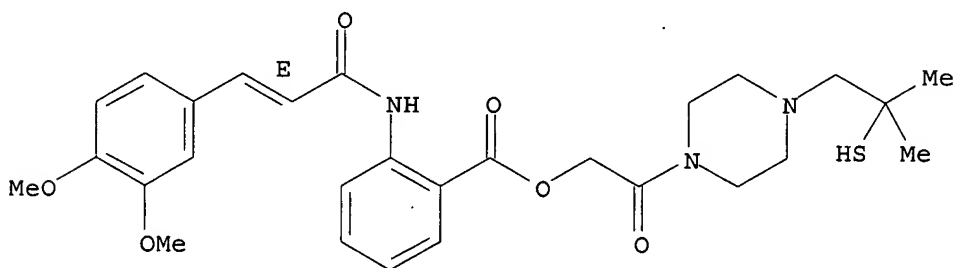
Double bond geometry as shown.



RN 794519-98-5 CAPLUS

CN Benzoic acid, 2-[[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-, 2-[4-(2-mercapto-2-methylpropyl)-1-piperazinyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L9 ANSWER 7 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:152482 CAPLUS

DOCUMENT NUMBER: 134:157568

TITLE: Agent inhibiting hypertensive arteriolar disorder

INVENTOR(S): Iwaki, Yoichi; Kusama, Hiroshi; Tsuji, Atsutoshi

PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 11 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001013911	A1	20010301	WO 2000-JP4528	20000707
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRIORITY APPLN. INFO.: JP 1999-233008 A 19990819

AB This document discloses an agent inhibiting diseases concerning hypertensive arteriolar disorder (cerebral stroke, vascular dementia, hypertensive eyeground, hypertensive retinopathy, etc.) containing as the active ingredient N-(3,4-dimethoxycinnamoyl)anthranilic acid (tranilast), which has effects of remarkably inhibiting arteriolar basement membrane thickening caused by hypertension etc., or pharmacol. acceptable salts thereof.

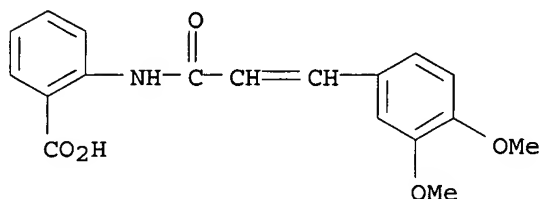
IT 53902-12-8, Tranilast

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(agent inhibiting hypertensive arteriolar disorder)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino] - (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1242303 CAPLUS

DOCUMENT NUMBER: 143:477660

TITLE: Preparation of cyclohexyldiamine derivatives as modulators of ORL1 receptors

INVENTOR(S): Sundermann, Corinna; Sundermann, Bernd

PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005110975	A1	20051124	WO 2005-EP4912	20050506
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

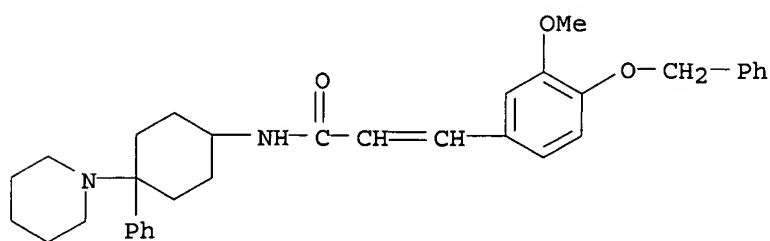
DE 102004023506 A1 20051201 DE 2004-102004023506 20040510  
 CA 2566219 A1 20051124 CA 2005-2566219 20050506  
 EP 1747191 A1 20070131 EP 2005-747800 20050506  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LV  
 PRIORITY APPLN. INFO.: DE 2004-102004023506A 20040510  
 WO 2005-EP4912 W 20050506  
 OTHER SOURCE(S): MARPAT 143:477660  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

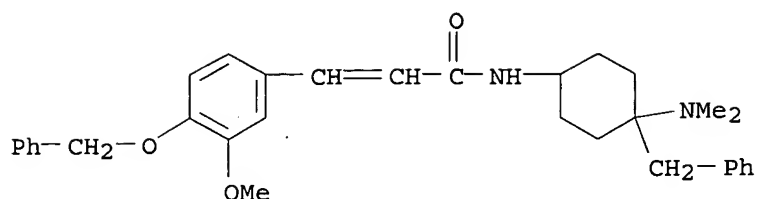
AB Title compds. I [n = 1-2; R1 and R2 independently = H, (un)substituted alkyl, cycloalkyl, etc. or R1 and R2 together may form CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>NR<sub>5</sub>CH<sub>2</sub>CH<sub>2</sub> or (CH<sub>2</sub>)<sub>3-6</sub>; R5 = H, (un)substituted alkyl, aryl, etc.; R3 = (un)substituted alkyl, cycloalkyl, heteroaryl, etc.; R4 = (un)substituted alkyl, heteroaryl, aryl, etc.; X = (CR<sub>6</sub>R<sub>7</sub>)<sub>m</sub>; m = 0-4; A = NH, O, S, etc.; R6 and R7 independently = H, (un)substituted alkyl or aryl with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of ORL1 receptors. Thus, e.g., II was prepared by coupling of N,N-dimethyl-1-phenylcyclohexan-1,4-diamine with 4-phenoxybutyrylchloride and subsequent conversion into the hydrochloride. The binding activity of I towards ORL1 receptors was evaluated in scintillation assays using recombinant CHO-ORL1 cells and it was revealed that selected compds. of the invention displayed binding activity in the range of 43 up to 99%. I as modulator of ORL1 receptors should prove useful in the treatment of obesity, depression and pain. Pharmaceutical compns. comprising I are disclosed.

IT 869798-66-3P 869798-69-6P 869798-83-4P  
 869798-84-5P 869798-86-7P 869799-14-4P  
 869799-18-8P 869799-20-2P 869799-21-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of cyclohexyldiamine derivs. as modulators of ORL1 receptors)

RN 869798-66-3 CAPLUS  
 CN 2-Propenamide, 3-[3-methoxy-4-(phenylmethoxy)phenyl]-N-[4-phenyl-4-(1-piperidinyl)cyclohexyl]- (9CI) (CA INDEX NAME)

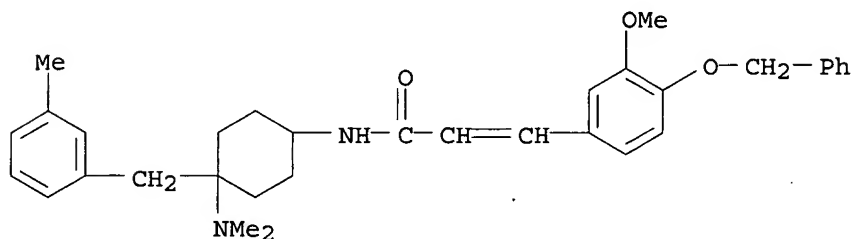


RN 869798-69-6 CAPLUS  
 CN 2-Propenamide, N-[4-(dimethylamino)-4-(phenylmethyl)cyclohexyl]-3-[3-methoxy-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



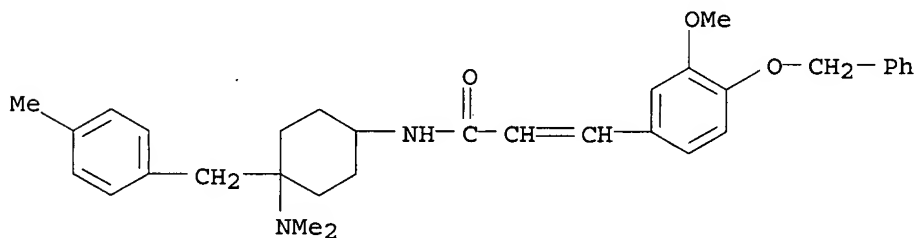
RN 869798-83-4 CAPLUS

CN 2-Propenamide, N-[4-(dimethylamino)-4-[(3-methylphenyl)methyl]cyclohexyl]-  
3-[3-methoxy-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



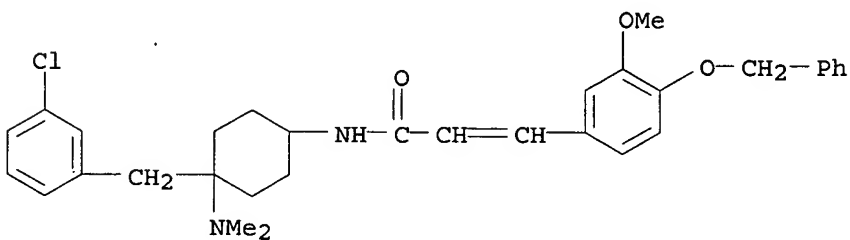
RN 869798-84-5 CAPLUS

CN 2-Propenamide, N-[4-(dimethylamino)-4-[(4-methylphenyl)methyl]cyclohexyl]-  
3-[3-methoxy-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



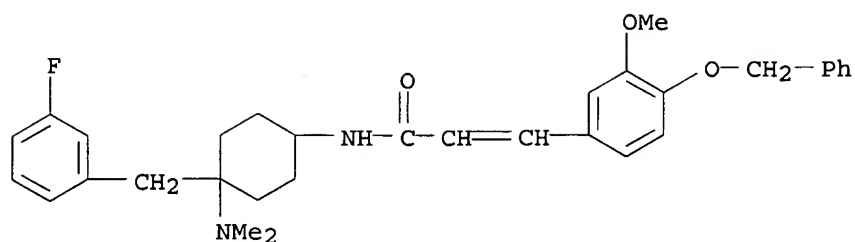
RN 869798-86-7 CAPLUS

CN 2-Propenamide, N-[4-[(3-chlorophenyl)methyl]-4-(dimethylamino)cyclohexyl]-  
3-[3-methoxy-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



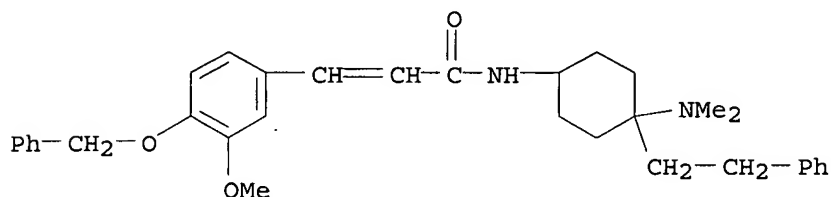
RN 869799-14-4 CAPLUS

CN 2-Propenamide, N-[4-(dimethylamino)-4-[(3-fluorophenyl)methyl]cyclohexyl]-  
3-[3-methoxy-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



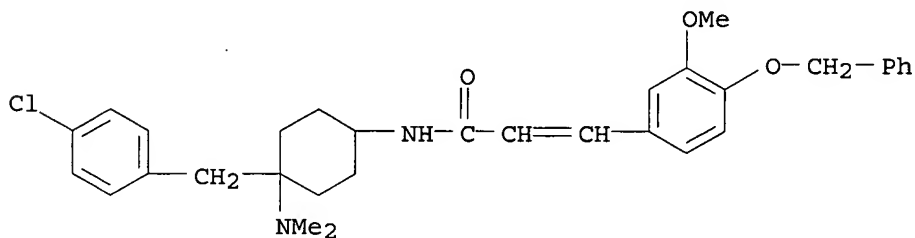
RN 869799-18-8 CAPLUS

CN 2-Propenamide, N-[4-(dimethylamino)-4-(2-phenylethyl)cyclohexyl]-3-[3-methoxy-4-(phenylmethoxy)phenyl]-(9CI) (CA INDEX NAME)



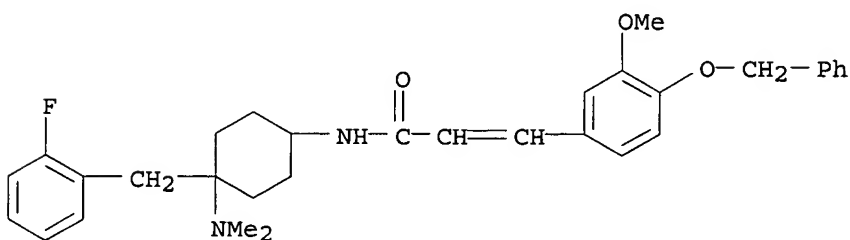
RN 869799-20-2 CAPLUS

CN 2-Propenamide, N-[4-[(4-chlorophenyl)methyl]-4-(dimethylamino)cyclohexyl]-3-[3-methoxy-4-(phenylmethoxy)phenyl]-(9CI) (CA INDEX NAME)



RN 869799-21-3 CAPLUS

CN 2-Propenamide, N-[4-(dimethylamino)-4-[(2-fluorophenyl)methyl]cyclohexyl]-3-[3-methoxy-4-(phenylmethoxy)phenyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:514592 CAPLUS

DOCUMENT NUMBER: 141:17191

TITLE: Tranilast attenuates myocardial fibrosis in

association with suppression of monocyte/macrophage infiltration in DOCA/salt hypertensive rats

AUTHOR(S): Kagitani, Satoshi; Ueno, Hitoshi; Hirade, Satoshi; Takahashi, Toru; Takata, Masanobu; Inoue, Hiroshi

CORPORATE SOURCE: Second Department of Internal Medicine, Toyama Medical and Pharmaceutical University, Toyama, Japan

SOURCE: Journal of Hypertension (2004), 22(5), 1007-1015  
CODEN: JOHYD3; ISSN: 0263-6352

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

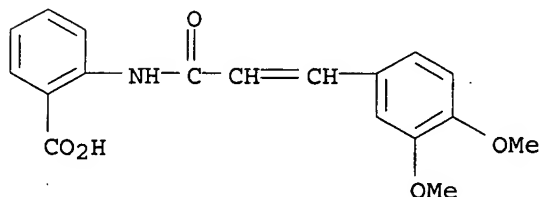
LANGUAGE: English

AB Objective In order to study the association between myocardial fibrosis and inflammatory cell infiltration in the hypertensive heart, we investigated whether N(3,4-dimethoxycinnamoyl) anthranilic acid (tranilast), an anti-inflammatory drug, would suppress myocardial fibrosis via inhibition of inflammatory cell infiltration in deoxycorticosterone-acetate (DOCA) hypertensive rats. Methods Sprague-Dawley rats treated with DOCA combined with the addition of 1% NaCl and 0.2% KCl in the drinking water after left nephrectomy were given tranilast (100 mg/kg per day, n = 15) or vehicle (n = 15) for up to 4 wk. Systolic blood pressure (SBP), amount of myocardial interstitial fibrosis, perivascular fibrosis and type I and III collagen, and mRNA expression of procollagen I (PI) and procollagen III (PIII), transforming growth factor (TGF)- $\beta$ 1, type-1 plasminogen activator inhibitor (PAI-1), monocyte chemoattractant protein (MCP)-1 and interleukin (IL)-6 were determined Results SBP was increased significantly 2 wk after treatment with DOCA and salt. Myocardial interstitial fibrosis, perivascular fibrosis and collagen accumulation increased significantly 4 wk after the treatment. Two weeks after the treatment with DOCA and salt, mRNA expression of PI and PIII, TGF- $\beta$ 1, PAI-1, MCP-1 and IL-6 increased significantly. Although the SBP was similar in animals treated with tranilast or vehicle, monocyte/macrophage infiltration was suppressed, mRNA expression of TGF- $\beta$ 1, PAI-1, MCP-1, IL-6, PI and PIII was attenuated, and myocardial fibrosis and collagen accumulation were suppressed in hypertensive animals receiving tranilast. Conclusion Myocardial fibrosis seen in DOCA/salt hypertensive rats might be associated with the inflammation/wound healing response. Tranilast suppresses both infiltration of monocytes/macrophages and myocardial fibrosis.

IT 53902-12-8, Tranilast  
RL: DMA (Drug mechanism of action); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(tranilast attenuates myocardial fibrosis in association with suppression of monocyte/macrophage infiltration in DOCA/salt hypertensive rats)

RN 53902-12-8 CAPLUS

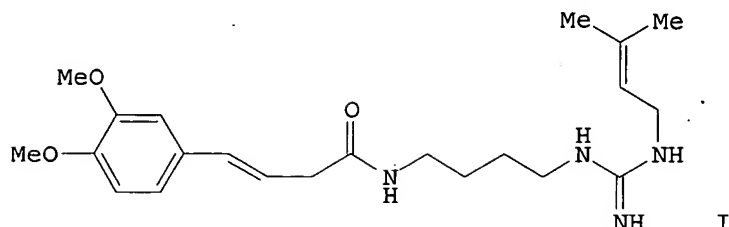
CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino] - (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1993:625746 CAPLUS  
DOCUMENT NUMBER: 119:225746

TITLE: Novel hypotensive agents from *Verbesina caracasana*. 2.  
 Synthesis and pharmacology of caracasanamide  
 AUTHOR(S): Delle Monache, Giuliano; Botta, Bruno; Delle Monache,  
 Franco; Espinal, Romulo; De Bonnevaux, Stella C.; De  
 Luca, Carlo; Botta, Maurizio; Corelli, Federico;  
 Carmignani, Marco  
 CORPORATE SOURCE: Cent. Chim. Recett., Rome, 00168, Italy  
 SOURCE: Journal of Medicinal Chemistry (1993), 36(20), 2956-63  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



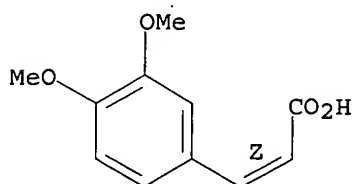
AB Caracasanamide, one of the hypotensive agents isolated from *Verbesina caracasana*, is a mixture of (Z)- and (E)-I. The structure of (E)-I was confirmed by high-yielding synthesis starting from N,N'-bis(tert-butoxycarbonyl)-S-methylisothiourea. The water-soluble (Z)-I, assayed at 50 to 1600 µg/kg i.v. in rats, decreased blood pressure, increased cardiac inotropism, respiratory frequency, and tidal volume, and induced a very slight, insignificant tachycardia. Higher doses produced respiratory depression and, in some cases, consequent cardiac arrest. (Z)-I affects cardiovascular function by acting at the vascular level in inducing arterial vasodilation, by determining sympathetic hypotone through central neurogenic mechanisms, and by interacting with the cardiac β1-adrenoreceptors. The respiratory effects were independent of the cardiovascular ones. In lowering blood pressure, (Z)-I was more potent than guanethidine and no less potent than reserpine and papaverine. (Z)-I may therefore be useful in the treatment of arterial hypertension of moderate degree.

IT 14737-88-3P, (Z)-3,4-Dimethoxycinnamic acid 14737-89-4P,  
 (E)-3,4-Dimethoxycinnamic acid 150785-42-5P 150785-43-6P  
 RL: FORM (Formation, nonpreparative); PREP (Preparation)  
 (formation of, from caracasanamide)

RN 14737-88-3 CAPLUS

CN 2-Propenoic acid, 3-(3,4-dimethoxyphenyl)-, (2Z)- (9CI) (CA INDEX NAME)

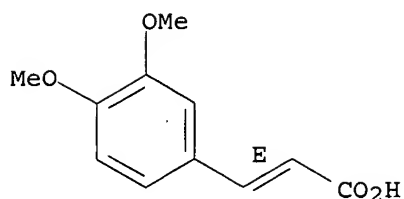
Double bond geometry as shown.



RN 14737-89-4 CAPLUS

CN 2-Propenoic acid, 3-(3,4-dimethoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

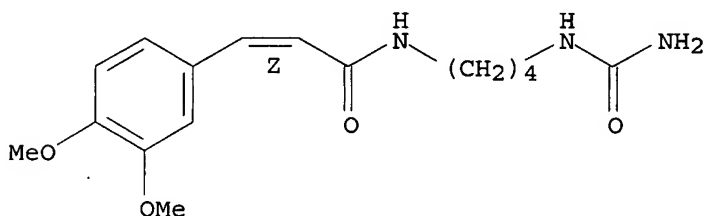
Double bond geometry as shown.



RN 150785-42-5 CAPLUS

CN 2-Propenamide, N-[4-[(aminocarbonyl)amino]butyl]-3-(3,4-dimethoxyphenyl)-, (Z)- (9CI) (CA INDEX NAME)

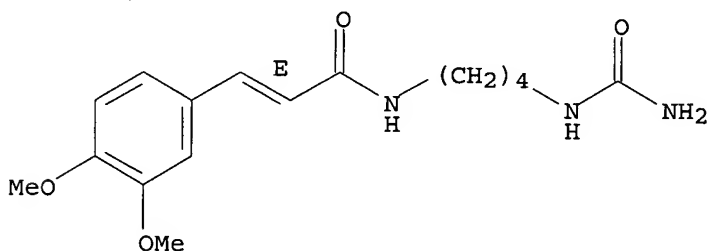
Double bond geometry as shown.



RN 150785-43-6 CAPLUS

CN 2-Propenamide, N-[4-[(aminocarbonyl)amino]butyl]-3-(3,4-dimethoxyphenyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



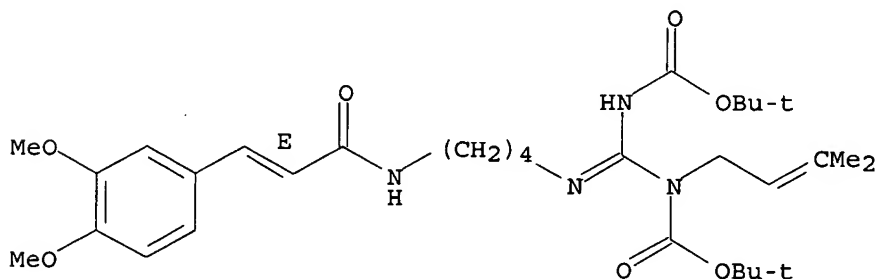
IT 150785-46-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(intermediate in preparation of caracasamide)

RN 150785-46-9 CAPLUS

CN Carbamic acid, [[[4-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]butyl]amino][[(1,1-dimethylethoxy)carbonyl]imino]methyl](3-methyl-2-butenyl)-, 1,1-dimethylethyl ester, (E)- (9CI) (CA INDEX NAME)

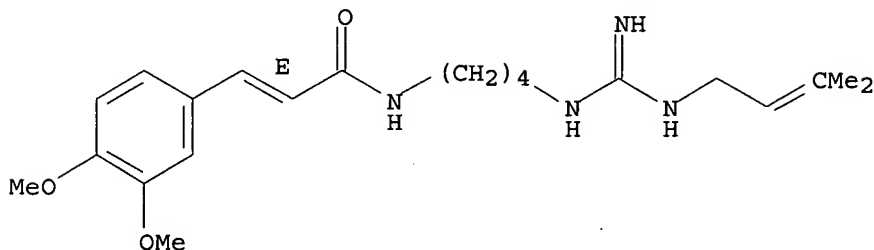
Double bond geometry as shown.





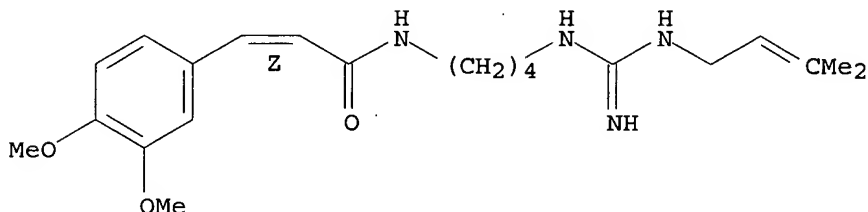
IT 146269-39-8P, (E)-Caracasamide  
 RL: PREP (Preparation)  
 (isolation and mol. structure of)  
 RN 146269-39-8 CAPLUS  
 CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[4-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]butyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 146269-40-1P, (Z)-Caracasamide  
 RL: PREP (Preparation)  
 (isolation, mol. structure, and antihypertensive activity of)  
 RN 146269-40-1 CAPLUS  
 CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[4-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]butyl]-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L9 ANSWER 11 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:523280 CAPLUS

DOCUMENT NUMBER: 143:59817

TITLE: Preparation of nitrooxy derivatives of carvedilol and other  $\beta$ -blockers as antihypertensive drugs

INVENTOR(S): Del Soldato, Piero; Benedini, Francesca; Ongini, Ennio

PATENT ASSIGNEE(S): Nicox S. A., Fr.

SOURCE: PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005053685	A1	20050616	WO 2004-EP13683	20041201
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG

AU 2004294297	A1	20050616	AU 2004-294297	20041201
CA 2548129	A1	20050616	CA 2004-2548129	20041201
EP 1691804	A1	20060823	EP 2004-803434	20041201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1886132	A	20061227	CN 2004-80035459	20041201
NO 2006003057	A	20060630	NO 2006-3057	20060630
PRIORITY APPLN. INFO.:			EP 2003-104484	A 20031202
			WO 2004-EP13683	W 20041201

OTHER SOURCE(S): MARPAT 143:59817

AB Title compds. A(YONO2)s [s = 1, 2; A = R1CH(OZ)CH2NZ1R2; R1 =  
 1-naphthyloxymethyl, 4-(Me2CHOCH2CH2OCH2)C6H4OCH2, indol-4-yloxymethyl,  
 carbazol-4-yloxymethyl, 4-MeSO2NHC6H4, etc.; R2 = CHMe2, CMe3,  
 2-MeOC6H4OCH2CH2, etc.; Z = H, CO, CO2, etc.; Z1 = H, CO; Y =  
 (substituted) alkylene, cycloalkylene, etc.], were prepared Thus,  
 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl][(6-  
 nitrooxyhexanoyl)amino]]-2-propanol (preparation from carvedilol and  
 6-bromohexanoic acid described) increased cGMP levels in PC12 cells with  
 EC50 = 0.6 µM.

IT 853906-63-5P 853906-72-6P

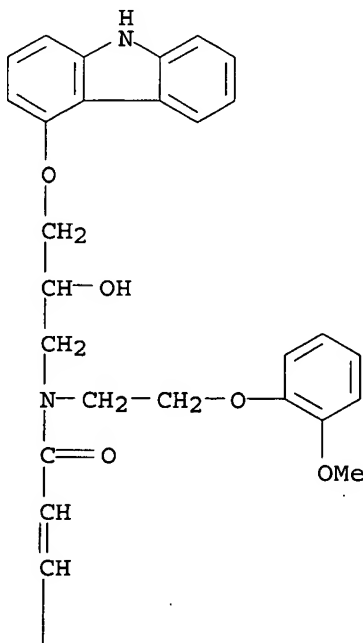
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(claimed compound; preparation of nitrooxy derivs. of carvedilol and other  
 β-blockers as antihypertensive drugs)

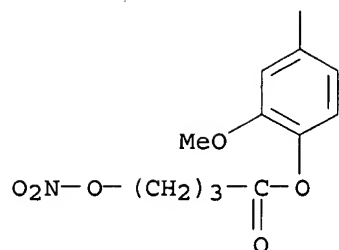
RN 853906-63-5 CAPLUS

CN Butanoic acid, 4-(nitrooxy)-, 4-[3-[[3-(9H-carbazol-4-yloxy)-2-  
 hydroxypropyl][2-(2-methoxyphenoxy)ethyl]amino]-3-oxo-1-propenyl]-2-  
 methoxyphenyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



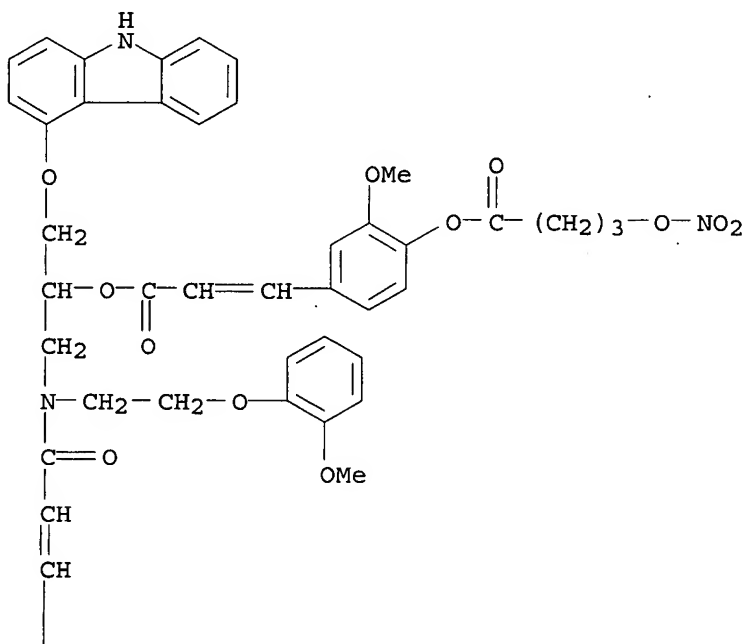
PAGE 2-A



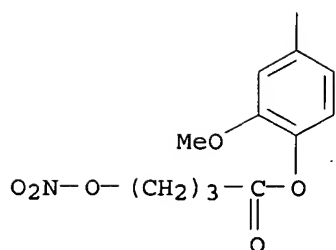
RN 853906-72-6 CAPLUS

CN Butanoic acid, 4-(nitrooxy)-, 4-[3-[2-(9H-carbazol-4-yloxy)-1-[[[3-[3-methoxy-4-[4-(nitrooxy)-1-oxobutoxy]phenyl]-1-oxo-2-propenyl][2-(2-methoxyphenoxy)ethyl]amino]methyl]ethoxy]-3-oxo-1-propenyl]-2-methoxyphenyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1980:111045 CAPLUS

DOCUMENT NUMBER: 92:111045

TITLE: Quinazoline derivatives with antihypertensive action

INVENTOR(S): Mizogami, Susumu; Hiranuma, Hidetoshi; Sekiya, Tetsuo; Hanazuka, Mitsuo

PATENT ASSIGNEE(S): Mitsubishi Yuka Yakuhin Co., Ltd., Japan

SOURCE: Ger. Offen., 66 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

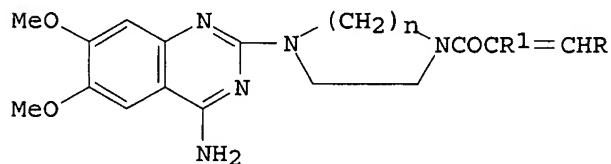
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2848263	A1	19790510	DE 1978-2848263	19781107
JP 54066691	A	19790529	JP 1977-133105	19771108
US 4189484	A	19800219	US 1978-956326	19781031
GB 2008106	A	19790531	GB 1978-43202	19781103
ES 475173	A1	19790416	ES 1978-475173	19781107
BE 871821	A1	19790507	BE 1978-191577	19781107
DK 7804955	A	19790509	DK 1978-4955	19781107
SE 7811492	A	19790509	SE 1978-11492	19781107
NL 7811050	A	19790510	NL 1978-11050	19781107
FR 2407928	A1	19790601	FR 1978-31595	19781108
PRIORITY APPLN. INFO.:			JP 1977-133105	A 19771108
			JP 1978-20891	A 19780227

OTHER SOURCE(S): MARPAT 92:111045

GI



I

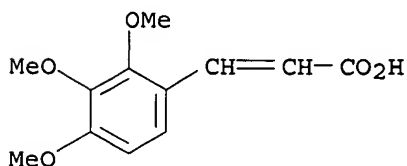
AB The quinazoline derivs. I [R = (substituted) aryl, thienyl, furyl, or pyridyl; R1 = H, alkyl; n = 2, 3] were prepared for use as antihypertensives (test data tabulated). Thus, 2-chloro-4-amino-6,7-dimethoxyquinazoline reacted with 1-(4-methylcinnamoyl)piperazine to give I (R = 4-MeC6H4, R1 = H, n = 2).

IT 33130-03-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with piperazine)

RN 33130-03-9 CAPLUS

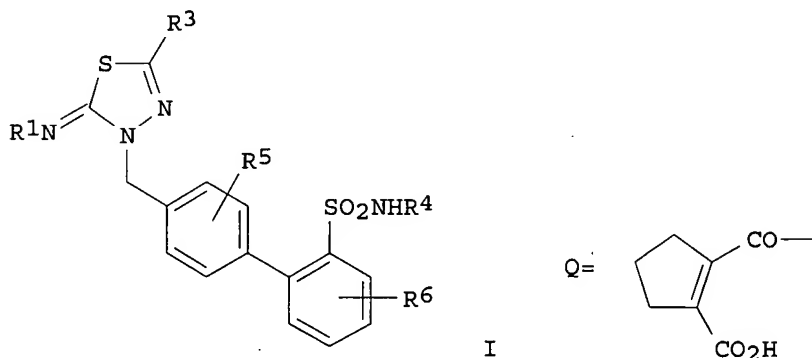
CN 2-Propenoic acid, 3-(2,3,4-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 13 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1996:666870 CAPLUS  
 DOCUMENT NUMBER: 125:301001  
 TITLE: Preparation of 3-(2'-sulfamoylbiphenyl-4-yl)methyl-2-imino-1,3,4-thiazolidine derivatives as antihypertensives  
 INVENTOR(S): Sakae, Shinya; Yokomoto, Masaharu; Inoe, Satoshi; Nishimura, Koji; Hirata, Akikage; Iguma, Kenichi; Tamura, Koichi  
 PATENT ASSIGNEE(S): Wakunaga Seiyaku Kk, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08208632	A	19960813	JP 1995-280093	19951027
PRIORITY APPLN. INFO.:			JP 1995-280093	A 19951027
			JP 1994-264755	19941028

OTHER SOURCE(S): MARPAT 125:301001  
 GI



AB The title compds. [I; R1 = H, COR2; wherein R2 = (un)substituted lower alkyl, cycloalkyl, or cycloalkenyl, (un)substituted aryl-lower alkyl or aryl-lower alkenyl, Ph, or aromatic heterocyclyl, lower alkoxy or aralkyloxy; R3 = halo, lower alkyl or cycloalkyl, (un)substituted Ph, lower alkyl alkoxy; R4 = H, lower alkyl, acyl; R5, R6 = H, halo, lower alkyl], which show potent angiotensin II-antagonizing, smooth muscle-relaxing, and antihypertensive activity, are prepared Thus, 533 mg 5-ethyl-2-trifluoroacetamido-1,3,4-thiadiazole and 1.00 g 4-bromomethyl-2'-(N-tert-butylsulfamoylbiphenyl-4-yl)biphenyl were added to DMF and stirred at room temperature for 4 h to give 606 mg I (R1 = CF3CO, R3 = Et, R5 = R6 = H, R4 = tert-butyl). I (R1 = Q, R3 = Et, R4 = CO2Et, R5 = R6 = H) and I (R1 = 2-ClC6H4CO, R3 = Et, R4 = COC6H4CO2Me-2, R5 = R6 = H) in vitro showed IC50 of 3.0 and 5.3 nM, resp., for inhibiting angiotensin II and in vivo inhibited angiotensin II-induced hypertension of rats by 53.4 and 62.3%, resp., at 0.1 mg/kg i.v.

IT 183000-48-8P

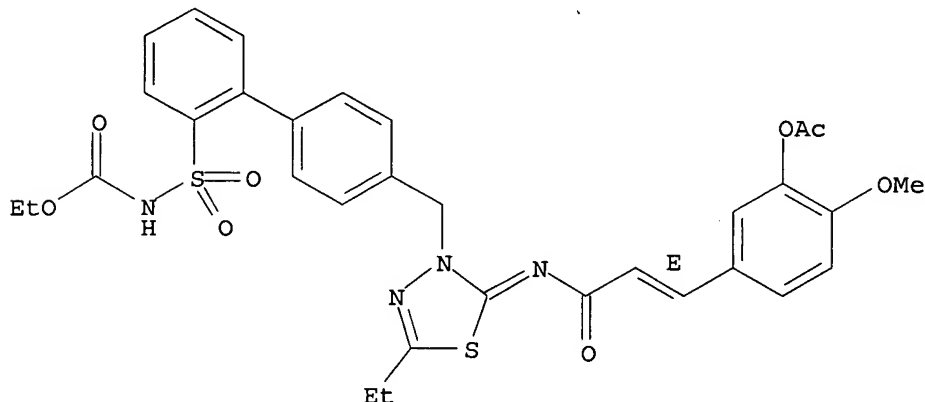
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of [(sulfamoylbiphenyl)methyl]iminothiazolidine derivs. as antihypertensives, angiotensin II antagonists, and smooth

muscle relaxants)

RN 183000-48-8 CAPLUS

CN Carbamic acid, [[4'-[[2-[[3-[3-(acetyloxy)-4-methoxyphenyl]-1-oxo-2-propenyl]imino]-5-ethyl-1,3,4-thiadiazol-3(2H)-yl]methyl][1,1'-biphenyl]-2-yl]sulfonyl]-, ethyl ester, (?E)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



L9 ANSWER 14 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:362641 CAPLUS

DOCUMENT NUMBER: 144:350688

TITLE: Losartan derivatives with antioxidant properties, and their preparation and use as antihypertensives with tissue damage prevention activities

INVENTOR(S): Alajarin Ferrandez, Ramon; Alvarez-Builla Gomez, Julio; Diez Marques, Maria Luisa; Garcia Navazo, Gonzalo; Rodriguez Puyol, Diego; Rodriguez Puyol, Manuel

PATENT ASSIGNEE(S): Universidad de Alcala, Spain

SOURCE: Span., 19 pp.  
CODEN: SPXXAD

DOCUMENT TYPE: Patent  
LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2242543	A1	20051101	ES 2004-1050	20040430
PRIORITY APPLN. INFO.:			ES 2004-1050	20040430
OTHER SOURCE(S):			CASREACT 144:350688; MARPAT 144:350688	
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

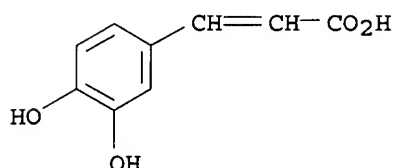
AB Losartan derivs. I and a process for their preparation are disclosed [in which: X = H, Cl; A = residue of 8 specific phenolic carboxylic acid antioxidants, e.g., 3,4-dihydroxybenzoyl]. The preparation process involves Mitsunobu reaction of tritylated losartan derivative II with corresponding, optionally protected antioxidant acids, followed by appropriate deprotection of the obtained intermediate. Depending upon the deprotective conditions, the chlorine atom of II may or may not remain. I are prepared as pharmaceuticals with simultaneous angiotensin II

receptor-blocking and antioxidant properties, and are beneficial for preventing tissue damage in patients with cardiovascular risks. Thus, Mitsunobu reaction of II with 3-[3,4-bis(benzyloxy)phenyl]propanoic acid in the presence of PPh<sub>3</sub> and di-Me azodicarboxylate in Et<sub>2</sub>O gave 63% intermediate III. Hydrogenolytic deprotection of III with 1 atm H<sub>2</sub> over 30% Pd/C, with concomitant dechlorination, gave 56% invention compound IV, designated GGN 841. In tests for displacement of labeled angiotensin II from its receptor, and for inhibition of angiotensin II-induced contraction of human mesangial cells in vitro, IV was as active or slightly more active than losartan itself. In addition, the antioxidant activity of IV, determined by inhibition of the oxidation of ABTS in vitro, was 8-fold greater than that of losartan.

IT 331-39-5DP, 3,4-Dihydroxycinnamic acid, losartan derivative esters  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; losartan derivs. with antioxidant properties, and their preparation and use as antihypertensives with tissue damage prevention activities)

RN 331-39-5 CAPLUS

CN 2-Propenoic acid, 3-(3,4-dihydroxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 15 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:583950 CAPLUS

DOCUMENT NUMBER: 115:183950

TITLE: Preparation of amino acid conjugates as renal-selective prodrugs for the treatment of hypertension

INVENTOR(S): Reitz, David B.; Koepke, John P.; Blaine, Edward H.; Schuh, Joseph R.; Manning, Robert E.; Smits, Glenn J.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 459 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

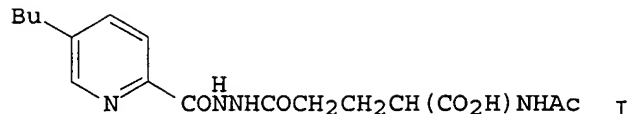
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9101724	A1	19910221	WO 1990-US4168	19900725
W: CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
EP 484437	A1	19920513	EP 1990-912307	19900725
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04506967	T	19921203	JP 1990-511397	19900725
WO 9201667	A1	19920206	WO 1991-US611	19910128
W: CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 2003220521	A1	20031127	US 2002-151211	20020520
US 2004101523	A1	20040527	US 2003-689919	20031020
PRIORITY APPLN. INFO.:			US 1989-386527	A2 19890727
			WO 1990-US4168	W 19900725
			US 1994-280170	B1 19940725

US 1996-639493	B1 19960429
US 1999-444888	B1 19991122
US 2000-678015	A1 20001002
US 2002-151211	B1 20020520

OTHER SOURCE(S): MARPAT 115:183950  
GI

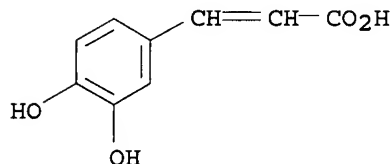


AB Title compds., conjugates comprising a 1st residue and a 2nd residue connected by a cleavable bond, wherein the 1st residue is an inhibitor of the biosynthesis of an adrenergic neurotransmitter and the 2nd residue is cleaved by an enzyme located predominantly in the kidney, are prepared 5-[(5-Butyl-2-pyridinyl)carbonyl]-L-glutamic acid hydrazide (preparation given) in MeCN/H<sub>2</sub>O was treated with 2 equiv of 1M K<sub>2</sub>CO<sub>3</sub> followed by Ac<sub>2</sub>O and K<sub>2</sub>CO<sub>3</sub> to give the L-glutamic hydrazide I. In spontaneously hypertensive rats, I at 8 mg/h lowered blood pressure from 146 to 122 mm Hg on day 1 and to 115 mm Hg on day 5. Addnl. compds. were prepared and tested. A large number of compds. are claimed.

IT 331-39-5DP, kidney enzyme-cleavable conjugate  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as prodrug antihypertensive)

RN 331-39-5 CAPLUS

CN 2-Propenoic acid, 3-(3,4-dihydroxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 16 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:671689 CAPLUS

DOCUMENT NUMBER: 121:271689

TITLE: Caracasanamide - a novel antihypertensive agent

AUTHOR(S): Lee, An-Rong; Lin, Connie K.; Huang, Wen-Hsin; Chen, Hsiu-Ho

CORPORATE SOURCE: School Pharmacy, National Defense Medical Center, Taipei, Taiwan

SOURCE: Yixue Yanjiu (1994), 14(6), 357-70  
CODEN: YIXYE3; ISSN: 1011-4564

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB Caracasanamide is one of the hypotensive agents isolated from *Verbesina caracasana*. It is the mixture of E/Z forms of 1-[3,4-(dimethoxycinnamoyl)amino]-4-[(3-methyl-2-butenyl)guanidino]butane. At nontoxic dose, Z-caracasanamide possesses significant, in vivo, activity of antihypertension with a lasting duration. Z-Caracasanamide was found to decrease blood pressure and to increase cardiac inotropism, respiratory frequency, and tidal volume, and to induce a very slight and not significant tachycardia. The pharmacol. profiles indicate that the cardiovascular actions of this compound might result from: (a) a decrease in sympathetic outflow through central neurogenic mechanisms; (b) arterial vasodilation;



and, (c) an interaction with the cardiac  $\beta$ -1 adrenoreceptors. Z-Caracasamide is as effective as reserpine in lowering blood pressure and demonstrates a longer duration than guanethidine, papaverine, histamine, and thus is a candidate in clin. application. This paper deals with the origin, structure, synthesis, pharmacol. actions and advantages of actions of caracasamide.

IT 128009-16-5 146269-39-8, Caracasamide

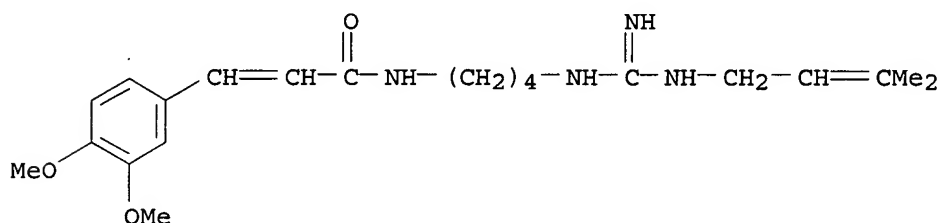
146269-40-1, z-Caracasamide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antihypertensive activity and mechanism of action of)

RN 128009-16-5 CAPLUS

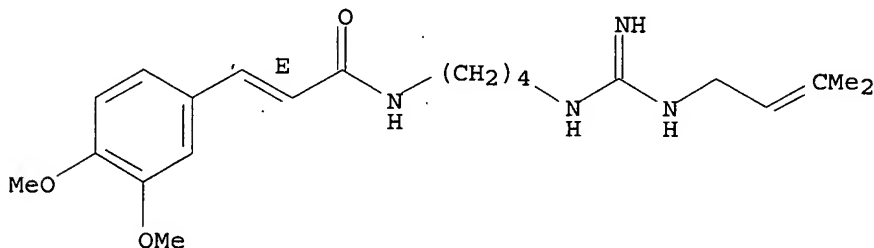
CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[4-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]butyl]- (9CI) (CA INDEX NAME)



RN 146269-39-8 CAPLUS

CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[4-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]butyl]-, (E)- (9CI) (CA INDEX NAME)

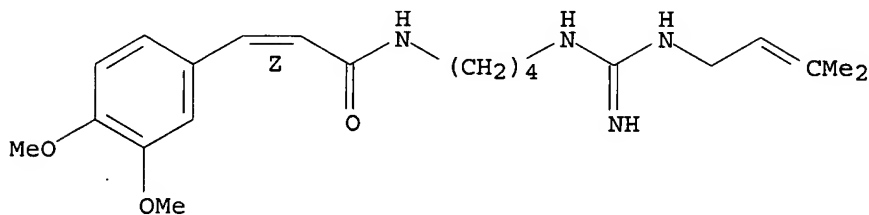
Double bond geometry as shown.



RN 146269-40-1 CAPLUS

CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[4-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]butyl]-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



TITLE: Reduction in left ventricular messenger RNA for transforming growth factor  $\beta$ 1 attenuates left ventricular fibrosis and improves survival without lowering blood pressure in the hypertensive TGR(mRen2)27 rat

AUTHOR(S): Pinto, Yigal M.; Pinto-Sietsma, Sara-Joan; Philipp, Tobias; Engler, Sonja; Kossmehl, Peter; Hoher, Berthold; Marquardt, Heike; Sethmann, Svenja; Lauster, Roland; Merker, Hans-Joachim; Paul, Martin

CORPORATE SOURCE: Department of Clinical Pharmacology and Toxicology Benjamin Franklin Medical Center, Freie Universitat Berlin, Berlin, 14195, Germany

SOURCE: Hypertension (2000), 36(5), 747-754  
CODEN: HPRTDN; ISSN: 0194-911X

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

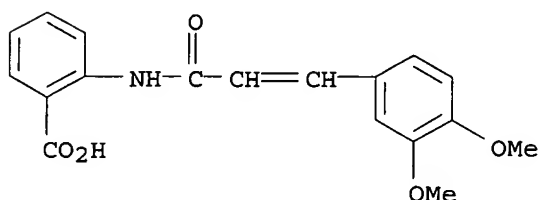
LANGUAGE: English

AB Angiotensin II recruits transforming growth factor  $\beta$ 1 (TGF $\beta$ 1) and is related to left ventricular fibrosis. However, it is unclear whether chronic in vivo reduction in left ventricular TGF $\beta$ 1 expression blunts fibrosis and improves outcome in angiotensin II-dependent hypertension. Four-week-old male hypertensive TGR(mRen2)27 (Ren2) rats received either normal food, low-dose losartan (0.5 mg/kg/d), or tranilast (a nonspecific TGF $\beta$  inhibitor; 400 mg/kg/d) for 12 wk and were compared with Sprague-Dawley control rats. The effect of tranilast on survival was evaluated in 34 addnl. untreated homozygous Ren2 rats. Tranilast or low-dose losartan did not lower blood pressure. However, the increase in left ventricular weight (Ren2 vs. SD 3.1 vs. 2.1 mg/g) was significantly blunted by both tranilast (2.7) and losartan (2.7). Both drugs prevented the increase in left ventricular TGF $\beta$ 1 mRNA and fibronectin mRNA and blunted the increase in hydroxyproline content and the increase in perivascular fibrosis. The perivascular fibrosis score correlated significantly with the level of expression of TGF $\beta$ 1 ( $r = 0.62$ ). In situ hybridization demonstrated increases in TGF $\beta$ 1 mRNA, predominantly in perivascular and nonmyocyte areas. Both drugs did not prevent the decrease in systolic or diastolic dP/dt, but tranilast significantly improved the survival of untreated Ren2 rats. In conclusion, TGF $\beta$ 1 mRNA expression is increased predominantly in nonmyocyte regions in the hypertrophied left ventricle in this angiotensin II-dependent model of hypertension. This increase is probably due to high angiotensin II levels rather than to hypertension. This is the first study to suggest that chronic inhibition of TGF $\beta$ 1 expression attenuates left ventricular hypertrophy and fibrosis, even without lowering blood pressure.

IT 53902-12-8, Tranilast  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(TGF- $\beta$ 1 mRNA reduction in left ventricle attenuates left ventricular fibrosis and improves survival without lowering blood pressure in hypertensive TGR(mRen2)27 rats)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 18 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:113676 CAPLUS

DOCUMENT NUMBER: 141:64675

TITLE: Effects of sodium ferulate on plasma levels of endothelin-1 and nitric oxide in patients with renal hypertension and chronic renal insufficiency

AUTHOR(S): Yang, Jinghua; Zhou, Qiaoling; Cheng, Xiaomiao; Deng, Shengli; Wu, Cailing

CORPORATE SOURCE: Department of Nephrology, Xiangya Hospital, Central South University, Changsha, 410008, Peop. Rep. China

SOURCE: Hunan Yike Daxue Xuebao (2002), 27(5), 445-447

CODEN: HYXBET; ISSN: 1000-5625

PUBLISHER: Hunan Yike Daxue

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB The changes of plasma endothelin-1 (ET-1) and nitric oxide and the effect of Na ferulate on patients with renal hypertension and chronic renal insufficiency were studied. Group I: sixty patients with renal hypertension and chronic renal insufficiency were divided into two groups: A and B. The patients in Group A were treated with Na ferulate and the routine therapy while those in Group B were treated only with the routine therapy. The serum concns. of ET-1 and NO were measured. The level of plasma ET-1 was higher and the level of NO was lower in Group A and B than those in Group C ( $P < 0.01$ ). In Group A, plasma ET-1, blood urea-N (BUN), creatinine, and urinary protein were decreased while plasma NO was increased significantly after the treatment ( $P < 0.01$ ). Compared with Group B, those changes in Group A were more significant ( $P < 0.01$ ). There was a pos. correlation between ET-1 and blood pressure (Bp). There was a neg. correlation between NO and Bp. The level of plasma ET-1 of the patients was remarkably higher than that of the normal subjects in the control group, while NO was remarkably lower; Na ferulate can regulate the balance of plasma ET-1 and NO in patients with renal hypertension and chronic renal insufficiency; and Na ferulate played an important role in protecting renal functions and delaying chronic renal failure.

IT 24276-84-4, Sodium ferulate

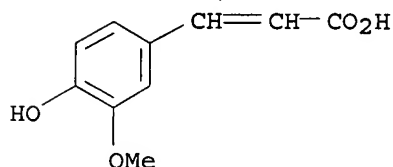
RL: DMA (Drug mechanism of action); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(effects of sodium ferulate on plasma levels of endothelin-1 and nitric oxide in patients with renal hypertension and chronic renal insufficiency)

RN 24276-84-4 CAPLUS

CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)-, monosodium salt (9CI)  
(CA INDEX NAME)



⊗ Na

L9 ANSWER 19 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:514574 CAPLUS

DOCUMENT NUMBER: 141:46677

TITLE: Tranilast and hypertensive heart disease:  
Further insights into mechanisms of an  
anti-inflammatory and anti-fibrotic drug

AUTHOR(S): Pfab, Thiemo; Hocher, Berthold

CORPORATE SOURCE: Center for Cardiovascular Research (CCR) and  
Department of Nephrology, Berlin, Germany

SOURCE: Journal of Hypertension (2004), 22(5), 883-886  
CODEN: JOHYD3; ISSN: 0263-6352

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal; General Review

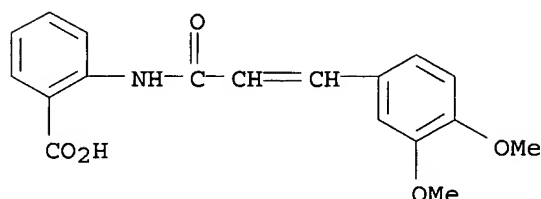
LANGUAGE: English

AB A review on pharmacol. characteristics of tranilast, anti-proliferative  
and antifibrotic effects (apart from mast-cell stabilization), and  
anti-inflammatory potency of tranilast, mol. mechanisms of  
anti-inflammatory tranilast action, pathogenesis of hypertensive  
heart disease, and preventive effect of tranilast on cardiac fibrosis. A  
polemic with S. Kagitani et al. (ibid. 2004, 22, 1007) is added,  
concerning anti-inflammatory aspects of tranilast action in the course of  
cardiac fibrosis development. Tranilast is supposed to be a promising  
compound against fibrotic remodeling of the heart and possibly other organs  
such as the kidney in diabetic nephropathy.

IT 53902-12-8, Tranilast  
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(mol. mechanisms of antiinflammatory and antifibrotic effects of  
tranilast in hypertensive heart disease and heart fibrosis)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:581843 CAPLUS

DOCUMENT NUMBER: 135:180762

TITLE: Preparation of nitrogen-containing compounds having  
kinase inhibitory activity and drugs-containing the  
same

INVENTOR(S): Takami, Atsuya; Iijima, Hiroshi; Iwakubo, Masayuki;  
Okada, Yuji

PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 372 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

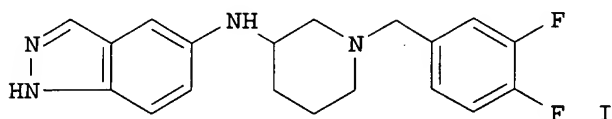
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

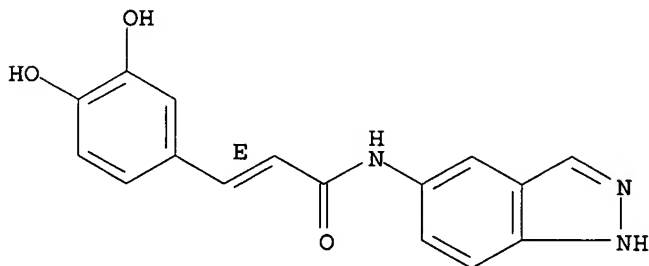
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056988	A1	20010809	WO 2001-JP721	20010201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,				

HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 AU 2001030564 A5 20010814 AU 2001-30564 20010201  
 EP 1256574 A1 20021113 EP 2001-902730 20010201  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 US 2004102437 A1 20040527 US 2003-181943 20030519  
 PRIORITY APPLN. INFO.: JP 2000-24292 A 20000201  
 WO 2001-JP721 W 20010201  
 OTHER SOURCE(S): MARPAT 135:180762  
 GI



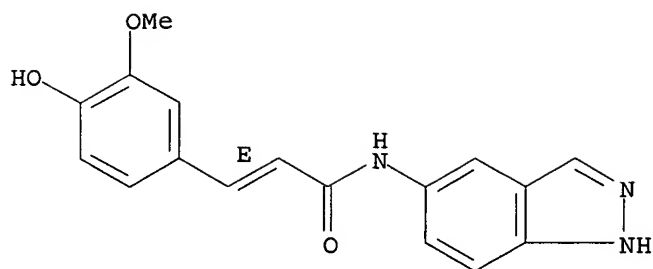
AB Title compds. [HetXZ; Het = monocyclic heterocycle or dicycle heterocycle having at least one nitrogen; X = NHCONHQ, NHCOQ1; Q, Q1 independently = bond, alkylene, alkenylene; Z = H halo, monocyclohydrocarbon, dicyclohydrocarbon, tricyclohydrocarbon, heterocycle], pharmaceutically acceptable salts thereof and solvates of the same are prepared as Rho kinase inhibitors. Thus, the title compound I was prepared and biol. tested for blood pressure lowering effect in spontaneous hypertensive rats and diminished urine protein excretion effect in rabbits having GBM-antibody-mediated kidney disease.  
 IT 353539-62-5P 353539-74-9P 353539-79-4P  
 353539-84-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of nitrogen-containing compds. having kinase inhibitory activity)  
 RN 353539-62-5 CAPLUS  
 CN 2-Propenamide, 3-(3,4-dihydroxyphenyl)-N-1H-indazol-5-yl-, (2E)- (9CI)  
 (CA INDEX NAME)

Double bond geometry as shown.



RN 353539-74-9 CAPLUS  
 CN 2-Propenamide, 3-(4-hydroxy-3-methoxyphenyl)-N-1H-indazol-5-yl-, (2E)- (9CI) (CA INDEX NAME)

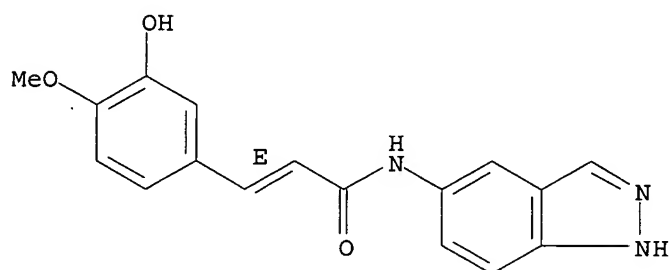
Double bond geometry as shown.



RN 353539-79-4 CAPLUS

CN 2-Propenamide, 3-(3-hydroxy-4-methoxyphenyl)-N-1H-indazol-5-yl-, (2E)-  
(9CI) (CA INDEX NAME)

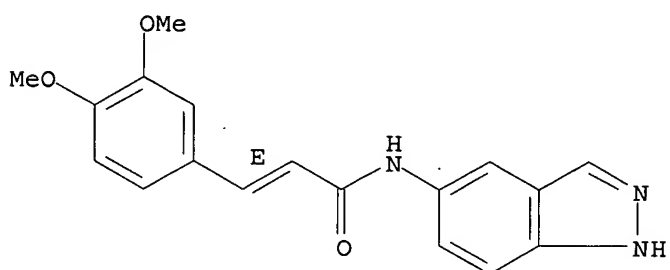
Double bond geometry as shown.



RN 353539-84-1 CAPLUS

CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-1H-indazol-5-yl-, (2E)- (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.



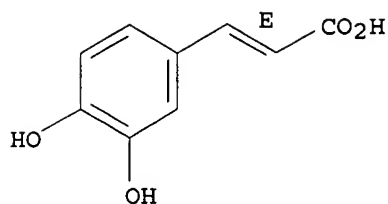
IT 501-16-6 537-98-4 14737-89-4  
25522-33-2

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of nitrogen-containing compds. having kinase inhibitory activity)

RN 501-16-6 CAPLUS

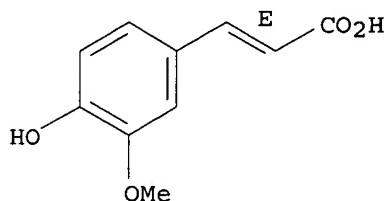
CN 2-Propenoic acid, 3-(3,4-dihydroxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



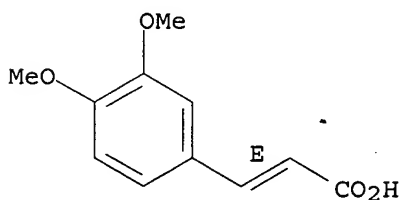
RN 537-98-4 CAPLUS  
CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



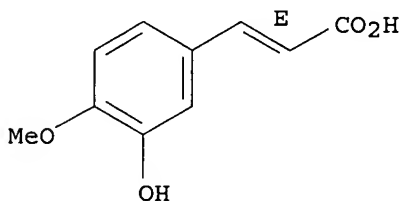
RN 14737-89-4 CAPLUS  
CN 2-Propenoic acid, 3-(3,4-dimethoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 25522-33-2 CAPLUS  
CN 2-Propenoic acid, 3-(3-hydroxy-4-methoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 236 THERE ARE 236 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 21 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1990:429237 CAPLUS

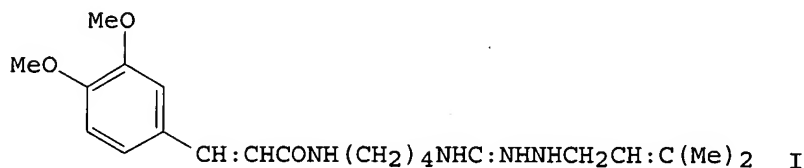
DOCUMENT NUMBER: 113:29237

TITLE: Guanidine derivatives having hypotensive activity, composition containing them, and process for obtaining them

INVENTOR(S): Delle Monache, Giuliano; Delle Monache, Franco; Botta,

PATENT ASSIGNEE(S): Bruno; Bonnevaux Castillo, Stella; Espinal, Romulo; De Luca, Carlo; Carmignani, Marco  
 SOURCE: Consiglio Nazionale delle Ricerche, Italy  
 Eur. Pat. Appl., 26 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 330629	A2	19890830	EP 1989-830067	19890217
EP 330629	A3	19901031		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 02003661	A	19900109	JP 1989-45003	19890223
US 5059624	A	19911022	US 1989-315107	19890224
PRIORITY APPLN. INFO.:			IT 1988-47665	A 19880224
OTHER SOURCE(S):	MARPAT 113:29237			
GI				



AB Guanidine derivs.  $R_1\text{NHCH}_2\text{NHC:NHNHR}_2$ , and  $R_2\text{NHC:NHNH}(\text{CH}_2)_n\text{NHR}_3\text{NH}(\text{CH}_2)_n\text{NHC:NHNHR}_2$  [ $R_1 = \text{H}$ , (substituted)cinnamoyl;  $R_2 = \text{H}$ , alkyl, alkenyl with the proviso both  $R_1$  and  $R_2 \neq \text{H}$ ;  $R_3 =$  (substituted)truxinoyl, (substituted)truxilloyl;  $n = 1-8$ ], useful as hypotensives, may be synthesized or isolated from *Verbesina caracasana*. Thus, *V. caracasana* was extracted with MeOH, the residue was extracted with EtOAc/H<sub>2</sub>O, and the H<sub>2</sub>O-soluble portion was lyophilized and then resuspended in MeOH. Chromatog. of the solution on silica using CHCl<sub>3</sub> eluant yielded I 3-6 g. I, administered i.v. to rats at 50-6400 µg/kg, reduced arterial pressure and increased heart rate and respiration rate. I LD<sub>50</sub> i.p. in mice was 57 mg/kg. Synthesis of I is also described.

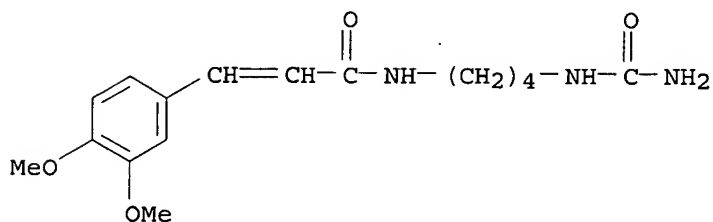
IT 128009-20-1

RL: PROC (Process)

(isolation of, from extract of *Verbesina caracasana*)

RN 128009-20-1 CAPLUS

CN 2-Propenamide, N-[4-[(aminocarbonyl)amino]butyl]-3-(3,4-dimethoxyphenyl)-(9CI) (CA INDEX NAME)



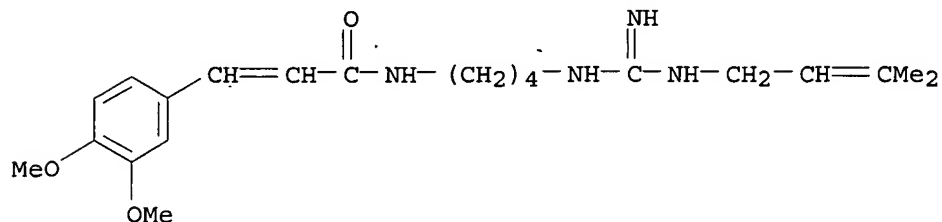
IT 128009-16-5 128009-18-7

RL: PROC (Process)

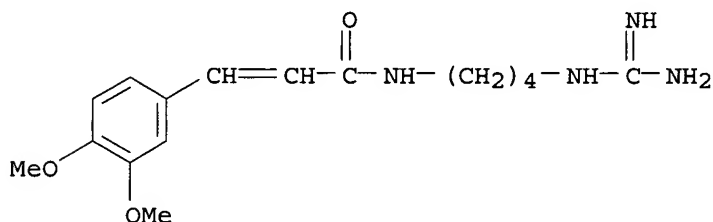
(isolation of, from *Verbesina caracasana*, as antihypertensive)



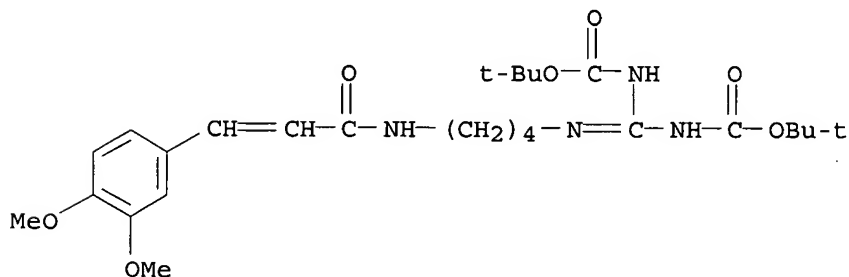
RN 128009-16-5 CAPLUS  
 CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[4-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]butyl]- (9CI) (CA INDEX NAME)



RN 128009-18-7 CAPLUS  
 CN 2-Propenamide, N-[4-[(aminoiminomethyl)amino]butyl]-3-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



IT 128009-24-5P  
 RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and decarboxylation of, in antihypertensive preparation)  
 RN 128009-24-5 CAPLUS  
 CN Carbamic acid, [[4-[[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]butyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



L9 ANSWER 22 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1999:659729 CAPLUS  
 DOCUMENT NUMBER: 131:295291  
 TITLE: Effect of tranilast on the retinal vessels in the hypertensive rat  
 AUTHOR(S): Honda, Yukie; Aoike, Chiaki  
 CORPORATE SOURCE: Second Dep. Ophthalmol., Toho Univ. Sch. Med., 2-17-6 Ohashi, Meguro-ku, Tokyo, 153-0044, Japan  
 SOURCE: Atarashii Ganka (1999), 16(9), 1291-1294  
 CODEN: ATGAEX; ISSN: 0910-1810  
 PUBLISHER: Medikaru Ai Shuppan  
 DOCUMENT TYPE: Journal

LANGUAGE: Japanese

AB We investigated the effect of tranilast on the retinal vessels in spontaneously hypertensive rats (SHR). Salt loading stroke-prone SHR (SHR-sp) were assigned to either the treated group (dosed with tranilast) or the untreated group. After treatment, computer imaging anal. showed retinal vessel thickness to be significantly inhibited in the treatment group after 8 wk of treatment (p = 0.008). This result suggests that tranilast may have an inhibitory effect on early stage hypertensive retinopathy.

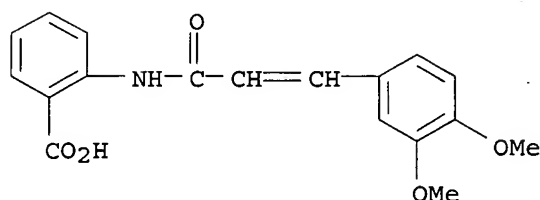
IT 53902-12-8, Tranilast

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(effect of tranilast on retinal vessels in hypertensive rat)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
(CA INDEX NAME)



L9 ANSWER 23 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1973:72199 CAPLUS

DOCUMENT NUMBER: 78:72199

TITLE: Pharmacologically active acyl derivatives of 1-aminopiperazines

INVENTOR(S): Fauran, C.; Turin, M.; Raynaud, G.; Dorme, N.

PATENT ASSIGNEE(S): Delalande S. A.

SOURCE: Fr. Demande, 14 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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FR 2115024	A5	19720707	FR 1970-42063	19701124
FR 2115024	B1	19740322		

PRIORITY APPLN. INFO.: FR 1970-42063 A 19701124

GI For diagram(s), see printed CA Issue.

AB 4-Aminocarbonylmethyl-1-acylaminopiperazines I [R = NHCHMe<sub>2</sub>, pyrrolidino; R<sub>1</sub> = p-FC<sub>6</sub>H<sub>4</sub>CH:CH, 3,4,5-(MeO)3C<sub>6</sub>H<sub>2</sub>CH:CH, 3,4,5-(MeO)3C<sub>6</sub>H<sub>2</sub>, 3,4-methylenedioxystyryl, 2,4-Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH:CH, 3,4-(MeO)2C<sub>6</sub>H<sub>3</sub>CH:CH, 4-MeOC<sub>6</sub>H<sub>4</sub>CH:CH, 4,3-Cl(H<sub>2</sub>NSO<sub>2</sub>)C<sub>6</sub>H<sub>3</sub>] were prepared by acylating 4-aminocarbonylmethyl-1-aminopiperazines. I exhibited hypotensive, sympathomimetic, diuretic, analgesic, antiinflammatory, choleretic, and spasmolytic activity.

IT 39855-73-7P 39855-74-8P 39855-81-7P

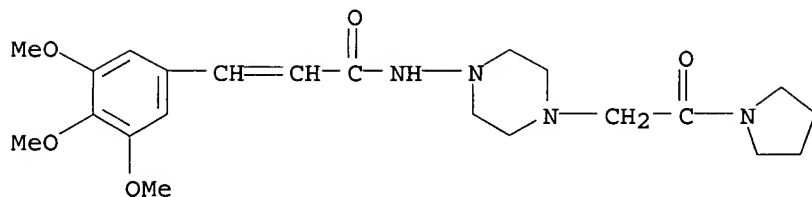
39855-82-8P 39855-87-3P 39855-97-5P

39855-98-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 39855-73-7 CAPLUS

CN 2-Propenamide, N-[4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1-piperazinyl]-3-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



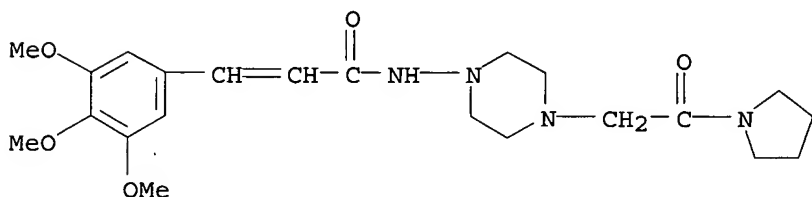
RN 39855-74-8 CAPLUS

CN 2-Propenamide, N-[4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1-piperazinyl]-3-(3,4,5-trimethoxyphenyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 39855-73-7

CMF C22 H32 N4 O5

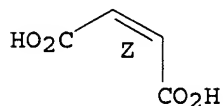


CM 2

CRN 110-16-7

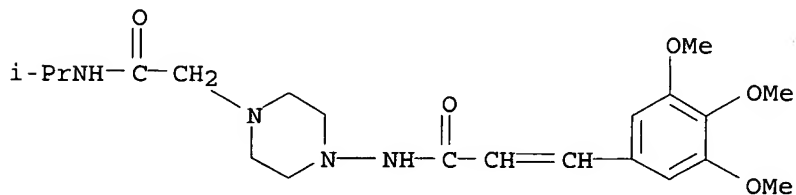
CMF C4 H4 O4

Double bond geometry as shown.



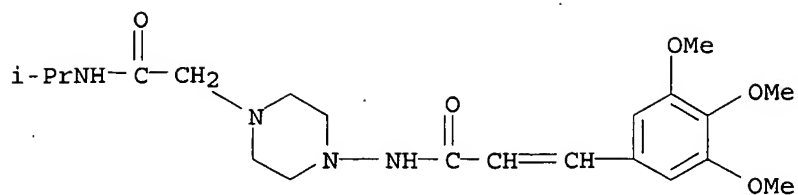
RN 39855-81-7 CAPLUS

CN 1-Piperazineacetamide, N-(1-methylethyl)-4-[[1-oxo-3-(3,4,5-trimethoxyphenyl)-2-propenyl]amino]- (9CI) (CA INDEX NAME)



RN 39855-82-8 CAPLUS

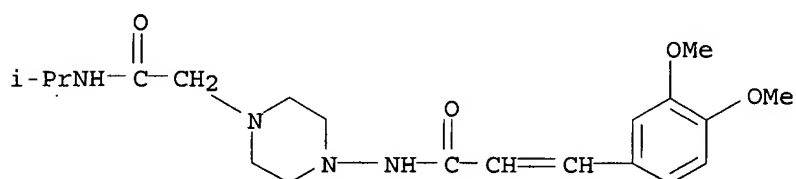
CN 1-Piperazineacetamide, N-(1-methylethyl)-4-[[1-oxo-3-(3,4,5-trimethoxyphenyl)-2-propenyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

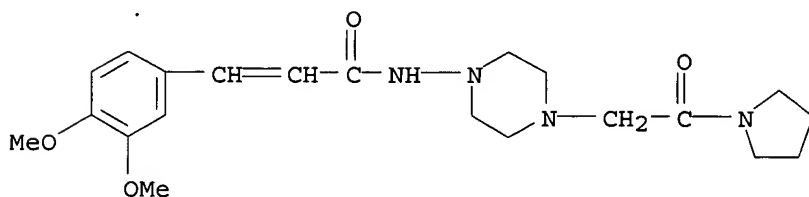
RN 39855-87-3 CAPLUS

CN 1-Piperazineacetamide, 4-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 39855-97-5 CAPLUS

CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)



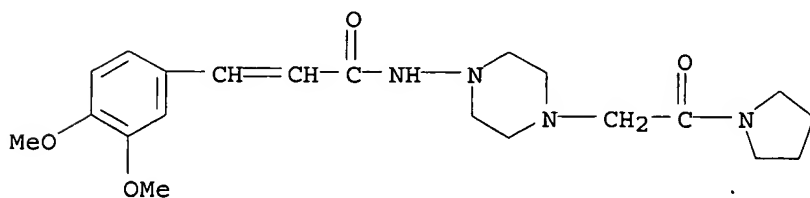
RN 39855-98-6 CAPLUS

CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1-piperazinyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 39855-97-5

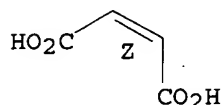
CMF C21 H30 N4 O4



CM 2

CRN 110-16-7  
CMF C4 H4 O4

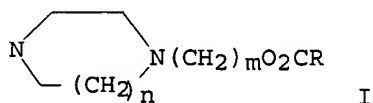
Double bond geometry as shown.



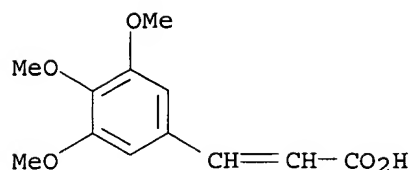
L9 ANSWER 24 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1977:106677 CAPLUS  
DOCUMENT NUMBER: 86:106677  
TITLE: Piperazine- and homopiperazinealkanol esters  
INVENTOR(S): Kato, Hideo; Nishikawa, Tomoyasu; Mouri, Takaaki  
PATENT ASSIGNEE(S): Hokuriku Pharmaceutical Co., Ltd., Japan  
SOURCE: Austrian, 11 pp.  
CODEN: AUXXAK  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 4  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 333287	B	19761110	AT 1974-135	19740109
AT 7400135	A	19760315		
JP 50062983	A	19750529	JP 1973-112289	19731008
JP 52024031	B	19770628		
JP 50062988	A	19750529	JP 1973-112290	19731008
JP 52046235	B	19771122		
JP 50062984	A	19750529	JP 1973-112291	19731008
JP 52024032	B	19770628		
PRIORITY APPLN. INFO.:			JP 1973-112289	A 19731015
			JP 1973-112290	A 19731015
			JP 1973-112291	A 19731015

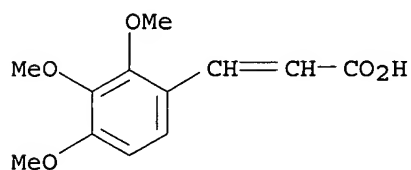
GI



AB Hexahydro-1H-1,4-diazepine- and piperazine-1-alkanol esters [I; R = e.g. 2,3,4-(MeO)3C6H2, 3,4,5-(MeO)3C6H2, 3,4-(MeO)2C6H3, 2-ClC6H4, Ph, 2-pyridinyl, PhCH:CH; n = 1, 2; m = 2, 3], useful as antihypertensives (no data), are prepared by esterification of the alkanols with the appropriate acids. Thus, refluxing of 1-piperazineethanol and 2,3,4-(MeO)3C6H2CO2H in presence of 4-MeC6H4SO3H 15 h in C6H6 and treatment with maleic acid gives 46% I dimaleate monohydrate [R = 2,3,4-(MeO)3C6H2, n = 1, m = 2].  
IT 90-50-6 33130-03-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification by, of piperazine- and homopiperazinealkanol)  
RN 90-50-6 CAPLUS  
CN 2-Propenoic acid, 3-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

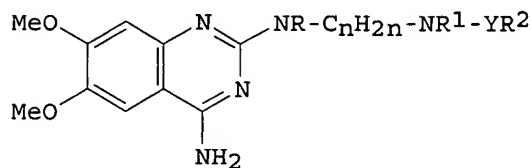


RN 33130-03-9 CAPLUS  
 CN 2-Propenoic acid, 3-(2,3,4-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

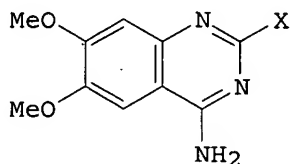


L9 ANSWER 25 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1980:94421 CAPLUS  
 DOCUMENT NUMBER: 92:94421  
 TITLE: Alkylenediamine derivatives  
 INVENTOR(S): Philippe, Michel; Manoury, Jacques  
 PATENT ASSIGNEE(S): Synthelabo S. A., Fr.  
 SOURCE: Fr. Demande, 12 pp. Addn. to Fr. Demande 2,362,630.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

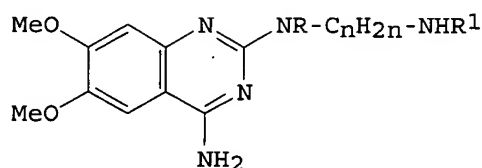
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2389613	A2	19781201	FR 1977-13659	19770505
FR 2389613	B2	19801205		
PRIORITY APPLN. INFO.:			FR 1977-13659	A 19770505
OTHER SOURCE(S):	MARPAT 92:94421			
GI				



I



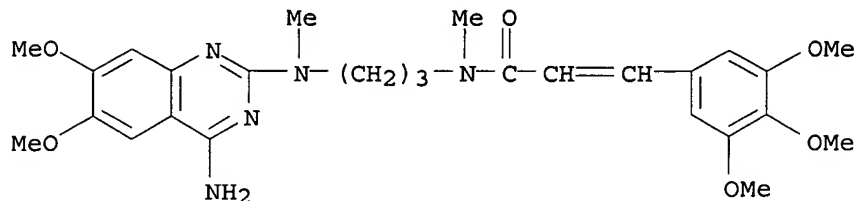
II



III

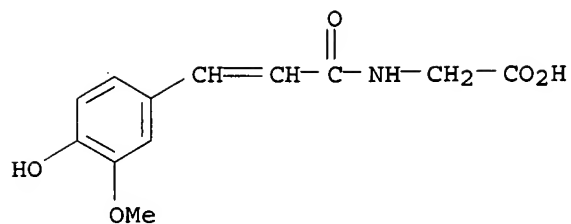
AB The diamines I [R,R1(same or different) = H, C1-5 alkyl; R2 = (un)substituted phenyl, C1-4 alkoxy, alkyl, pyridyl, furyl, etc.; n = 2-4; Y = CO, SO2], having relatively long-lived antihypertensive activity, were prepared by condensing II (X = halo) with RNHCnH2nNR1YR2 or III with XYR2. Thus, stirring I (R = R1 = Me, n = 3) with

3,4,5-(MeO)3C6H2CH:CHCOCl in CHCl3 at room temperature 30 min gave 47% I [R =  
 R1 = Me, n = 3, R2 = 3,4,5-(MeO)3C6H2CH:CH, Y = CO], isolated as HCl salt.  
 IT 72766-58-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and antihypertensive activity of)  
 RN 72766-58-6 CAPLUS  
 CN 2-Propenamide, N-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]-N-methyl-3-(3,4,5-trimethoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

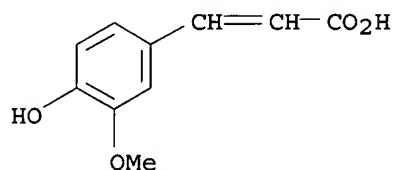


● HCl

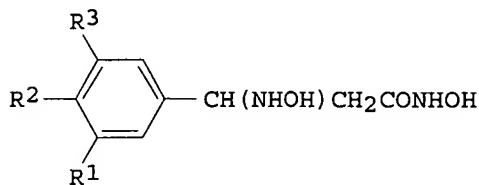
L9 ANSWER 26 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1965:11279 CAPLUS  
 DOCUMENT NUMBER: 62:11279  
 ORIGINAL REFERENCE NO.: 62:2093g-h,2094a  
 TITLE: Urinary excretion of phenolic acids and indole derivatives in hypertonias  
 AUTHOR(S): Borschel, W.; Hartmann, F.; Heimsoth, V.; Ruge, W.  
 CORPORATE SOURCE: Med. Univ. Poliklin., Marburg/Lahn, Germany  
 SOURCE: Klinische Wochenschrift (1964), 42(19), 927-35  
 CODEN: KLWOAZ; ISSN: 0023-2173  
 DOCUMENT TYPE: Journal  
 LANGUAGE: German  
 AB Using paper chromatog., .apprx.40 phenolic acids and .apprx.35 indole and other Ehrlichpos. substances were demonstrated in the urine of patients having various forms of hypertension, and of normals. Of these, 26 phenolic acids and .apprx.20 indole, etc. derivs. were identified as known metabolic products. Qual. changes in the excretion pattern of hypertensive, as compared to normal, urine occurred only in the case of m-hydroxybenzoic acid, which was present only in urines of hypertensive patients. Excretion of p-hydroxybenzoic, o-hydroxyhippuric, 3-(4-hydroxy-3-methoxyphenyl)hydracrylic acid, and vanilmandelic acid was increased irregularly in hypertensive urines. Vanillic acid excretion was increased in some patients, and diminished in others. The most distinct changes compared with normal urines appeared in a group of juvenile hypertensives with highly labile blood pressure values. There were no changes in the excretion of tryptophan-degradation products. 25 refs.  
 IT 1220-05-9, Glycine, N-(4-hydroxy-3-methoxycinnamoyl)-  
 (in urine chromatog. of, in hypertension)  
 RN 1220-05-9 CAPLUS  
 CN Glycine, N-[3-(4-hydroxy-3-methoxyphenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)



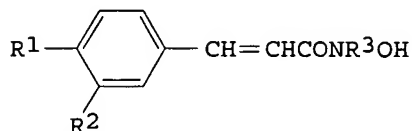
IT 1135-24-6, Cinnamic acid, 4-hydroxy-3-methoxy-  
 (in urine, in hypertension)  
 RN 1135-24-6 CAPLUS  
 CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 27 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1983:498801 CAPLUS  
 DOCUMENT NUMBER: 99:98801  
 TITLE: Preparation and study of 3-phenyl-3-hydroxyaminopropionhydroxamic acids  
 AUTHOR(S): Fountain, K. R.; Early, T.; Erwin, R.; Aijaz, S.; Kehl, H.  
 CORPORATE SOURCE: Northeast Missouri State Univ., Kirksville, MO, USA  
 SOURCE: Chem. Biol. Hydroxamic Acids, [Proc. Int. Symp.], 1st (1982), Meeting Date 1981, 51-62. Editor(s): Kehl, Horst. Karger: Basel, Switz.  
 CODEN: 49RQAC  
 DOCUMENT TYPE: Conference  
 LANGUAGE: English  
 GI



I



II

AB The hydroxamic acids I (R1 = H, NO2, -CH2O, OMe, or Me; R2 = H, Cl, Br, -CH2O, F, or OMe; R3 = H or Cl), II (R1 = R2 = H or OMe; R3 = H or Me), and PhCH(NROH)CH2CONHOH (R = Me, Et, n-heptyl, 3-pyridyl, or hydrocinnamyl) were prepared and some tested for blood pressure-lowering



activity in normotensive dogs. Structure-activity relations are discussed. Among the I derivs., for example, the m-Cl (R1 = Cl; R2 = R3 = H) [67248-11-7] and the m-F (R1 = F; R2 = R3 = H) [67248-13-9] derivs. were the most potent. The 4-nitro derivative (R1 = R3 = H; R2 = NO2) [86933-61-1] was the least active, and the remaining compds. were intermediate in potency.

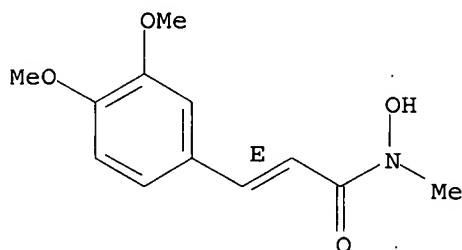
IT 86933-56-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and antihypertensive activity of)

RN 86933-56-4 CAPLUS

CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-hydroxy-N-methyl-, (E)- (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.



L9 ANSWER 28 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:190216 CAPLUS

DOCUMENT NUMBER: 124:331677

TITLE: Hypotensive agents from *Verbesina caracasana*. 4.  
Synthesis and preliminary pharmacological evaluation  
of analogs of caracasanamide, a hypotensive natural  
product

AUTHOR(S): Corelli, Federico; Dei, Donata; Delle Monache,  
Giuliano; Botta, Bruno; De Luca, Carlo; Carmignani,  
Marco; Volpe, Anna Rita; Botta, Maurizio

CORPORATE SOURCE: Dipartimento Farmaco Chimico Tecnologico, Universita  
Siena, Siena, 53100, Italy

SOURCE: Bioorganic & Medicinal Chemistry Letters (1996), 6(6),  
653-8

CODEN: BMCLE8; ISSN: 0960-894X

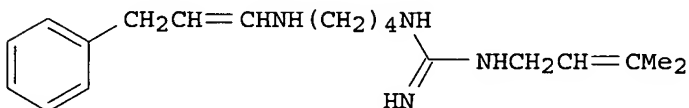
PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:331677

GI



@ MeSO<sub>3</sub>H

I

AB Some analogs of the hypotensive agent caracasanamide have been synthesized and tested in vivo for cardiovascular effects. The effects of the compds. on the heart and respiratory effects were also determined I emerged as the most interesting compound in the series. Structure-activity relation is also discussed.

IT 176640-44-1P 176640-45-2P 176640-46-3P  
176640-47-4P

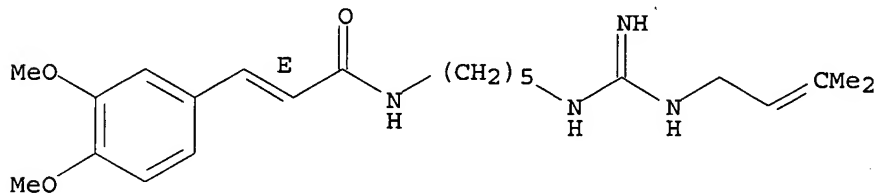
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and preliminary pharmacol. evaluation of analogs of caracasamide as hypotensive agents in relation to structure)

RN 176640-44-1 CAPLUS

CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[5-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]pentyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 176640-45-2 CAPLUS

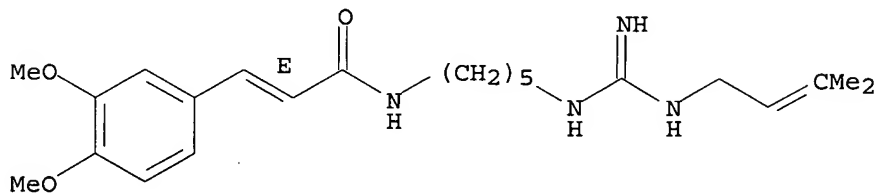
CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[5-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]pentyl]-, (2E)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 176640-44-1

CMF C22 H34 N4 O3

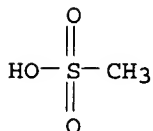
Double bond geometry as shown.



CM 2

CRN 75-75-2

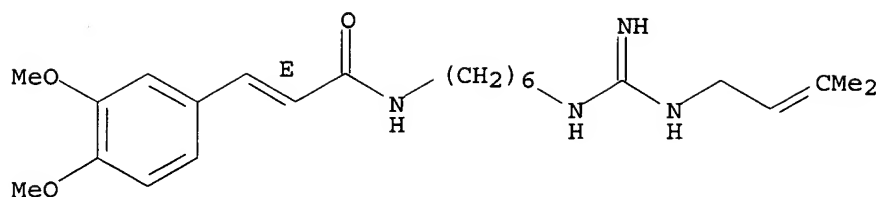
CMF C H4 O3 S



RN 176640-46-3 CAPLUS

CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[6-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]hexyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 176640-47-4 CAPLUS

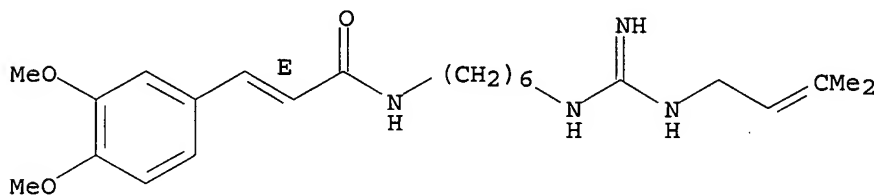
CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[6-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]hexyl]-, (2E)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 176640-46-3

CMF C23 H36 N4 O3

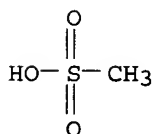
Double bond geometry as shown.



CM 2

CRN 75-75-2

CMF C H4 O3 S



IT 146269-40-1, (Z)-Caracasamide

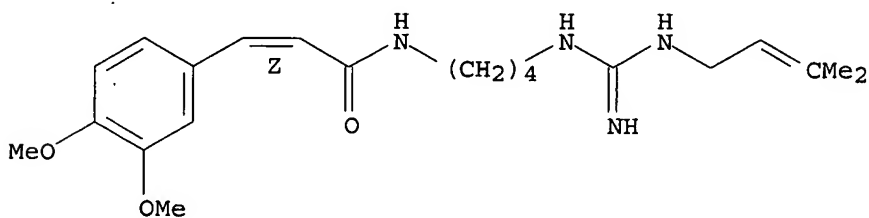
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(synthesis and preliminary pharmacol. evaluation of analogs of caracasamide as hypotensive agents in relation to structure)

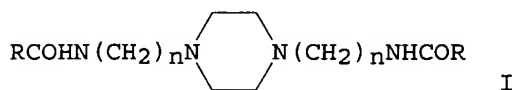
RN 146269-40-1 CAPLUS

CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[4-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]butyl]-, (2Z)- (9CI) (CA INDEX NAME)

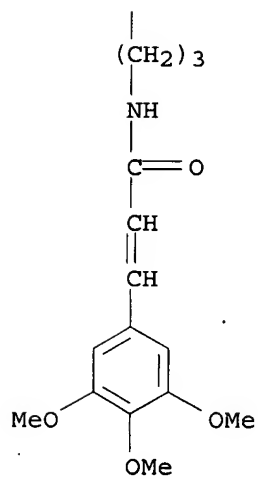
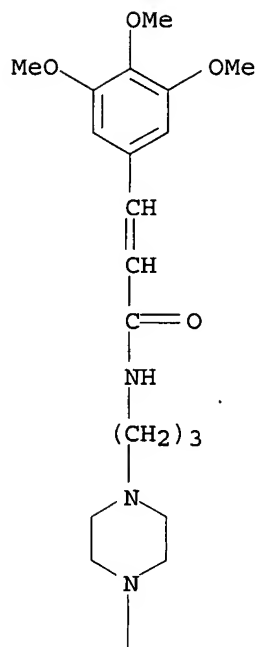
Double bond geometry as shown.



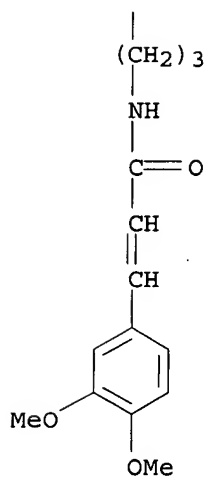
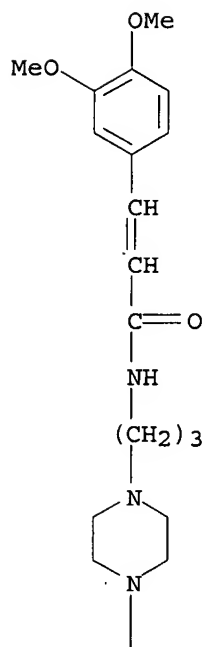
L9 ANSWER 29 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1986:186376 CAPLUS  
 DOCUMENT NUMBER: 104:186376  
 TITLE: Synthesis of N,N'-bis( $\omega$ -  
 aroylamidoalkyl)piperazine derivatives  
 AUTHOR(S): Sun, Qingfen; Zhu, Cuili  
 CORPORATE SOURCE: Shanghai 1st Med. Coll., Fac. Pharm., Shanghai, Peop.  
 Rep. China  
 SOURCE: Shanghai Diyi Yixueyuan Xuebao (1985), 12(3), 178-82  
 CODEN: SIIPD4; ISSN: 0253-3650  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Chinese  
 GI



AB The title compds. I [R = 3,4-(MeO)2C6H3, 3,4,5-(MeO)3C6H2,  
 3,4,5-(MeO)3C6H2CH:CH, 3,4-(MeO)2C6H3CH:CH, 4-MeOC6H4CH:CH, R1C6H4; R1 =  
 2-, 4-MeO, 4-H2N, 4-Br, 4-Cl, n = 2-3] were prepared by amidation of RCOCl  
 with N,N'-bis(aminoalkyl)piperazines, obtained from alkylation, followed  
 by catalytic hydrogenation of piperazine with CH2:CHCN or ClCH2CN. I (R =  
 4-MeOC6H4CH:CH) showed similar hypotensive activity as dilazep.  
 IT 101913-56-8P 101913-57-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and hypotensive activity of)  
 RN 101913-56-8 CAPLUS  
 CN 2-Propenamide, N,N'-(1,4-piperazinediyl-di-3,1-propanediyl)bis[3-(3,4,5-  
 trimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 101913-57-9 CAPLUS  
 CN 2-Propenamide, N,N'-(1,4-piperazinediyl-di-3,1-propanediyl)bis[3-(3,4-dimethoxyphenyl)]-(9CI) (CA INDEX NAME)



L9 ANSWER 30 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2007:13633 CAPLUS  
 DOCUMENT NUMBER: 146:121747  
 TITLE: Prostaglandin derivatives  
 INVENTOR(S): Benedini, Francesca; Chiroli, Valerio; Chong, Wesley  
 Kwan Mung; Krauss, Achim; Niesman, Michael Ross;  
 Ongini, Ennio  
 PATENT ASSIGNEE(S): Pfizer Inc., USA; Nicox S.A.  
 SOURCE: PCT Int. Appl., 110pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

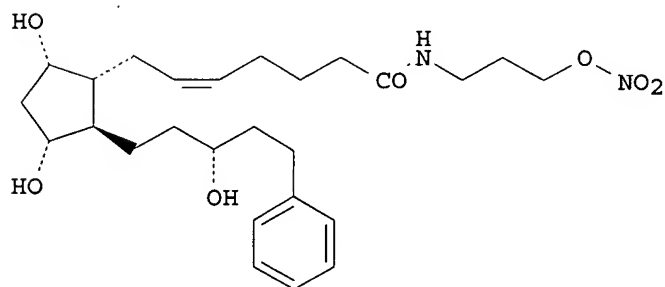
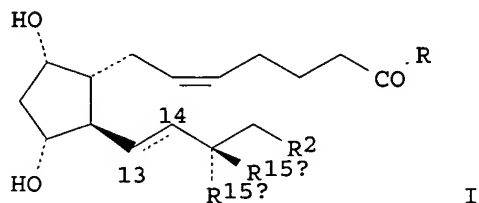
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007000641	A2	20070104	WO 2006-IB1727	20060619
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:

US 2005-696383P

P 20050629

GI



AB Nitrooxy derivs. of prostaglandin amides, such as I [R = NH-X-ONO<sub>2</sub>, NH-X = amide linking group in which X may be alkylene, arylene, alkenylene, ether, thioether or NH-X is an amino acid residue or a combination thereof; R<sub>1</sub> = CH<sub>2</sub>Ph, OPh, OC<sub>6</sub>H<sub>4</sub>-3-CF<sub>3</sub>, OC<sub>6</sub>H<sub>4</sub>-3-Cl, (CH<sub>2</sub>)<sub>5</sub>Me; 13,14-bond = (E)-double or single; R<sub>15a</sub> = OH, R<sub>15b</sub> = H or R<sub>15a</sub>R<sub>15b</sub> = O], with improved pharmacol. activity and enhanced tolerability were prepared for therapeutic use in ophthalmic comps. for the treatment of glaucoma and ocular hypertension. Thus, prostaglandin amide II was prepared via an amidation reaction of the bis-O-(tert-butyltrimethylsilyl) protected derivative of latanoprost acid with the hydrobromide salt of Br(CH<sub>2</sub>)<sub>3</sub>NH<sub>2</sub> using TEA, EDAC and DMAP in CH<sub>2</sub>Cl<sub>2</sub>, conversion of the resulting brominated amide to its nitrooxy derivative using AgNO<sub>3</sub> in MeCN, and finally, desilylation of the resulting nitrooxy derivative using TBAF in THF. The prepared prostaglandin

amides were assayed in rabbits for their effect on hypertonic saline-induced transient intraocular pressure rise.

IT 537-98-4

RL: RCT (Reactant); RACT (Reactant or reagent)

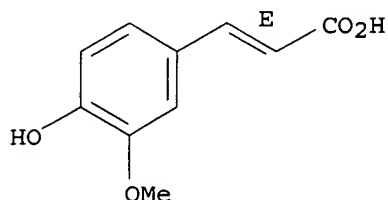
(preparation of prostaglandin derivs. containing a nitrooxy moiety for

therapeutic use in the treatment of glaucoma and ocular hypertension)

RN 537-98-4 CAPLUS

CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L9 ANSWER 31 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1974:520694 CAPLUS

DOCUMENT NUMBER: 81:120694

TITLE: 1-(Hydroxyalkyl)piperazine and -homopiperazine esters

INVENTOR(S): Kato, Hideo; Nishikawa, Tomoyasu; Mouri, Takaaki

PATENT ASSIGNEE(S): Hokuriku Pharmaceutical Co., Ltd.

SOURCE: Ger. Offen., 15 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2355420	A1	19740704	DE 1973-2355420	19731106
JP 49069683	A	19740705	JP 1972-111219	19721108
JP 49132088	A	19741218		
JP 52010877	B	19770326		

PRIORITY APPLN. INFO.: US 1972-254403 A 19720518

GI For diagram(s), see printed CA Issue.

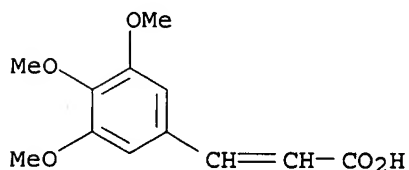
AB (Homo)piperazinylalkyl [m, n = 2, 3; R = e.g. C<sub>6</sub>H<sub>2</sub>-(OMe)<sub>3</sub>-2,3,4, C<sub>6</sub>H<sub>4</sub>Cl-2, C<sub>6</sub>H<sub>4</sub>F-4, Ph, 2-, 3-, or 4-pyridyl, CH:-CHPh, CH:CHC<sub>6</sub>H<sub>2</sub>(OMe)<sub>3</sub>-2,3,4] and their salts were prepd. by refluxing 1-(hydroxyalkyl)(homo)piperazines and RCO<sub>2</sub>H in C<sub>6</sub>H<sub>6</sub> in the presence of p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>H with H<sub>2</sub>O removal. I were useful as analgesics, anticonvulsants, antihypertensives, and coronary blood vessel dilators, especially in the treatment of circulatory diseases (no data).

IT 90-50-6 33130-03-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction with (hydroxyalkyl)piperazines)

RN 90-50-6 CAPLUS

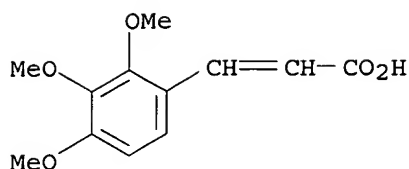
CN 2-Propenoic acid, 3-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 33130-03-9 CAPLUS

CN 2-Propenoic acid, 3-(2,3,4-trimethoxyphenyl)- (9CI) (CA INDEX NAME)





L9 ANSWER 32 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:455150 CAPLUS

DOCUMENT NUMBER: 131:252293

TITLE: Novel Hypotensive Agents from *Verbesina caracasana*. 6. Synthesis and Pharmacology of Caracasandiamide

AUTHOR(S): Carmignani, Marco; Volpe, Anna R.; Delle Monache, Franco; Botta, Bruno; Espinal, Romulo; De Bonnevaux, Stella C.; De Luca, Carlo; Botta, Maurizio; Corelli, Federico; Tafi, Andrea; Ripanti, Giuseppe; Delle Monache, Giuliano

CORPORATE SOURCE: Dipartimento di Biologia di Base e Applicata Sezione di Farmacologia, Universita di L'Aquila, Coppito, 67010, Italy

SOURCE: Journal of Medicinal Chemistry (1999), 42(16), 3116-3125

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Caracasandiamide, a second hypotensive agent isolated from *Verbesina caracasana*, is the cyclobutane dimer (truxinic type) of the previously reported 1-[(3,4-dimethoxycinnamoyl)amino]-4-[(3-methyl-2-butenyl)guanidino]butane (caracasamide) (Delle Monache, G.; et al. *BioMed. Chemical Lett.* 1992, 25, 415-418). The structure was confirmed by synthesis starting from  $\beta$ -truxinic acid obtained by photoaddn. of 3,4-dimethoxycinnamic acid. The dimer was coupled with 2 mol of prenylagmatine to give caracasandiamide in satisfactory yield. By contrast, the direct photodimerization of caracasamide was unsuccessful. Caracasandiamide, assayed by the iv route in anesthetized rats at doses ranging from 50 to 3200  $\mu$ g/kg of body weight, was found to have no appreciable effect on heart rate. At lower doses, the drug stimulates breathing and increases cardiac inotropism, stroke volume, and cardiac output, thus augmenting blood pressure and aortic flow. At higher doses, caracasandiamide depresses breathing likely through central neurogenic mechanisms (not involved in the cardiovascular effects), continues to stimulate cardiac inotropism, and induces, by reducing peripheral vascular resistance, arterial hypotension with reduction of both aortic flow and stroke volume. These cardiovascular effects appear to involve complex interactions at the level of the peripheral  $\beta$ 1-,  $\beta$ 2-, and  $\alpha$ 2-adrenoreceptor-dependent as well as M2- and M4-cholinergic receptor-dependent transductional pathways both in cardiovascular myocytes and at the level of the postganglionic sympathetic endings (with reserpine- and guanethidine-like mechanisms). The cardiovascular effects of caracasandiamide, different from those of caracasamide, do not depend on significant actions on the central nervous system and on baroreflex pathways. In a similar manner and more effective than caracasamide, caracasandiamide may be considered a hypotensive and antihypertensive drug. It is devoid of some of the neg. side effects, e.g., reflex tachycardia and decreased cardiac inotropism, which are shown by the majority of the most common antihypertensive and vasodilator drugs.

IT 146269-40-1, Z-Caracasamide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

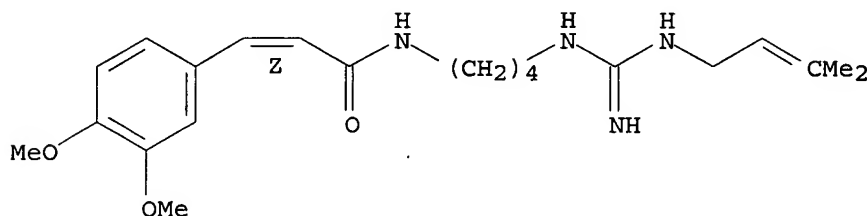
(Uses)

(cardiovascular effects of caracasandiamide: comparison with other antihypertensives and vasodilators)

RN 146269-40-1 CAPLUS

CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[4-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]butyl]-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 33 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:698915 CAPLUS

DOCUMENT NUMBER: 130:60839

TITLE: Inhibitory effect of tranilast on hypertrophic collagen production in the spontaneously hypertensive rat heart

AUTHOR(S): Umemura, Kazuo; Kikuchi, Shinji; Suzuki, Yasuhiro; Nakashima, Mitsuyoshi

CORPORATE SOURCE: Department of Pharmacology, Hamamatsu University School of Medicine, Hamamatsu, 431 - 31, Japan

SOURCE: Japanese Journal of Pharmacology (1998), 78(2), 161-167

CODEN: JJPAAZ; ISSN: 0021-5198

PUBLISHER: Japanese Pharmacological Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Tranilast, N-(3,4-dimethoxycinnamoyl)anthranilic acid, a widely used antiallergy drug in Japan, has been shown to inhibit transforming growth factor- $\beta$ 1 release from fibroblasts and reduce collagen synthesis in keloid cells. In the present study, we have investigated the effect of this drug on cardiac hypertrophy in spontaneously hypertensive rats (SHR), with a focus on the cardiac collagen matrix, which is associated with myocardial stiffness. Twenty-four-week-old SHRs and Wistar Kyoto rats (WKYs) were administered tranilast (300 mg/kg) orally once a day for 4 wk. This treatment significantly suppressed increases in left ventricular collagen concentration ( $P < 0.05$ ) and the left ventricular

weight/body wts. ratios ( $P < 0.05$ ) in SHRs, and tranilast was ineffective on collagen concentration and ventricular weight/body wts. ratios in WKYs. Tranilast did not

affect systolic or diastolic blood pressure, end-diastolic left ventricular pressure and heart rate in both SHRs and WKYs, and the agent did not change pos. dp/dt or cardiac output in SHRs. The pressure-volume relation curve was shifted to the left by the drug; the slope (k) of the logarithm of the pressure-volume relation curve was significantly increased ( $P < 0.05$ ) in SHRs. It is concluded that the suppression of increases in cardiac collagen and left ventricular mass by tranilast results in a corresponding prevention of cardiac stiffness as studied in the SHR.

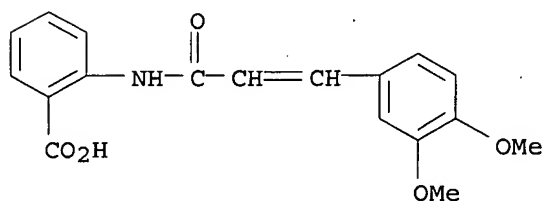
IT 53902-12-8, Tranilast

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibitory effect of tranilast on hypertrophic collagen production in the spontaneously hypertensive rat heart)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 34 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:327442 CAPLUS

DOCUMENT NUMBER: 142:456667

TITLE: Effect of Sodium Ferulate on Migration of Vascular Smooth Muscle Cells Induced by Platelet Derived Growth Factor and Endothelin-1

AUTHOR(S): Han, Ying; Xie, Liangdi; Xu, Changsheng; Wang, Huajun

CORPORATE SOURCE: The First Affiliated Hospital, Fujian Medical University, Fuzhou, Fujian Province, 350005, Peop. Rep. China

SOURCE: Zhongguo Dongmai Yinghua Zazhi (2004), 12(6), 659-661

CODEN: ZDYZFM; ISSN: 1007-3949

PUBLISHER: Zhongguo Dongmai Yinghua Zazhi Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB To investigate the effect of sodium ferulate (SF), one of the principal components of rhizoma ligustici wallichii, on the migration of vascular smooth muscle cells (VSMC) induced by endothelin-1 (ET-1) and platelet derived growth factor (PDGF), VSMC derived from spontaneously hypertensive rats (SHR) were cultured. Cell migration was determined by modified Boyden chamber assays. [Ca<sup>2+</sup>]<sub>i</sub> was measured with fluorescent Ca<sup>2+</sup> indicator Fura-2/AM. The results showed that ET-1 and PDGF significantly induced a migration of VSMC in a dose-dependent manner, which was inhibited by pretreatment of VSMC with SF (10<sup>-7</sup>-10<sup>-3</sup> mol/L) dose-dependence. The peak inhibition rates of migration induced by ET-1 and PDGF were 85.04% and 81.92% resp. ET-1 and PDGF provoked the rise of [Ca<sup>2+</sup>]<sub>i</sub> in VSMC, which was significantly suppressed by 10<sup>-3</sup> mol/L SF with a inhibitory peak at 80.14% and 76.69%. The cell migration and rise of [Ca<sup>2+</sup>]<sub>i</sub> induced by ET-1 and PDGF in VSMC from SHR may be suppressed by SF.

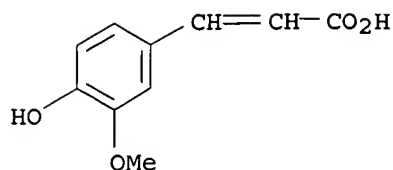
IT 24276-84-4, Sodium ferulate

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(effect of sodium ferulate on migration of vascular smooth muscle cells induced by platelet derived growth factor and endothelin-1)

RN 24276-84-4 CAPLUS

CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)-, monosodium salt (9CI)  
(CA INDEX NAME)



● Na

L9 ANSWER 35 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1982:582873 CAPLUS  
 DOCUMENT NUMBER: 97:182873  
 TITLE: Bicyclic compounds and their use  
 INVENTOR(S): Oka, Yoshikazu; Nishikawa, Kohei; Miyake, Akio  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 52 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

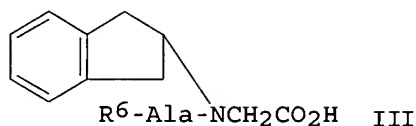
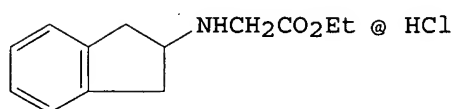
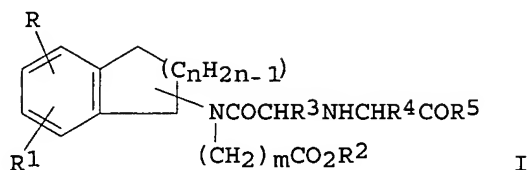
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 51391	A1	19820512	EP 1981-304940	19811021
EP 51391	B1	19840905		
R: AT, BE, CH, DE, FR, IT, LU, NL, SE				
JP 57077651	A	19820515	JP 1980-154394	19801031
JP 62012800	B	19870320		
JP 57179141	A	19821104	JP 1981-64371	19810428
JP 02023538	B	19900524		
AU 8176521	A	19820506	AU 1981-76521	19811016
AU 543804	B2	19850502		
US 4822818	A	19830524	US 1981-312639	19811019
ZA 8107253	A	19820929	ZA 1981-7253	19811020
GB 2086393	A	19820512	GB 1981-31719	19811021
GB 2086393	B	19840111		
AT 9220	T	19840915	AT 1981-304940	19811021
FI 8103383	A	19820501	FI 1981-3383	19811028
FI 73698	B	19870731		
FI 73698	C	19871109		
DK 8104781	A	19820501	DK 1981-4781	19811029
DK 164917	B	19920907		
DK 164917	C	19930201		
NO 8103662	A	19820503	NO 1981-3662	19811029
NO 155133	B	19861110		
NO 155133	C	19870218		
HU 28805	A2	19831228	HU 1981-3176	19811029
HU 183652	B	19840528		
SU 1271372	A3	19861115	SU 1981-3350151	19811029
CA 1287444	C	19910806	CA 1981-389042	19811029
ES 506714	A1	19830601	ES 1981-506714	19811030
ES 515269	A1	19831201	ES 1982-515269	19820826
US 4474692	A	19841002	US 1983-494061	19830512
ES 524148	A1	19850501	ES 1983-524148	19830715
CA 1287446	C2	19910806	CA 1984-468185	19841119
NO 8602859	A	19820503	NO 1986-2859	19860715
NO 157103	B	19871012		
NO 157103	C	19880120		
JP 63002963	A	19880107	JP 1987-30000	19870212

JP 02024265  
US 5098892  
PRIORITY APPLN. INFO.:

B 19900529  
A 19920324

US 1989-302940 19890130  
JP 1980-154394 A 19801031  
JP 1981-64371 A 19810428  
US 1981-312639 A3 19811019  
EP 1981-304940 A 19811021  
CA 1981-389042 A 19811029  
NO 1981-3662 A1 19811029

OTHER SOURCE(S): CASREACT 97:182873  
GI



AB Peptide derivs. I [R, R1 = H, OH, C1-4 alkoxy; RR1 = C1-4 alkylenedioxy; R2 = H, C1-4 alkyl; R3 = H, C1-4 alkyl, (un)substituted amino-C1-4 alkyl; R4 = H, C1-4 alkyl, (un)substituted phenyl-C1-4 alkyl; R5 = OH, C1-4 alkoxy, mono- or di-C1-4 alkylamino; m, n = 1, 2] were prepared as angiotensin-converting enzyme (ACE) inhibitors and antihypertensives. Thus, H-Gly-OEt.HCl was treated with 2-indanone in MeOH containing NaBH3CN to give indanylglycine II, which was coupled with PhCH2O2C-Ala-OH by ClCO2CH2CHMe2 to give the protected dipeptide, which was deblocked by saponification and hydrogenolysis to give dipeptide III (R6 = H). The latter was treated with PhCH2CH2COCO2Et in EtOH for 1 h at room temperature and the resulting solution was reduced by NaBH3CN

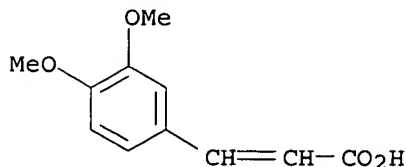
and then treated with HCl/EtOH to give III.HCl [R = PhCH2CH2CH(CO2Et)] (IV). IV at 1  $\mu$ M inhibited ACE by 87%.

IT 2316-26-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and esterification of, with ethanol)

RN 2316-26-9 CAPLUS

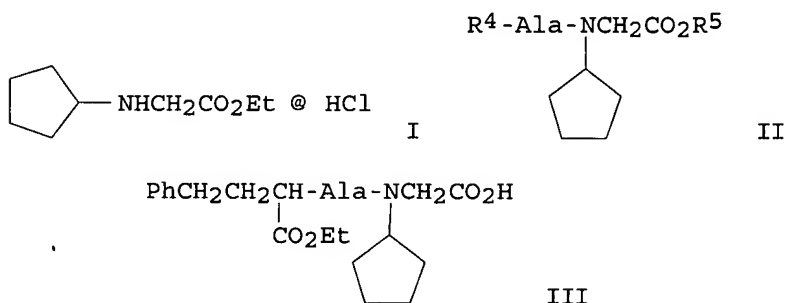
CN 2-Propenoic acid, 3-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 36 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1983:107786 CAPLUS  
DOCUMENT NUMBER: 98:107786  
TITLE: Alicyclic compounds and their use

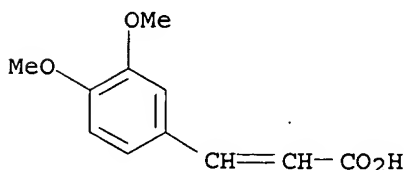
INVENTOR(S): Oka, Yoshikazu; Nishikawa, Kohei; Miyake, Akio  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 39 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 57998	A1	19820818	EP 1982-300300	19820121
EP 57998	B1	19840808		
R: BE, CH, DE, FR, GB, IT, NL, SE				
JP 57123151	A	19820731	JP 1981-9470	19810123
JP 57203050	A	19821213	JP 1981-88539	19810609
JP 58109458	A	19830629	JP 1981-208817	19811222
PRIORITY APPLN. INFO.:			JP 1981-9470	A 19810123
			JP 1981-88539	A 19810609
			JP 1981-208817	A 19811222
OTHER SOURCE(S):			CASREACT 98:107786; MARPAT 98:107786	
GI				



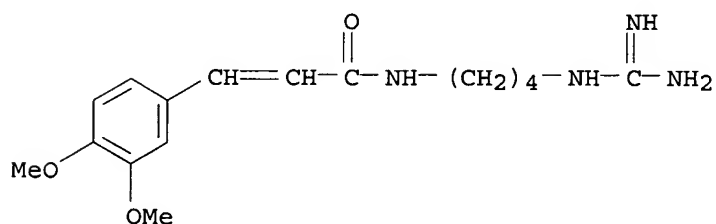
AB Peptide derivs.  $\text{CylN}(\text{CH}_2\text{CO}_2\text{R})\text{COCHR}_1\text{NHCHR}_2\text{CO}_2\text{R}_3$  [Cyl = C3-10 alicyclic group; R = H, alkyl, R1 = H, alkyl, aralkyl; R2 = H, alkyl, (un)substituted aralkyl; R3 = H, alkyl] were prepared as antihypertensives due to their ability to inhibit angiotensin-converting enzyme (ACE). Thus, H-Gly-OEt.HCl underwent reductive cycloalkylation with cyclopentanone in the presence of  $\text{NaBH}_3\text{CN}$  to give cyclopentylglycine I, which was coupled with Z-Ala-OH (Z =  $\text{PhCH}_2\text{O}_2\text{C}$ ) by  $\text{ClCO}_2\text{CH}_2\text{CHMe}_2$  in THF containing  $\text{Et}_3\text{N}$  to give peptide II (R4 = Z, R5 = Et), which was saponified and then Z-deblocked by hydrogenolysis to give II (R4 = R5 = H). The latter was treated with  $\text{PhCH}_2\text{CH}_2\text{COCO}_2\text{Et}$  in the presence of  $\text{NaBH}_3\text{CN}$  to give peptide derivative III (as the HCl salt). III at 1  $\mu\text{M}$  inhibited ACE by 84%.

IT 2316-26-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and purification of, with ethanol)  
 RN 2316-26-9 CAPLUS  
 CN 2-Propenoic acid, 3-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 37 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

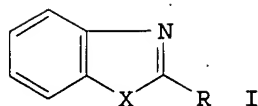
ACCESSION NUMBER: 1999:769090 CAPLUS  
DOCUMENT NUMBER: 132:87936  
TITLE: Novel hypotensive agents from *Verbesina caracasana*. 7.  
Further hypotensive metabolites from *verbesina caracasana*  
AUTHOR(S): Delle Monache, Giuliano; Volpe, Anna Rita; Delle  
Monache, Franco; Vitali, Alberto; Botta, Bruno;  
Espinal, Romulo; De Bonnevaux, Stella C.; De Luca,  
Carlo; Botta, Maurizio; Corelli, Federico; Carmignani,  
Marco  
CORPORATE SOURCE: Centro Chimica dei Recettori, Centro Chimica dei  
Recettori, Universita Cattolica, Rome, 00168, Italy  
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999),  
9(22), 3249-3254  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB After the isolation of caracasamide and caracasandiamide, further  
hypotensive components of *Verbesina caracasana* were shown to be  
N3-prenylagmatine, N1-3',4'-dimethoxycinnamoylagmatine, agmatine and  
galegin (prenylguanidine). The structures were assigned on the basis of  
the spectral data of both metabolites and products from their alkaline  
hydrolyzes. A pharmacol. anal. of these products is also presented.  
IT 128009-18-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); PUR (Purification or recovery); THU (Therapeutic  
use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(isolation of antihypertensive guanidine metabolites from  
*Verbesina caracasana*)  
RN 128009-18-7 CAPLUS  
CN 2-Propenamide, N-[4-[(aminoiminomethyl)amino]butyl]-3-(3,4-  
dimethoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 38 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:429185 CAPLUS  
DOCUMENT NUMBER: 115:29185  
TITLE: 2-Aryl-substituted benzannulated 5-ring heterocycles  
as potential cardiovascular agents. 1  
AUTHOR(S): Rose, Ulrich  
CORPORATE SOURCE: Inst. Pharm., Johannes Gutenberg-Univ., Mainz, D-6500,  
Germany  
SOURCE: Chemiker-Zeitung (1991), 115(2), 55-8  
CODEN: CMKZAT; ISSN: 0009-2894  
DOCUMENT TYPE: Journal  
LANGUAGE: German  
GI



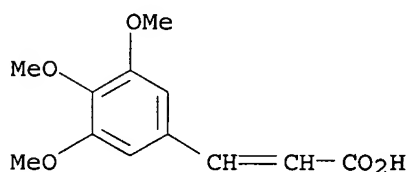
AB Benzazoles I (X = S, O; R = substituted Ph, pyridyl, styryl) were prepared from RCO<sub>2</sub>H and 2-HXC<sub>6</sub>H<sub>4</sub>NH<sub>2</sub>. I (X = O, R = 2-methylthio-3-pyridyl) had 48% of the antihypertensive activity of fostedil. I also have fungicidal activity.

IT 90-50-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclization of, with aminothiophenol and aminophenol)

RN 90-50-6 CAPLUS

CN 2-Propenoic acid, 3-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 39 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1978:105114 CAPLUS

DOCUMENT NUMBER: 88:105114

TITLE: 2-[(3',5'-Dimethoxy-4'-hydroxy)phenylmethylene]-3-carboxy-4-(3'',5''-dimethoxy-4''-hydroxyphenyl)-γ-butyrolactone

INVENTOR(S): Umezawa, Hamao; Takeuchi, Tomio; Kumada, Yoshiki

PATENT ASSIGNEE(S): Microbiochemical Research Foundation, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

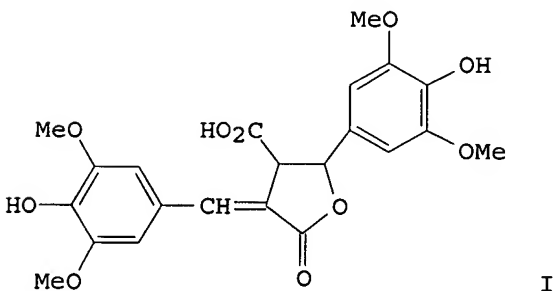
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52136163	A	19771114	JP 1976-53527	19760510
PRIORITY APPLN. INFO.:			JP 1976-53527	A 19760510



AB 3,5,4-(MeO)<sub>2</sub>(HO)C<sub>6</sub>H<sub>2</sub>CH:CHCO<sub>2</sub>H (3 g) in MeOH was added to 6 g FeCl<sub>3</sub> in H<sub>2</sub>O



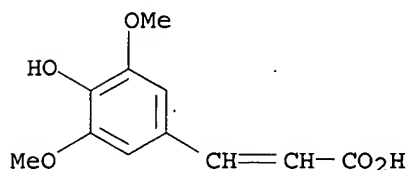
and air introduced vigorously over 3 h to give 45.1% the title compound (I).  
I at 50 mg/kg orally decreased the blood pressure of spontaneously  
hypertensive rats by 23.1% in 6 h.

IT 530-59-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(self-cyclocondensation of)

RN 530-59-6 CAPLUS

CN 2-Propenoic acid, 3-(4-hydroxy-3,5-dimethoxyphenyl)- (9CI) (CA INDEX  
NAME)



L9 ANSWER 40 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:43029 CAPLUS

DOCUMENT NUMBER: 138:106536

TITLE: Preparation of substituted dicinnamoylquinides and  
their therapeutic use in augmentation of adenosine  
function

INVENTOR(S): De Paulis, Tomas; Lovinger, David M.; Martin, Peter R.

PATENT ASSIGNEE(S): Vanderbilt University, USA

SOURCE: U.S. Pat. Appl. Publ., 17 pp.

CODEN: USXXCO

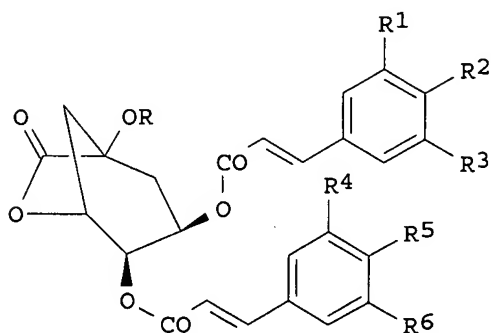
DOCUMENT TYPE: Patent

LANGUAGE: English

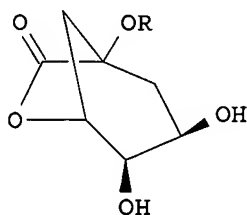
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003013758	A1	20030116	US 2002-143606	20020510
US 6693128	B2	20040217		
CA 2347879	A1	20021111	CA 2001-2347879	20010516
PRIORITY APPLN. INFO.:			US 2001-290282P	P 20010511
			CA 2001-2347879	A 20010516
OTHER SOURCE(S):	MARPAT 138:106536			
GI				



I



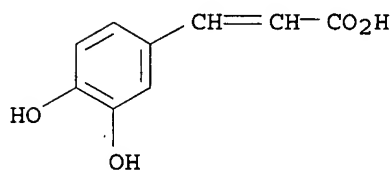
II

AB This invention describes the preparation of dicinnamoylquinides, such as I [R =  
H; R1-6 = H, OH, alkyl, alkoxy, halogen], and their use as therapeutic  
agents for enhancing adenosine levels in the brain and peripheral organs.

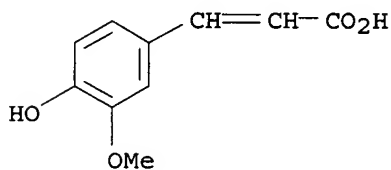
These agents, which partially or completely inhibit adenosine transport, are particularly useful in treating human diseases or conditions that benefit from acute or chronic elevated levels of adenosine, such as reperfusion injury, coronary or cerebral ischemia, coronary vasoconstriction, paroxysmal supraventricular tachycardia, hypertension, wound healing, diabetes, inflammation, stroke, depression, cardiovascular disorders, or sleep disturbances. These quinides can also be used to protect normal cells from chemotoxicity in patients undergoing cancer therapy and reverse the behavioral effects of caffeine intake. Thus, O-protected (-)-quinic acid  $\gamma$ -lactone II (R = CO<sub>2</sub>CH<sub>2</sub>CCl<sub>3</sub>) was acylated with the in situ formed acid chloride of 4-chlorocinnamic acid to give the 3,4-di-(4-chlorocinnamoyl)-1,5-quinide I (R = CO<sub>2</sub>CH<sub>2</sub>CCl<sub>3</sub>, R<sub>1</sub> = R<sub>3</sub> = R<sub>4</sub> = R<sub>6</sub> = H, R<sub>2</sub> = R<sub>5</sub> = Cl) with 79% yield. Subsequent deprotection of the quinide using zinc powder and AcOH in THF gave the desired quinide I (R = R<sub>1</sub> = R<sub>3</sub> = R<sub>4</sub> = R<sub>6</sub> = H, R<sub>2</sub> = R<sub>5</sub> = Cl) in 78% yield. The prepared quinides were evaluated for adenosine transporter affinity and for inhibition of adenosine transport. Also, pharmaceutical compns. containing these quinides were presented.

IT 331-39-5, 3,4-Dihydroxycinnamic acid 1135-24-6,  
3-Methoxy-4-hydroxycinnamic acid  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of substituted dicinnamoylquinides and their therapeutic use in augmentation of adenosine function)

RN 331-39-5 CAPLUS  
CN 2-Propenoic acid, 3-(3,4-dihydroxyphenyl)- (9CI) (CA INDEX NAME)



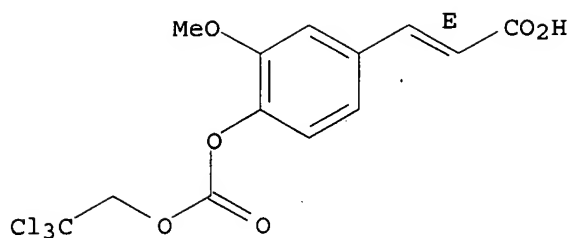
RN 1135-24-6 CAPLUS  
CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)



IT 485402-21-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of substituted dicinnamoylquinides and their therapeutic use in augmentation of adenosine function)

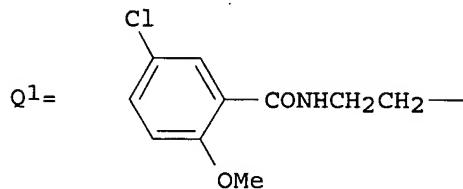
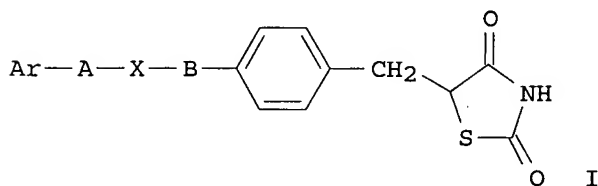
RN 485402-21-9 CAPLUS  
CN 2-Propenoic acid, 3-[3-methoxy-4-[(2,2,2-trichloroethoxy)carbonyl]oxy]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L9 ANSWER 41 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1996:58393 CAPLUS  
 DOCUMENT NUMBER: 124:232440  
 TITLE: Thiazolidinedione compounds useful as antidiabetics  
 INVENTOR(S): Regnier, Gilbert; Charton, Yves; Duhault, Jacques; Espinal, Joseph  
 PATENT ASSIGNEE(S): Adir et Compagnie, Fr.  
 SOURCE: U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 133,898, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5478853	A	19951226	US 1995-376052	19950120
FR 2696743	A1	19940415	FR 1992-12123	19921012
FR 2696743	B1	19941223		
PRIORITY APPLN. INFO.:			FR 1992-12123	A 19921012
			US 1993-133898	B2 19931012
OTHER SOURCE(S):		MARPAT 124:232440		
GI				



AB The title compds. are 5-(4-substituted benzyl)thiazolidine-2,4-diones I [Ar = polymethylene ring with optional alkyl substituent(s), (un)substituted aryl or heterocyclyl; A = bond, hydrocarbonyl with double bond, (CH2)1-3, CMe2(CH2)0-2, (un)substituted CHPh(CH2)0-2, O(CH2)1-3, S(CH2)1-3; X = O, CONR, SO2NR; R = H, alkyl, alkenyl; or ArAX = phthalimido; B = saturated hydrocarbonyl with optional OH or oxo substituent] and their enantiomers, diastereoisomers, and pharmaceutically tolerable salts. The compds. are useful for treating insulin resistance

and/or non-insulin-dependent diabetes, possibly associated with hypertension. An exemplary compound compound is 5-[4-[2-(2-methoxy-5-chlorobenzamido)ethyl]benzyl]thiazolidine-2,4-dione, i.e., I [Ar-A-X-B- = Q1] (II), which was prepared by cyclization of the corresponding 3-phenyl-2-chloropropionic acid derivative with thiourea in sulfolane at 120°, followed by hydrolysis with aqueous HCl at 100°. II, at ≤ 10 mg/kg/day orally in mice, had the same hypoglycemic effect as ciglitazone at 50-100 mg/kg/day. II also had little or no hematol. effect at 250 mg/kg/day in rats, whereas pioglitazone had strong adverse effects at 100 mg/kg/day.

IT 174772-41-9P 174772-45-3P 174772-47-5P

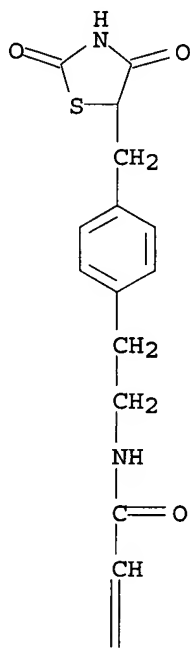
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazolidinediones as antidiabetics)

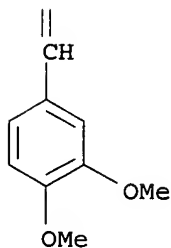
RN 174772-41-9 CAPLUS

CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[2-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



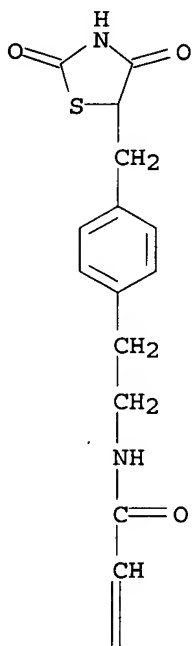
PAGE 2-A



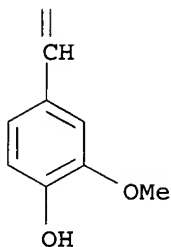
RN 174772-45-3 CAPLUS

CN 2-Propenamide, N-[2-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]ethyl]-3-(4-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

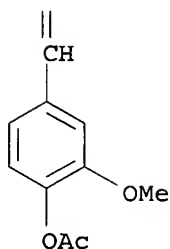
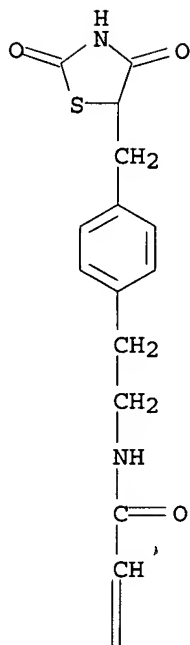


PAGE 2-A



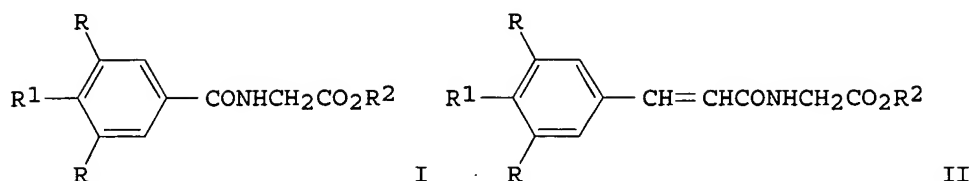
RN 174772-47-5 CAPLUS

CN 2-Propenamide, 3-[4-(acetyloxy)-3-methoxyphenyl]-N-[2-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 42 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1977:139646 CAPLUS  
 DOCUMENT NUMBER: 86:139646  
 TITLE: Vasoactive N-benzoyl- or N-cinnamoylglycines  
 PATENT ASSIGNEE(S): Zambeletti Espana S. A., Spain  
 SOURCE: Span., 9 pp.  
 CODEN: SPXXAD  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Spanish  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 422191	A1	19760416	ES 1974-422191	19740110
PRIORITY APPLN. INFO.:			ES 1974-422191	A 19740110
GI				



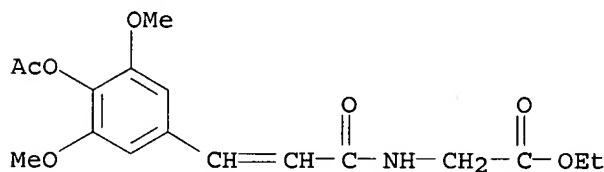
AB N-acylglycines I and II (R = H, HO, AcO, MeO, Cl; R1 = H, HO, AcO; R2 = H, Et) were prepared by acylation of glycine or its Et ester with the appropriate benzoyl or cinnamoyl chloride. Some I and II had hypertensive and others hypotensive activity in tests on the cat (in vivo) and the rabbit (in vitro, hypertension induced with noradrenaline). Thus, H2NCH2CO2Et 20.4, 4,3,5-(AcO)(MeO)2C6H2COCl 38 g, and NaHCO3 112 g in AcOEt-Et2O-H2O was stirred 3 h at room temperature and 4 h at 40°C to give 15 g I (R = MeO, R1 = AcO, R2 = Et), which was hydrolyzed to the acid.

IT 62098-72-0P 62098-77-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and effect on blood pressure)

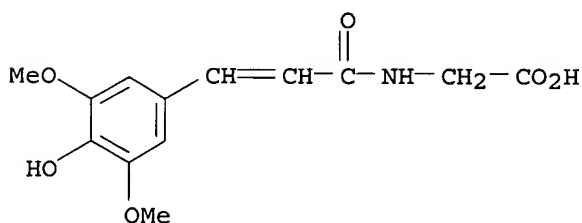
RN 62098-72-0 CAPLUS

CN Glycine, N-[3-[4-(acetyloxy)-3,5-dimethoxyphenyl]-1-oxo-2-propenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 62098-77-5 CAPLUS

CN Glycine, N-[3-(4-hydroxy-3,5-dimethoxyphenyl)-1-oxo-2-propenyl]- (9CI)  
(CA INDEX NAME)



L9 ANSWER 43 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:843218 CAPLUS

DOCUMENT NUMBER: 133:350051

TITLE: Preparation process of [3-(4-Hydroxy-3-methoxyphenyl)propenamido]-N-ethyl nitrate having cardiovascular pharmacological activity

INVENTOR(S): Xu, Jingfeng; Wang, Jinping; Qi, Ping; Yang, Yongge; Zhang, Mei

PATENT ASSIGNEE(S): Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 16 pp.  
CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1249295	A	20000405	CN 1999-119659	19990924
CN 1083824	B	20020501		

PRIORITY APPLN. INFO.: CN 1999-119659 19990924

OTHER SOURCE(S): CASREACT 133:350051

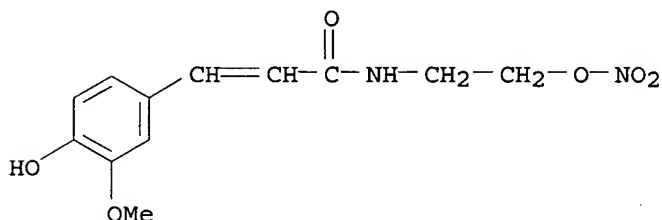
AB Title compound was prepared by chlorinating 3-(4-acetoxy-3-methoxyphenyl)propanoic acid with oxalyl chloride or SOCl<sub>2</sub> in dichloromethane in the presence of DMF at 15°-80° for 1-5 h to obtain 3-(4-acetoxy-3-methoxyphenyl)propanoyl chloride, acylating with 2-aminoethyl nitrate in toluene-water to obtain [3-(4-acetoxy-3-methoxyphenyl)propenamido]-N-Et nitrate as intermediate. Title compound was tested for cardiovascular pharmacol. activity.

IT 306272-46-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation process of hydroxymethoxyphenylpropenamidoethyl nitrate having cardiovascular pharmacol. activity)

RN 306272-46-8 CAPLUS

CN 2-Propenamido, 3-(4-hydroxy-3-methoxyphenyl)-N-[2-(nitrooxy)ethyl]- (9CI)  
(CA INDEX NAME)

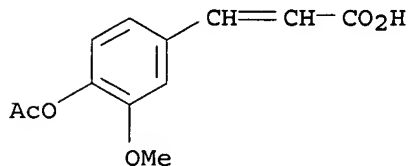


IT 2596-47-6, 3-(4-Acetoxy-3-methoxyphenyl)prop-2-enoic acid

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation process of hydroxymethoxyphenylpropenamidoethyl nitrate having cardiovascular pharmacol. activity)

RN 2596-47-6 CAPLUS

CN 2-Propenoic acid, 3-[4-(acetyloxy)-3-methoxyphenyl]- (9CI) (CA INDEX NAME)



IT 306272-47-9P

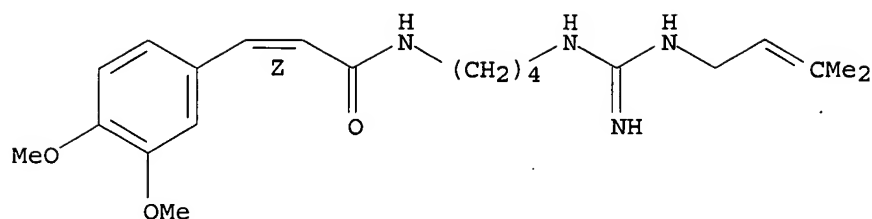
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation process of hydroxymethoxyphenylpropenamidoethyl nitrate having cardiovascular pharmacol. activity)

RN 306272-47-9 CAPLUS

CN 2-Propenamido, 3-[4-(acetyloxy)-3-methoxyphenyl]-N-[2-(nitrooxy)ethyl]- (9CI) (CA INDEX NAME)

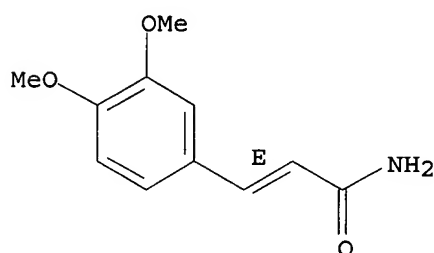


Double bond geometry as shown.



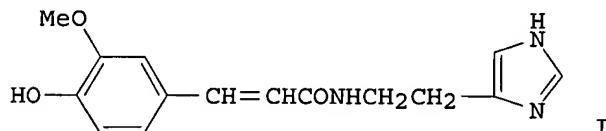
IT 130973-10-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (isolation, preparation and pharmacol. of Verbesina caracasana constituents)  
 RN 130973-10-3 CAPLUS  
 CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 45 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1985:197969 CAPLUS  
 DOCUMENT NUMBER: 102:197969  
 TITLE: Validity of the Oriental medicines. Part 74. Liver. protective drugs. Part 20. Studies on the constituents of Ephedra. Part 17. Pharmacological actions of analogs of feruloylhistamine, an imidazole alkaloid of Ephedra roots  
 AUTHOR(S): Hikino, Hiroshi; Kiso, Yoshinobu; Ogata, Minoru; Konno, Chohachi; Aisaka, Kazuo; Kubota, Hiroaki; Hirose, Nakako; Ishihara, Takafumi  
 CORPORATE SOURCE: Pharm. Inst., Tohoku Univ., Sendai, Japan  
 SOURCE: Planta Medica (1984), 50(6), 478-80  
 CODEN: PLMEAA; ISSN: 0032-0943  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

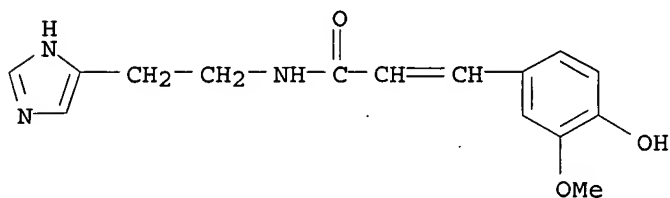


AB Analogs of feruloylhistamine (I), an imidazole alkaloid of Ephedra roots, were prepared and their pharmacol. actions determined. These compds. had hypotensive, histidine decarboxylase [9024-61-7] inhibitory, antiulcer, and antihepatotoxic actions.  
 IT 94848-18-7DP, analogs 94848-21-2P 94848-23-4P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(preparation and pharmacol. of)

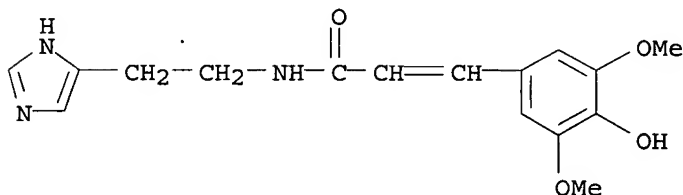
RN 94848-18-7 CAPLUS

CN 2-Propenamide, 3-(4-hydroxy-3-methoxyphenyl)-N-[2-(1H-imidazol-4-yl)ethyl]-  
(9CI) (CA INDEX NAME)



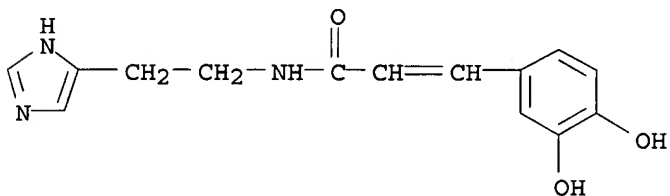
RN 94848-21-2 CAPLUS

CN 2-Propenamide, 3-(4-hydroxy-3,5-dimethoxyphenyl)-N-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 94848-23-4 CAPLUS

CN 2-Propenamide, 3-(3,4-dihydroxyphenyl)-N-[2-(1H-imidazol-4-yl)ethyl]-  
(9CI) (CA INDEX NAME)



L9 ANSWER 46 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:185027 CAPLUS

DOCUMENT NUMBER: 114:185027

TITLE: Preparation of trisubstituted benzene compounds for treating congestive heart failure

INVENTOR(S): Hawkins, Lynn D.

PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: U.S., 15 pp. Cont. of U.S. Ser. No. 38,252, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

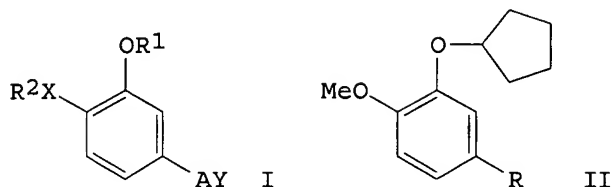
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 4971959	A	19901120	US 1988-292580	19881230
US 5274002	A	19931228	US 1990-578965	19900906
PRIORITY APPLN. INFO.:			US 1987-38252	B1 19870414
			US 1988-292580	A3 19881230
OTHER SOURCE(S):	MARPAT 114:185027			
GI				



AB The title compds. [I; R<sub>1</sub> = C<sub>3</sub>-6 cycloalkyl; R<sub>2</sub> = alkyl; X = O, S; A = bond, C<sub>1</sub>-7 alkylene, C<sub>2</sub>-6 alkenylene optionally interrupted by O, S, and imino; Y = CONR<sub>3</sub>R<sub>4</sub> wherein R<sub>3</sub>, R<sub>4</sub> = H, alkyl, azido, cyano] are prepared Hydrogenation of II [R = (E)-CH:CHCO<sub>2</sub>Me] (preparation given) over 5% Pd-C gave 83.9% propionate II (R = CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>Me), which was heated in anhydrous methanolic NH<sub>3</sub> at 100° to give 32.0% I (R<sub>1</sub> = cyclopentyl, R<sub>2</sub>X = MeO, A = CH<sub>2</sub>CH<sub>2</sub>, Y = CONH<sub>2</sub>) (III). III showed EC<sub>50</sub> of 1 + 10<sup>-5</sup>M in improving coronary blood flow and increased heart contractility at 1.0 mg/kg in dogs.

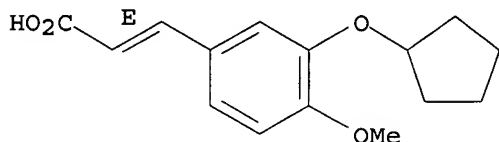
IT 133332-31-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as cardiogenic and cardiovascular agent)

RN 133332-31-7 CAPLUS

CN 2-Propenoic acid, 3-[3-(cyclopentyloxy)-4-methoxyphenyl]-, (2E)- (9CI)  
(CA INDEX NAME)

Double bond geometry as shown.



L9 ANSWER 47 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:75410 CAPLUS

DOCUMENT NUMBER: 108:75410

TITLE: Preparation of arylbenzothiazinones as calcium antagonists

INVENTOR(S): Lerch, Ulrich; Henning, Rainer; Kaiser, Joachim

PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 17 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

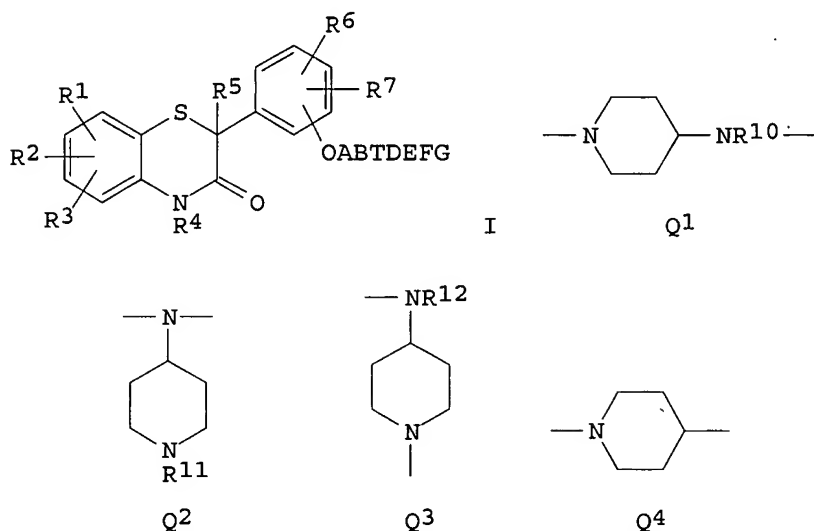
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3614363	A1	19871029	DE 1986-3614363	19860428
FI 8701813	A	19871029	FI 1987-1813	19870424
EP 244723	A2	19871111	EP 1987-106067	19870425
EP 244723	A3	19881019		

R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE

AU 8771994	A	19871029	AU 1987-71994	19870427
AU 601659	B2	19900913		
DK 8702133	A	19871029	DK 1987-2133	19870427
NO 8701745	A	19871029	NO 1987-1745	19870427
JP 62258371	A	19871110	JP 1987-102143	19870427
ZA 8702972	A	19871125	ZA 1987-2972	19870427
HU 45517	A2	19880728	HU 1987-1845	19870427
HU 199814	B	19900328		
IL 82332	A	19910816	IL 1987-82332	19870427
PRIORITY APPLN. INFO.:			DE 1986-3614363	A 19860428
OTHER SOURCE(S):		CASREACT 108:75410; MARPAT 108:75410		
GI				

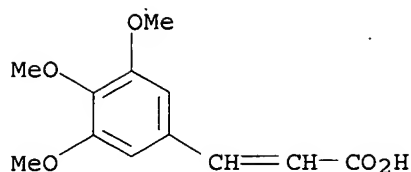


AB The title compds. [I; R1, R2, R3, R6, R7 = C1-4 alkyl, C1-3 alkoxy, F, Cl, Br, CF3, NO2, OH, AcNH, NH2; R4 = H, C1-10 alkyl, C3-10 alkenyl, (substituted) Ph; R5 = H, C1-15 alkyl, C3-15 alkenyl, C4-8 cycloalkyl, cycloalkylalkyl, (substituted) Ph, phenylalkyl; R9, R10, R11, R12 = H, C1-10 alkyl, C1-6 alkanoyl, (substituted) phenylalkyl, benzhydryl, benzhydrylalkyl, phenylalkanoyl; A = (CH2)<sub>n</sub> X(CH2)<sub>n</sub>; X = CH2, O, S, CO, CHOH, CR82; R8 = H, C1-4 alkyl; B = NR9, piperazinyl, Q1, Q2, Q3, Q4; T = (CH2)<sub>p</sub>; D = CHOH, CO, NR13CO, O; R13, R14 = H, C1-4 alkyl; E = (CH2)<sub>q</sub>, CH:CH; F = bond, NR14CO, CO, O; G = aryl; m = 1-4; n = 1-3; p,q = 0-3] are prepared as Ca antagonists. A mixture of 3,4-dihydro-2-isopropyl-4-methyl-2-[2-(4-bromobutoxy)phenyl]-2H-1,4-benzothiazine-3-one, 3,4,5-trimethoxyphenylacetate piperazide, K2CO3, and DMF was refluxed for 5 h to give 3,4-dihydro-2-isopropyl-4-methyl-2-[2-(4-(4-(2-(3,4,5-trimethoxyphenyl)acetyl)piperazinyl)butoxy)phenyl]-2H-1,4-benzothiazine-3-one.HCl. I displaced 3H-nitrendipine from membrane preps. with IG50's of 10<sup>-6</sup>- 10<sup>-10</sup> M.

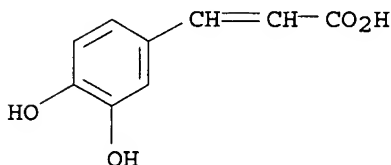
IT 90-50-6, 3,4,5-Trimethoxycinnamic acid  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (acylation by, of [(piperazinylbutoxy)phenyl]benzothiazinone)

RN 90-50-6 CAPLUS

CN 2-Propenoic acid, 3-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 48 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1975:453178 CAPLUS  
 DOCUMENT NUMBER: 83:53178  
 TITLE: Rigid amino acids related to  $\alpha$ -methyldopa  
 AUTHOR(S): Cannon, Joseph G.; O'Donnell, John P.; Rosazza, John P.; Hoppin, Charles R.  
 CORPORATE SOURCE: Coll. Pharm., Univ. Iowa, Iowa City, IA, USA  
 SOURCE: Journal of Medicinal Chemistry (1974), 17(5), 565-8  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB Title compds. ( $\pm$ )-2-amino-4,5-dihydroxyindan-2-carboxylic acid-HBr (I) and ( $\pm$ )-2-amino-5,6-dihydroxy-1,2,3,4-tetrahydronaphthalene-2-carboxylic acid-HBr (II), were prepared from the corresponding ketones by the Strecker reaction. I and II at concns. of 10-3M completely inhibited the decarboxylation of L-dopa by Dopa decarboxylase. The relation of activity to structure was discussed.  
 IT 331-39-5  
 RL: BIOL (Biological study)  
 (Dopa decarboxylase inhibition activity of, methyldopa analogs in relation to)  
 RN 331-39-5 CAPLUS  
 CN 2-Propenoic acid, 3-(3,4-dihydroxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 49 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2004:368857 CAPLUS  
 DOCUMENT NUMBER: 140:386000  
 TITLE: Compounds, compositions and methods for modulating fat metabolism for treatment of metabolic disorders  
 INVENTOR(S): Gaudriault, Georges; Kilinc, Ahmet; Bousquet, Olivier; Goupil-Lamy, Anne; Harosh, Itzik  
 PATENT ASSIGNEE(S): Obetherapy Biotechnology, Fr.  
 SOURCE: PCT Int. Appl., 461 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037159	A2	20040506	WO 2003-IL860	20031023
WO 2004037159	A3	20040715		

W: AE,, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,  
 GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,  
 LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,  
 OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
 TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003274652 A1 20040513 AU 2003-274652 20031023  
 PRIORITY APPLN. INFO.: US 2002-420316P P 20021023  
 WO 2003-IL860 W 20031023

OTHER SOURCE(S): MARPAT 140:386000

AB Methods and compns. of identifying candidate compds., for modulating fat metabolism and/or inhibiting Apobec-1 activity are provided. The invention relates to compds. and pharmaceutical compns. which are useful for regulating fat metabolism and can be used for treatment of diseases and disorders selected from the group consisting of overweight, obesity, atherosclerosis, hypertension, non-insulin dependent diabetes mellitus, pancreatitis, hypercholesteremia, hypertriglyceridemia, hyperlipidemia.

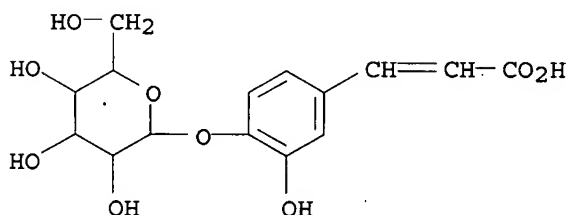
IT 686300-42-5

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compds., compns. and methods for modulating fat metabolism for treatment of metabolic disorders)

RN 686300-42-5 CAPLUS

CN 2-Propenoic acid, 3-[4-(hexopyranosyloxy)-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 50 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:132965 CAPLUS

DOCUMENT NUMBER: 138:163603

TITLE: Methods for novel sulfur-containing organic nitrate compounds use in the treatment and prevention of human diseases and conditions

INVENTOR(S): Garvey, David S.; Letts, L. Gordon

PATENT ASSIGNEE(S): Nitromed, Inc., USA

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013432	A2	20030220	WO 2002-US24923	20020807
WO 2003013432	A3	20031113		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,			

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2453433 A1 20030220 CA 2002-2453433 20020807  
 EP 1414432 A2 20040506 EP 2002-786354 20020807  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
 JP 2005501060 T 20050113 JP 2003-518446 20020807  
 US 2004152753 A1 20040805 US 2004-760672 20040121  
 PRIORITY APPLN. INFO.: US 2001-311715P P 20010810  
 WO 2002-US24923 W 20020807

OTHER SOURCE(S): MARPAT 138:163603

AB The invention describes methods of use for an organic nitrate compound, or a pharmaceutically acceptable salt thereof, wherein the organic nitrate compound comprises at least one sulfur atom and/or at least one disulfide group. The invention also provides methods for treating, preventing and/or reducing inflammation, pain, and fever; for decreasing or reversing the gastrointestinal, renal and other toxicities resulting from the use of nonsteroidal antiinflammatory compds.; for treating and/or preventing gastrointestinal disorders; for treating inflammatory disease states and disorders; for treating and/or preventing ophthalmic diseases or disorders; for treating and/or improving the gastrointestinal properties of COX-2 inhibitors; for facilitating wound healing; for treating and/or preventing other disorders resulting from elevated levels of cyclooxygenase-2; for decreasing the recurrence of ulcers; for improving gastroprotective properties, anti-Helicobacter pylori properties or antacid properties of proton pump inhibitors; for treating Helicobacter pylori and viral infections. For improving gastroprotective properties of Hz receptor antagonists; for treating and/or preventing inflammations and microbial infections, multiple sclerosis, and viral infections; for treating or preventing restenosis, autoimmune diseases, pathol. conditions resulting from abnormal cell proliferation, polycystic kidney disease, inflammatory diseases or to inhibit wound contraction; for treating or preventing sexual dysfunctions in males and females, for enhancing sexual responses in males and females; for treating or preventing benign prostatic hyperplasia, hypertension, congestive heart failure, variant (Prinzmetal) angina, glaucoma, neurodegenerative disorders, vasospastic diseases, cognitive disorders, urge incontinence, and overactive bladder; for reversing the state of anesthesia. For treating or preventing diseases induced by the increased metabolism of cyclic guanosine 3',5'-monophosphate (cGMP); for treating respiratory disorders and for treating neurol. conditions.

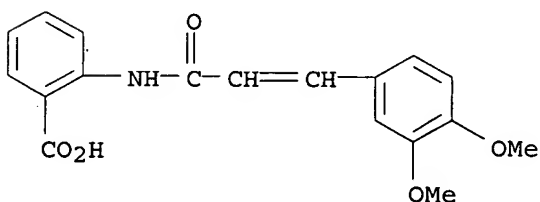
IT 53902-12-8, Tranilast

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methods for novel sulfur-containing organic nitrate compds. use in the treatment and prevention of human diseases and conditions)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
 (CA INDEX NAME)





L9 ANSWER 51 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:100738 CAPLUS

DOCUMENT NUMBER: 144:198849

TITLE: Novel dosage form comprising modified-release and immediate-release active ingredients

INVENTOR(S): Vaya, Navin; Karan, Rajesh Singh; Sadanand, Sunil; Gupta, Vinod Kumar

PATENT ASSIGNEE(S): India

SOURCE: U.S. Pat. Appl. Publ., 49 pp., Cont.-in-part of U.S. Ser. No. 630,446.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006024365	A1	20060202	US 2005-134633	20050519
IN 193042	A1	20040626	IN 2002-MU697	20020805
US 2004096499	A1	20040520	US 2003-630446	20030729
PRIORITY APPLN. INFO.:			IN 2002-MU697	A 20020805
			IN 2002-MU699	A 20020805
			IN 2003-MU80	A 20030122
			IN 2003-MU82	A 20030122
			US 2003-630446	A2 20030729

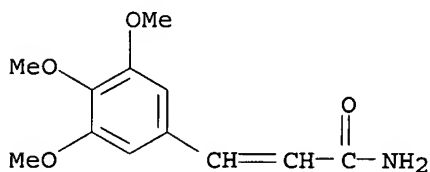
AB A dosage form comprising of a high dose, high solubility active ingredient as modified release and a low dose active ingredient as immediate release where the weight ratio of immediate release active ingredient and modified release active ingredient is from 1:10 to 1:15000 and the weight of modified release active ingredient per unit is from 500 mg to 1500 mg; a process for preparing the dosage form. Tablets containing 10 mg sodium pravastatin and 1000 mg niacin were prepared. The release of sodium pravastatin after 24 h was 67.7%, and the release of niacin after 1 h was 84.1%.

IT 5588-21-6, Cintriamide 53902-12-8, Tranilast

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(novel dosage form comprising modified-release and immediate-release active ingredients)

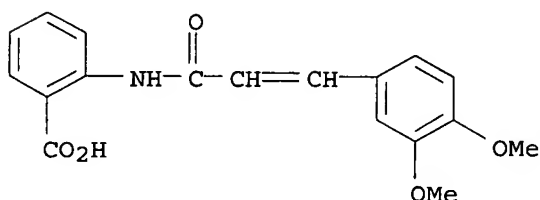
RN 5588-21-6 CAPLUS

CN 2-Propenamamide, 3-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
(CA INDEX NAME)



L9 ANSWER 52 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1290025 CAPLUS

DOCUMENT NUMBER: 144:36329

TITLE: Thiazole compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Epple, Robert; Cow, Christopher; Xie, Yongping; Wang, Xing; Russo, Ross; Azimioara, Mihai; Saez, Enrique

PATENT ASSIGNEE(S): IRM LLC, Bermuda

SOURCE: PCT Int. Appl., 187 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116000	A1	20051208	WO 2005-US18167	20050524
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005247931	A1	20051208	AU 2005-247931	20050524
CA 2563818	A1	20051208	CA 2005-2563818	20050524
EP 1748993	A1	20070207	EP 2005-754130	20050524
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:			US 2004-574137P	P 20040524
			US 2005-648985P	P 20050131
			WO 2005-US18167	W 20050524
OTHER SOURCE(S):	MARPAT 144:36329			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to thiazole compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPAR $\delta$ . In compds. I, p is 0-3; L is selected from -XOX-, -XS(O)mX-, and -XS(O)mXO-, where m is 0-2 and X is a bond or (un)substituted C1-4 alkylene; R1 is selected from halo, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl, C1-6 haloalkyl, C1-6 haloalkoxy, (un)substituted C6-10 aryl, (un)substituted C5-10 heteroaryl, (un)substituted C3-12 cycloalkyl, and (un)substituted C3-8 heterocyclyl; R2 is -XOXCO2R5 or -XCO2R5, where X is as defined previously and R5 is H or C1-6 alkyl; and R3 and R4 are independently selected from R6 and R6Y, where R6 is (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-13 heteroaryl, and Y is selected from C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, -C(O)N(R5)-, and -OX-, where X and R5 are as defined previously, or R3 and R4, together with the atoms to which they are attached, form fused bi- or tricyclic C5-14 heteroaryl; including

pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Cyclocondensation of 2-bromo-4'-methoxyacetophenone with thioacetamide followed by bromination, demethylation, and alkylation with iso-Pr iodide gave bromothiazole II, which was brominated and substituted with phenol III (preparation in 3 steps from 4-hydroxy-3-methylacetophenone given) to give thiazole IV. Compound IV underwent Suzuki coupling with 4-(trifluoromethoxy)phenylboronic acid and ester hydrolysis to give thiazole V. Most preferred compds. of the invention express an EC50 value for PPAR $\delta$  of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPAR $\delta$  over PPAR $\gamma$ .

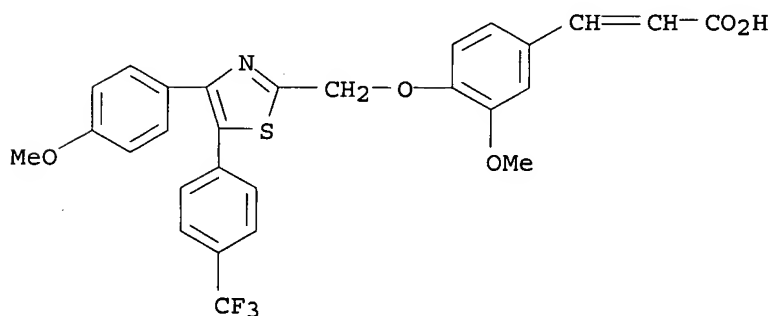
IT 870524-40-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of thiazole compds. as PPAR modulators and their use for treatment and prevention of diseases associated with PPAR $\delta$  activity)

RN 870524-40-6 CAPLUS

CN 2-Propenoic acid, 3-[3-methoxy-4-[[4-(4-methoxyphenyl)-5-[4-(trifluoromethyl)phenyl]-2-thiazolyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 53 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:282025 CAPLUS

DOCUMENT NUMBER: 132:278927

TITLE: Preparation of N3-(5-methyl-3-isoxazolyl)-3,4-dihydroxycinnamide

INVENTOR(S): Ji, Xiaoshen; Wang, Feng; Liu, Min; Jin, Tao; Wang, Jingyuan; Yang, Lianchun; Li, Fei; Lu, Min; Hao, Yong; Cheng, Yanliang

PATENT ASSIGNEE(S): Air Force General Hospital, PLA, Peop. Rep. China  
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 8 pp.  
CODEN: CNXXEV

DOCUMENT TYPE: Patent  
LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1203230	A	19981230	CN 1998-101434	19980428
CN 1100047	B	20030129		

PRIORITY APPLN. INFO.:

CN 1998-101434

19980428

OTHER SOURCE(S): CASREACT 132:278927

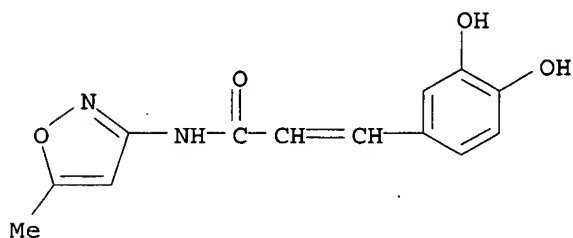
AB The title compound is prepared by acylating 3-amino-5-methyl-isoxazole with 3,4-dihydroxycinnamic acid in anhydrous THF and in the presence of DCCI overnight, filtering, and separating with vacuum column and EtOAc-acetone as gradient eluent. The title compound may be prepared by chlorinating 3,4-dihydroxycinnamic acid with SOCl<sub>2</sub> in solvent and/or in the presence of HMPA for 3-4 h, and acylating 3-amino-5-methyl-isoxazole for 4 h. The title compound may be prepared by allowing to react 3,4-dihydroxycinnamic acid with POCl<sub>3</sub> and 4-nitrophenol in benzene for 2 h, and substituting 3-amino-5-methyl-isoxazole for 2 h. The tablet as endothelial element antagonist is composed of the compound 40, starch 20, alginic acid 20, Na alginate 20, and Mg stearate 1.3 mg.

IT 227275-60-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of N3-(5-methyl-3-isoxazolyl)-3,4-dihydroxycinnamide as endothelin 1 antagonist)

RN 227275-60-7 CAPLUS

CN 2-Propenamide, 3-(3,4-dihydroxyphenyl)-N-(5-methyl-3-isoxazolyl)- (9CI)  
(CA INDEX NAME)

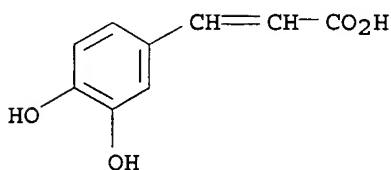


IT 331-39-5, 3,4-Dihydroxycinnamic acid

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of N3-(5-methyl-3-isoxazolyl)-3,4-dihydroxycinnamide as endothelin 1 antagonist)

RN 331-39-5 CAPLUS

CN 2-Propenoic acid, 3-(3,4-dihydroxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 54 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:888203 CAPLUS

DOCUMENT NUMBER: 124:75939

TITLE: Inhibition of PDGF- and TGF-β1-induced collagen synthesis, migration and proliferation by tranilast in vascular smooth muscle cells from spontaneously hypertensive rats

AUTHOR(S): Miyazawa, Keiji; Kikuchi, Shinji; Fukuyama, Juichi; Hamano, Shuichiro; Ujiie, Arao

CORPORATE SOURCE: Pharmacological Laboratories, Kissei Pharmaceutical Co. Ltd., Hotaka, Nagano, 399-83, Japan

SOURCE: Atherosclerosis (Shannon, Ireland) (1995), 118(2),

213-21

CODEN: ATHSBL; ISSN: 0021-9150

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Vascular smooth muscle cells (VSMC) from spontaneously hypertensive rats (SHR) proliferate faster and are more sensitive to transforming growth factor- $\beta$ 1 (TGF- $\beta$ 1) than those of normotensive Wistar-Kyoto rats. We studied the in vitro effects of tranilast, an anti-allergic drug, on the proliferation, migration and extracellular matrix synthesis in the SHR-VSMC. There were many inhibitory effects of tranilast (30-300  $\mu$ M) on SHR-VSMC. One is the effect on the proliferation stimulated with fetal bovine serum (FBS), TGF- $\beta$ 1 and platelet-derived growth factor-BB (PDGF-BB). Another is the effect on the PDGF-BB-induced migration. Lastly, tranilast exhibited inhibitory effects on spontaneous collagen synthesis and TGF- $\beta$ 1-induced collagen and glycosaminoglycan synthesis. Collagen induced the VSMC migration concentration-dependently. These results suggest that tranilast may prevent restenosis after percutaneous transluminal coronary angioplasty.

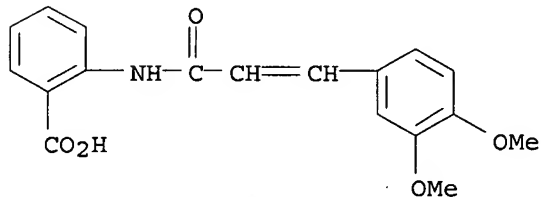
IT 53902-12-8, Tranilast

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibition of vascular smooth muscle proliferation by tranilast and possible prevention of restenosis after angioplasty)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
(CA INDEX NAME)



L9 ANSWER 55 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:468088 CAPLUS

DOCUMENT NUMBER: 119:68088

TITLE: Caracasanamide, a novel hypotensive agent from *Verbesina caracasana*

AUTHOR(S): Delle Monache, Giuliano; Botta, Bruno; Delle Monache, Franco; Espinal, Romulo; De Bonnevaux, Stella C.; De Luca, Carlo; Botta, Maurizio; Corelli, Federico; Carmignani, Marco

CORPORATE SOURCE: Cent. Chim. Recett., Rome, 00168, Italy

SOURCE: Bioorganic & Medicinal Chemistry Letters (1992), 2(5), 415-18

CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The hypotensive agent from *Verbesina caracasana* is shown to be a novel guanidino-amide which occurs in (Z)- and (E)-forms. The structure of the compound was confirmed by the synthesis of the (E) form.

IT 146269-39-8 146269-40-1, (Z)-Caracasanamide

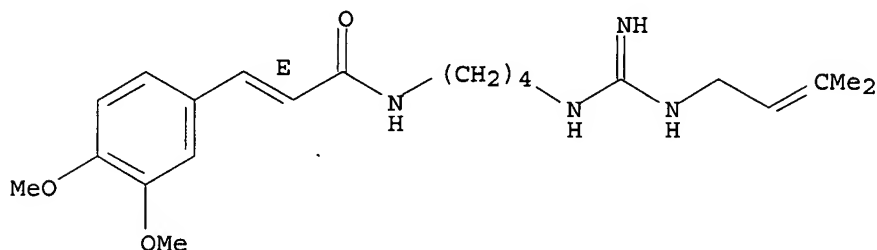
RL: BIOL (Biological study)

(from *Verbesina caracasana*, structure and hypotensive activity of)

RN 146269-39-8 CAPLUS

CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[4-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]butyl]-, (E)- (9CI) (CA INDEX NAME)

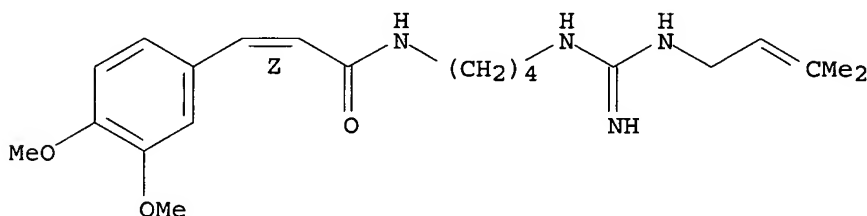
Double bond geometry as shown.



RN 146269-40-1 CAPLUS

CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[4-[[imino[(3-methyl-2-butenyl)amino]methyl]amino]butyl]-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L9 ANSWER 56 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1990:235330 CAPLUS

DOCUMENT NUMBER: 112:235330

TITLE: Preparation of 3,4-dihydro-2-[(2-substituted)phenyl]-2H-1,4-benzothiazin-3-ones as calcium antagonists

PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.

SOURCE: Austrian, 22 pp.

CODEN: AUXXAK

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 389112	B	19891025	AT 1987-2585	19871008
AT 8702585	A	19890315		

PRIORITY APPLN. INFO.: AT 1987-2585 19871008

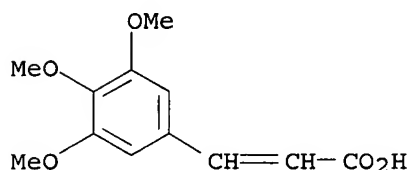
OTHER SOURCE(S): CASREACT 112:235330; MARPAT 112:235330

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R1, R6, R7 = H, C1-4 alkyl, C1-3 alkoxy, F, Cl, Br, CF3, NO2, OH, AcNH, amino; R2 = H, C1-10 alkyl, C3-10 alkenyl, (un)substituted phenylalkyl; R3 = C1-15 alkyl, C3-15 alkenyl, C4-8 cycloalkyl, etc.; R4, R5 = any of definitions of R1 except Br; R8 = (un)substituted Ph; X1 = (CH2)mX7(CH2)n; X2 = NR9, Q1, Q2, etc.; X3 = (CH2)p; X4 = CHOH, CO, O, etc.; X5 = (CH2)q, CH:CH, etc.; X6 = bond, NR10CO, O, etc.; X7 = CH2, O, S, etc.; R9 = H, C1-10 alkyl, C1-6 alkylnoyl, etc.; R10 = H, C1-5 alkyl; m = 1-4; n = 2, 3; p = 0-2; q = 0-3, with provisos] and their pharmaceutically acceptable salts were prepared as Ca-channel blockers, useful for treatment of angina pectoris, tachycardia, arrhythmia, and hypertension. A mixture of 3,4-dihydro-2-

isopropyl-4-methyl-2-[2-(4-bromobutoxy)phenyl]-2H-1,4-benzothiazin-3-one  
6.68, K<sub>2</sub>CO<sub>3</sub> 2.07, and 3,4,5-trimethoxyphenylacetic acid piperazide (preparation  
given) 6.63 g was refluxed 5 h in DMF to give 2.4 g title compound II which  
was converted to 1.7 g of II.HCl. I in vitro antagonized Ca with IC<sub>50</sub>  
values of 10<sup>-6</sup> - 10<sup>-10</sup> M.

IT 90-50-6, 3,4,5-Trimethoxycinnamic acid  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in preparation of calcium antagonist)  
RN 90-50-6 CAPLUS  
CN 2-Propenoic acid, 3-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



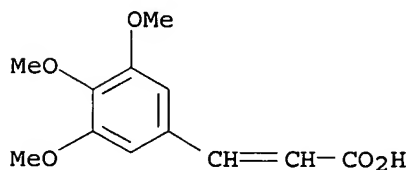
L9 ANSWER 57 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1976:44513 CAPLUS  
DOCUMENT NUMBER: 84:44513  
TITLE: Protoberberine derivatives  
INVENTOR(S): Kametani, Tetsuji  
PATENT ASSIGNEE(S): Japan Chemipha Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50101399	A	19750811	JP 1974-8847	19740119
PRIORITY APPLN. INFO.:			JP 1974-8847	19740119

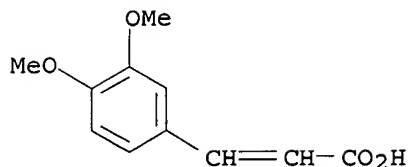
GI For diagram(s), see printed CA Issue.

AB Protoberberine derivs. (I; R<sub>1</sub> = lower alkyl; R<sub>2</sub> = straight chain or  
branched lower alkyl, pyridyl, phenyl or phenylvinyl substituted with 2 or  
3 lower alkoxy groups) were prepared by reaction of protoberberines II with  
carboxylic acids R<sub>2</sub>CO<sub>2</sub>H or their reactive derivs. I had analgesic,  
vasodilating, and hypotensive activities (no data). Thus, Ac<sub>2</sub>O was added  
to 3.8 g II (R<sub>1</sub> = Me) to give 51.3% I (R<sub>1</sub> = R<sub>2</sub> = Me). Also were prepared I  
(R<sub>1</sub>, R<sub>2</sub> given): Me, tert-Bu; Me, 3-pyridyl; Me, 3,4,5-(MeO)<sub>3</sub>C<sub>6</sub>H<sub>2</sub>; Me,  
3,4-(MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH:CH; and Me, 3,4,5-(MeO)<sub>3</sub>C<sub>6</sub>H<sub>2</sub>CH:CH.

IT 90-50-6 2316-26-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation by, of hydroxyprotoberberines)  
RN 90-50-6 CAPLUS  
CN 2-Propenoic acid, 3-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 2316-26-9 CAPLUS  
CN 2-Propenoic acid, 3-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 58 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1975:458672 CAPLUS

DOCUMENT NUMBER: 83:58672

TITLE: 4-Biphenyl isoquinoline derivatives

INVENTOR(S): Jansen, Alexander Bertus A.; Hollywood, John; Wilson, Alan Brian

PATENT ASSIGNEE(S): UK

SOURCE: U.S., 6 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3823148	A	19740709	US 1972-256955	19720525
GB 1386076	A	19750305	GB 1971-18765	19720602
			GB 1971-18765	A 19710603

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

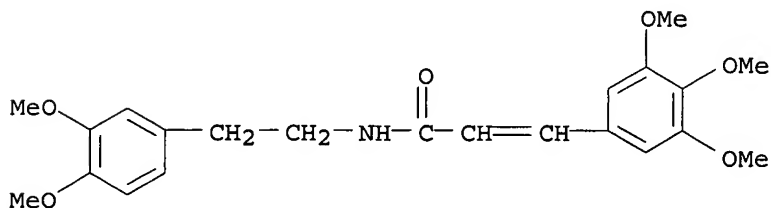
AB The dihydroisoquinoline I (R = p-PhC6H4, 1-adamantyl, p-MeSO2NHC6H4CH2, p-H2NC6H4CH2, etc.; R1 = H, Me) were prepared by cyclization of amides. Thus, p-PhC6H4COCl was treated with 3,4-(MeO)2C6H3CH2CH2NH2 to give 3,4-(MeO)2C6H3CH2CH2NHCOC6H4Cl-p, which was cyclized with POCl3 to give I (R = p-PhC6H4, R1 = Me). Several I were reduced to the 1,2,3,4-tetrahydro derivs. I were hypotensives, depressants, and anticonvulsants (no data).

IT 56205-55-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and cyclization of)

RN 56205-55-1 CAPLUS

CN 2-Propenamamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-3-(3,4,5-trimethoxyphenyl)-(9CI) (CA INDEX NAME)



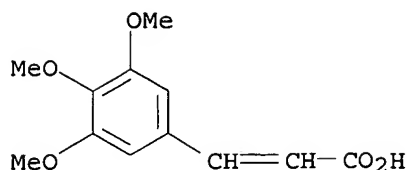
IT 90-50-6

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with amines)

RN 90-50-6 CAPLUS

CN 2-Propenoic acid, 3-(3,4,5-trimethoxyphenyl)-(9CI) (CA INDEX NAME)





L9 ANSWER 59 OF 98. CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1968:402921 CAPLUS

DOCUMENT NUMBER: 69:2921

TITLE: Synthesis and pharmacology of a series of amide derivatives of 3,4,5-trimethoxycinnamic acid and their analogs

AUTHOR(S): Cerbai, Guido; Turbanti, L.; Bianchini, P.; Bramanti, Giancarlo; Tellini, N.

CORPORATE SOURCE: Direzione Ric., Lab. Guidotti and C. S.p.A., Pisa, Italy

SOURCE: Bollettino Chimico Farmaceutico (1967), 106, 837-54  
CODEN: BCFAAI; ISSN: 0006-6648

DOCUMENT TYPE: Journal

LANGUAGE: Italian

GI For diagram(s), see printed CA Issue.

AB The sedative and hypotensive activity of N-(3,4,5-trimethoxycinnamoyl)-morpholine (I) (R1 = R2 = MeO, R3 = morpholino) led to the synthesis of a series of new amide derivs., with changes in both the acid and the basic part of the mol. Thus, to a solution of 3.6 g. acetylsinapyl chloride and 1.51 g. Et3N in 60 ml. C6H6, 1.13 g. morpholine was added slowly, with stirring and cooling; stirring was continued 1 hr. at room temperature, and the mixture refluxed 3-4 hrs. and worked up to give 60% I (R1 = AcO, R2 = MeO, R3 = morpholino). In preparation of derivs. of acetylferulic acid, oily residues were obtained which had to be washed with petroleum ether and dried in vacuo prior to crystallization. In a somewhat different way, I (R1 =

R2 = MeO, R3 = 4-oxopiperidino) (Ia) was prepared by decarboxylating 7.5 g. 3-carbethoxy-4-piperidone-HCl in 25 ml. 6N HCl by refluxing the mixture 2 hrs. and evaporating the solvent; the residue was taken up with 5 ml. H2O, 5 g. anhydrous K2CO3, 20 ml. CHCl3, and 9.35 g. 3,4,5-trimethoxycinnamoyl chloride in 50 ml. CHCl3 were added successively, and the mixture stirred 2 hrs., refluxed 4 hrs. and, after addition of 3 ml. EtOH, refluxed again 2 hrs. and worked up to give Ia, m. 135-6° (EtOH); p-nitrophenylhydrazone m. 195-7°. I prepared are given in the table. I (R1 = AcO, R2 = MeO, R3 = morpholino) (2.1 g.) was dissolved in 25 ml. absolute MeOH, to the cooled solution MeONa in MeOH (prepared from 0.15 g. Na in 6 ml. MeOH) was added slowly with stirring, and the mixture kept overnight at room temperature and worked up to give .apprx.40% the following I (R1 = OH) (R2, R3, and m.p. given): H, morpholino, 172-4°; H, 2-methylmorpholino, 89-91°; H, 2-ethylmorpholino, 64-6°; H, 3-ethylmorpholino, 79-81°; MeO, morpholino, 201-2°; and MeO, 2-methylmorpholino, 155°. In a similar way the following 3,4,5-trimethoxyacetic acid derivs. (II) were prepared (R given): morpholino (b0.1 207-9°); and pyrrolidino (m. 64-5°). A solution of 7.48 g. ethylene oxide in 25 ml. EtOH was added to a solution of 20 g. BuCH(NH2)CH2OH in 15 ml. EtOH with stirring and cooling to 0°, stirring continued 2 hrs., and the mixture kept 24 hrs. at room temperature, heated 1 hr. on a water bath, and worked up to give 17.8 g. N-(2-hydroxyethyl)-2-aminohexanol (III), b9.1 114-15°. In the same way PrCH(NH2)CH2OH gave N-(2-hydroxyethyl)-2-aminopentanol (IV), b0.7-0.8 119-22°. III (10 g.) was added dropwise with stirring to 7 ml. concentrated H2SO4 at 0°, and the mixture heated 6 hrs. at 150-60° (distillation of H2O formed) and worked up to give 3.9 g. 3-butylmorpholine

(V),

b. 198°; HCl salt m. 133° (absolute EtOH). V and VI were used

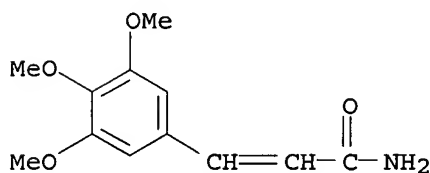
in the preparation of the corresponding I. The results of the pharmacological screening made with the described I can be summarized as follows: (a) the introduction at C-2 of the morpholine ring of a Me and Et group increased the sedative and decreased the antihistaminic activity of I; (b) the introduction of Et, Br, and Bu at C-3 caused appearance of hypotensive action; (c) the introduction of Me at C-2 and C-6 induced changes in the antiedemic activity and potentiated the action on the bronchospasm induced by histamine; (d) the elimination of the MeO-groups and acetylation in C-4 of the C<sub>6</sub>H<sub>6</sub>-ring increased the analgesic action of I.

IT 5588-21-6DP, Cinnamamide, 3,4,5-trimethoxy-, derivs.  
16562-68-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and pharmacology of)

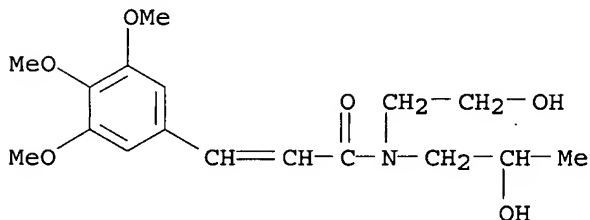
RN 5588-21-6 CAPLUS

CN 2-Propenamide, 3-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 16562-68-8 CAPLUS

CN 2-Propenamide, N-(2-hydroxyethyl)-N-(2-hydroxypropyl)-3-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 60 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:49212 CAPLUS

DOCUMENT NUMBER: 142:367143

TITLE: Predictive factors for ischemic target vessel revascularization in the Prevention of Restenosis with Tranilast and its Outcomes (PRESTO) trial

AUTHOR(S): Singh, Mandeep; Gersh, Bernard J.; McClelland, Robyn L.; Ho, Kalon K. L.; Willerson, James T.; Penny, William F.; Holmes, David R.

CORPORATE SOURCE: Division of Internal Medicine and Cardiovascular Diseases, Mayo Clinic and Mayo Foundation, Rochester, MN, USA

SOURCE: Journal of the American College of Cardiology (2005), 45(2), 198-203

CODEN: JACCDI; ISSN: 0735-1097

PUBLISHER: Elsevier Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The aim of the present study was to determine the rates of target vessel revascularization (TVR) and to determine predictors of TVR from clin. and angiog. variables available in the Prevention of Restenosis With Tranilast and its Outcomes (PRESTO) database. The rates of TVR after percutaneous revascularization procedures, and its prediction with available clin. and

angiog. variables, is less well known. We studied nine-month TVR in 11,484 patients enrolled in the PRESTO trial. Clin., lesion-related, and procedural characteristics were analyzed in a logistic regression model. Study data were divided at random into an 80% training set on which the models were developed and a 20% hold-out set on which the model properties were evaluated. A total of 14% (n = 1,609) had ischemic TVR. Clin. variables with increased risk for TVR included younger age; hypertension; diabetes mellitus; nonsmokers; unstable angina; previous coronary artery bypass grafting; peripheral vascular disease; procedure- and lesion-related such as ostial location, multilesion angioplasty, location in the left anterior descending artery, length  $\geq 20$  mm, in-stent restenosis at baseline, and use of rotablator. There was significant increase in the risk of ischemic TVR at U.S. treatment sites. Smoking and stent placement were associated with lower risk of ischemic TVR. The mean area ( $\pm$  SD) under the receiver-operating characteristic curve of the bootstrap samples was 0.66, indicating a modest ability of the model to discriminate patients who needed TVR on follow-up. Despite being the largest prospective trial designed to test restenosis, the discriminatory ability of the clin. and angiog. variables to predict TVR is modest.

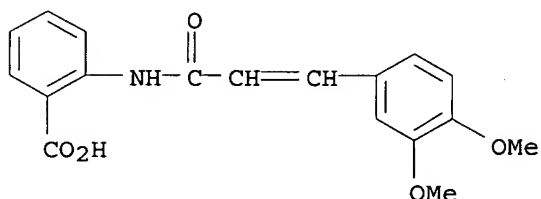
IT 53902-12-8, Tranilast

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(PRESTO trial showed modest accuracy of clin. and angiog. variables for prediction of target vessel revascularization in percutaneous coronary intervention patient)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 61 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1966:38793 CAPLUS

DOCUMENT NUMBER: 64:38793

ORIGINAL REFERENCE NO.: 64:7248a-b

TITLE: Recent advances in hypotensive pharmaceuticals; dopa decarboxylase inhibitors

AUTHOR(S): Wermuth, Camille Georges

CORPORATE SOURCE: Fac. Pharm., Strasbourg, Fr.

SOURCE: Therapie (1965), 20(6), 1569-78  
CODEN: THERAP; ISSN: 0040-5957

DOCUMENT TYPE: Journal

LANGUAGE: French

AB Previous studies are reviewed concerning dopa decarboxylase and the use of enzyme inhibitors to reduce hypertension. Intravenous  $\alpha$ -methyl dopa, N-(DL-seryl)-N'-(2,3,4-trihydroxybenzyl)hydrazine, piperidine caffeine, and protocatechuic aldoxime inhibited the pressor response induced by 5 mg. DL-dopa in urethanized and hexamethonium-pretreated rats;  $\alpha$ -(aminooxy)-6-bromo-m-cresol was not inhibitory. 21 references.

IT 300-51-6, Cinnamic acid, 3,4-dihydroxy-, compound with piperidine (1:1)

(blood pressure lowering by)

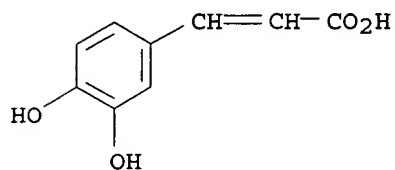
RN 300-51-6 CAPLUS

CN 2-Propenoic acid, 3-(3,4-dihydroxyphenyl)-, compd. with piperidine (1:1)  
(9CI) (CA INDEX NAME)

CM 1

CRN 331-39-5

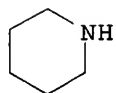
CMF C9 H8 O4



CM 2

CRN 110-89-4

CMF C5 H11 N



IT 300-51-6P, Cinnamic acid, 3,4-dihydroxy-, compound with piperidine  
(1:1)

RL: PREP (Preparation)  
(preparation of)

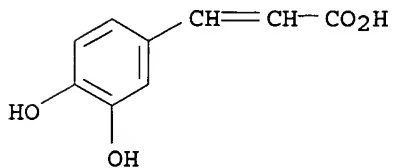
RN 300-51-6 CAPLUS

CN 2-Propenoic acid, 3-(3,4-dihydroxyphenyl)-, compd. with piperidine (1:1)  
(9CI) (CA INDEX NAME)

CM 1

CRN 331-39-5

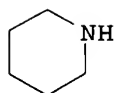
CMF C9 H8 O4



CM 2

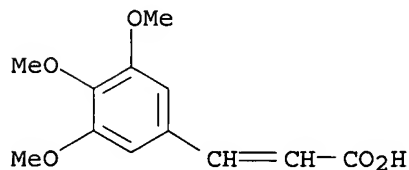
CRN 110-89-4

CMF C5 H11 N

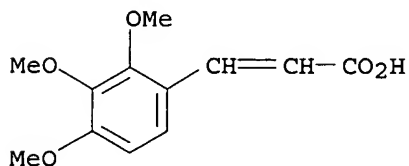


L9 ANSWER 62 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1958:35475 CAPLUS  
 DOCUMENT NUMBER: 52:35475  
 ORIGINAL REFERENCE NO.: 52:6405e-g  
 TITLE: Dimethylaminoethyl esters of polyalkoxy benzoic and cinnamic acids  
 INVENTOR(S): Campbell, Kenneth N.  
 PATENT ASSIGNEE(S): Mead Johnson & Co.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 2816133		19571210	US	
AB	3,4,5-(MeO)3C6H2CO2H (7 g.) and 11.9 g. SOCl2 (I) refluxed 2 hrs., the excess I evaporated in vacuo, the solid acid chloride (II) dissolved in 15 ml. C6H6 and the C6H6 evaporated in vacuo, the II in 50 ml. PhMe added dropwise with stirring and cooling to 8.9 g. Me2NCH2CH2OH (III) in 25 ml. dry PhMe, the mixture warmed to 100° and kept at 100° an hr., the III.HCl filtered off, the filtrate washed with H2O and dried, the solvent removed, and the residue distilled in vacuo gave 82% 3,4,5-(MeO)3C6H2CO2CH2CH2NMe2, b0.5 155°; HCl salt, m. 126-7° (ether). Similarly prepared were III esters of the following acids: 2,3,4-(MeO)3C6H2CO2H, b0.5 142-6°, n20D 1.5195 (HCl salt, m. 132-3°); 2,4,6-(MeO)3C6H2CO2H, m. 61-2° (HCl salt, m. 190-°1); 2,3,4-(MeO)3C6H2CH:CHCO2H HCl salt, m. 121-2°; 3,4,5-(MeO)3 analog HCl salt, m. 182-3° (decomposition). These compds. have desirable pharmacol. properties which affect the cardiovascular dynamics of animals. They are nontoxic and useful in treatment of cardiovascular abnormalities such as hypertension.				
IT	90-50-6, Cinnamic acid, 3,4,5-trimethoxy-, 2-dimethylaminoethyl esters 33130-03-9, 2-Propenoic acid, 3-(2,3,4-trimethoxyphenyl)- (and their hydrochlorides)				
RN	90-50-6 CAPLUS				
CN	2-Propenoic acid, 3-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)				



RN 33130-03-9 CAPLUS  
 CN 2-Propenoic acid, 3-(2,3,4-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 63 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:950067 CAPLUS

DOCUMENT NUMBER: 145:342435

TITLE: Manufacture and application of sodium ferulate injection

INVENTOR(S): Guo, Zhihua

PATENT ASSIGNEE(S): Lokis Pharmaceutical (Jilin) Co., Ltd., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 8pp.  
CODEN: CNXXEV

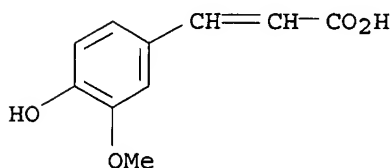
DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1830428	A	20060913	CN 2005-10051479	20050308
PRIORITY APPLN. INFO.:			CN 2005-10051479	20050308
AB	The title sodium ferulate injection contains sodium ferulate, propylene glycol, sodium hydrogen sulfite, and injection water. The injection is manufactured by grinding the mixture of sodium ferulate and 1-40 times propylene glycol homogeneously, dissolving in injection water, adding sodium hydrogen sulfite, ultrafiltering, bottling, and sterilizing to obtain the final product. Sodium ferulate can be prevented from hydrolyzation by propylene glycol and oxidative degradation by sodium hydrogen sulfite. The injection can be used to treat arteriosclerosis, coronary heart disease, cerebrovascular diseases, glomerulus diseases, pulmonary hypertension, vascular diseases resulted from diabetes mellitus and angiitis, leukopenia, thrombocytopenia, migraine, and vascular headache.			
IT	24276-84-4, Sodium ferulate RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (manufacture and application of sodium ferulate injection)			
RN	24276-84-4 CAPLUS			
CN	2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)-, monosodium salt (9CI) (CA INDEX NAME)			



⊗ Na

L9 ANSWER 64 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:946650 CAPLUS

DOCUMENT NUMBER: 145:342430

TITLE: Method for manufacturing freeze-dried injection containing sodium ferulate

INVENTOR(S): Guo, Zhihua

PATENT ASSIGNEE(S): Barrymore Pharmaceutical (Tonghua) Co., Ltd., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 12pp.

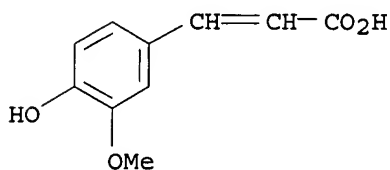
CODEN: CNXXEV  
DOCUMENT TYPE: Patent  
LANGUAGE: Chinese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1830427	A	20060913	CN 2005-10051477	20050308

PRIORITY APPLN. INFO.: CN 2005-10051477 20050308

AB The title method comprises: (1) dissolving sodium ferulate in water for injection in nitrogen protection and under protecting from light, and ultrafiltering to obtain an ultrafiltrate containing sodium ferulate, (2) dissolving mannitol in water for injection, adding activated carbon, boiling, and filtering for removing activated carbon to obtain a filtrate containing mannitol, and (3) adding the ultrafiltrate containing sodium ferulate to the filtrate containing mannitol, mixing to obtain a uniform solution, fixing volume, adjusting pH, inspecting quality of semifinished product, sterilizing, packaging in penicillin bottle in nitrogen protection and under protecting from light, freeze-drying, and sealing to obtain the freeze-dried injection containing sodium ferulate. This injection has the advantages of low degradation of sodium ferulate, good solubility and stability, low stimulation, and stable curative effect. The injection can be used for treating atherosclerosis, coronary heart disease, cerebrovascular disease, glomerular disease, pulmonary hypertension, diabetic angiopathy, angitis, leukopenia, thrombocytopenia, migraine and vascular headache.

IT 24276-84-4, Sodium ferulate  
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(method for manufacturing freeze-dried injection containing sodium ferulate)  
RN 24276-84-4 CAPLUS  
CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)-, monosodium salt (9CI)  
(CA INDEX NAME)



⊙ Na

L9 ANSWER 65 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2004:295980 CAPLUS  
DOCUMENT NUMBER: 141:325356  
TITLE: Inhibitory influences of xanthine oxidase inhibitor and angiotensin I-converting enzyme inhibitor on multinucleated giant cell formation from monocytes by down-regulation of adhesion molecules and purinergic receptors  
AUTHOR(S): Mizuno, K.; Okamoto, H.; Horio, T.  
CORPORATE SOURCE: Department of Dermatology, Kansai Medical University, Moriguchi, Osaka, 570-8507, Japan

SOURCE: British Journal of Dermatology (2004), 150(2), 205-210  
CODEN: BJDEAZ; ISSN: 0007-0963  
PUBLISHER: Blackwell Publishing Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Allopurinol, a xanthine oxidase inhibitor, and captopril, an inhibitor of angiotensin I-converting enzyme, are widely used for hyperuricemia and hypertension, resp. There have been reported cases showing that these two agents are effective for the treatment of granulomatous diseases such as sarcoidosis, although the mode of action is not elucidated. We examined the in vitro effects of these agents on the formation of multinucleated giant cells (MGC) from human monocytes by Con A-stimulated mononuclear cell supernatants (conditioned medium). We cultured monocytes with conditioned medium and each agent and compared the rate of MGC formation as well as the expression of adhesion mols. and P2X7 receptor, which are involved in MGC formation. The addition of 25 or 100 µg mL<sup>-1</sup> allopurinol or 0.125-1.0 µg mL<sup>-1</sup> captopril inhibited MGC formation. Monocytes treated with these agents exhibited less expression of intercellular adhesion mol.-1 (ICAM-1) than untreated monocytes. The susceptibility of monocytes cultured in conditioned medium for 24 h to 2'-and 3'-o-(4-benzoyl-benzoyl)ATP-mediated cytolysis was significantly lower in monocytes treated with these agents than in untreated monocytes. Allopurinol and captopril have a therapeutic effect on granulomatous disorders by a direct action on monocyte/macrophage lineage cells partly through down-regulation of ICAM-1 and P2X7 receptor.

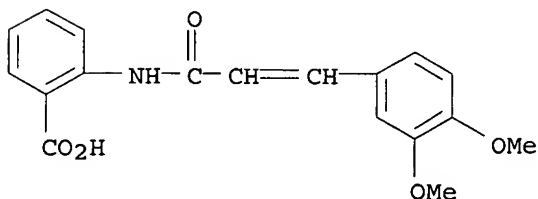
IT 53902-12-8, Tranilast

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(tranilast inhibited MGC formation in dose dependent manner, but effect was less than allopurinol or captopril and did not significantly affect LDH release on human monocyte)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 66 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:694488 CAPLUS

DOCUMENT NUMBER: 138:82828

TITLE: Left ventricular hypertrophy: a new approach for fibrosis inhibition

AUTHOR(S): Muiesan, Maria Lorenza

CORPORATE SOURCE: Dipartimento di Scienze Mediche, Medicina, Spedali Civili, Brescia, 25100, Italy

SOURCE: Journal of Hypertension (2002), 20(4), 611-613

CODEN: JOHYD3; ISSN: 0263-6352

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal; General Review

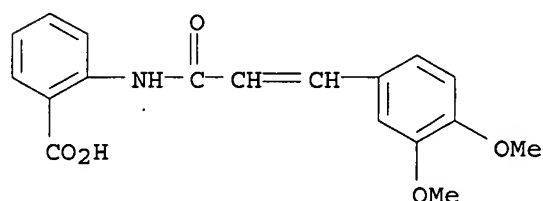
LANGUAGE: English

AB A review on the effect of treatment with tranilast for 12 wk in rats with renovascular hypertension compared to an angiotensin-converting enzyme (ACE)-inhibitor or no treatment. Tranilast was found to reverse



transforming growth factor- $\beta$ 1 mediated cardiac fibrosis, independently of blood pressure, while treatment with an ACE-inhibitor reduced blood pressure and left ventricular fibrosis. This result provides evidence that TGF- $\beta$ 1 plays a major role in the process of cardiac fibrosis, particularly when the renin-angiotensin system is activated.

IT 53902-12-8, Tranilast  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (tranilast for treatment of left ventricular hypertrophy)  
 RN 53902-12-8 CAPLUS  
 CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 67 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:889492 CAPLUS  
 DOCUMENT NUMBER: 145:299764  
 TITLE: Implantable small percutaneous valve and methods of delivery  
 INVENTOR(S): Kheradvar, Arash; Ravichandran, Guruswami; Gharib, Morteza  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 24pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

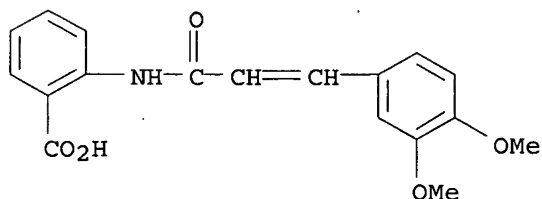
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006195180	A1	20060831	US 2006-361850	20060224
WO 2006110228	A2	20061019	WO 2006-US7022	20060227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:  
 US 2005-656466P P 20050225  
 US 2005-657474P P 20050301  
 US 2005-748345P P 20051206  
 US 2006-756705P P 20060106  
 US 2006-361850 A 20060224

AB An implantable prosthetic valve system for implantation in a body channel,

more particularly, to an implantable prosthetic heart valve suitable for replacement of a defect or diseased human heart valve and method of delivery are provided. The prosthetic valve is transformable from a first helical pre-implantation configuration to a second valvular functional configuration. The valve comprises a support structure with leaflets made from synthetic material, engineered biol. tissue, biol. valvular leaflet tissue, pericardial tissue, and crosslinked pericardial tissue. At least a portion of the support structure and the leaflets is covered with cloth. The support structure comprises a circular stent made, e.g., of shape memory Nitinol and a plurality of elongate support arms. The prosthetic valve system comprises a radially or helically collapsible and expandable crown loaded with at least one bioactive agent.

IT 53902-12-8, Tranilast  
 RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (implantable small percutaneous valve and delivery apparatus)  
 RN 53902-12-8 CAPLUS  
 CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
 (CA INDEX NAME)



L9 ANSWER 68 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:666025 CAPLUS  
 DOCUMENT NUMBER: 145:152690  
 TITLE: Method for inducing crystalline state transition in pharmaceuticals  
 INVENTOR(S): Nakamichi, Kouichi; Izumi, Shougo; Oka, Masaaki  
 PATENT ASSIGNEE(S): Nippon Shinyaju Company, Ltd., Japan  
 SOURCE: U.S., 18 pp., Cont.-in-part of U. S. 5,456,923.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

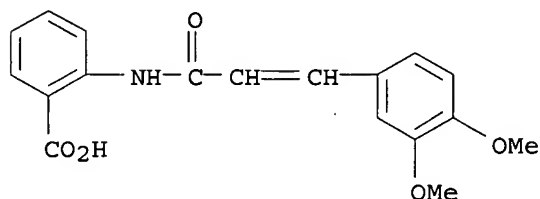
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5811547	A	19980922	US 1995-416815	19950609
CA 2147279	A1	19940428	CA 1993-2147279	19931013
WO 9408561	A1	19940428	WO 1993-JP1469	19931013
W: AU, BR, CA, FI, HU, JP, KR, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9351607	A	19940509	AU 1993-51607	19931013
EP 665009	A1	19950802	EP 1993-922625	19931013
EP 665009	B1	20000216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 189770	T	20000315	AT 1993-922625	19931013
ES 2145063	T3	20000701	ES 1993-922625	19931013
US 5456923	A	19951010	US 1993-129133	19931115
PRIORITY APPLN. INFO.:				
			JP 1992-303085	A 19921014
			WO 1993-JP1469	W 19931013
			US 1993-129133	A2 19931115
			JP 1991-112554	A 19910416
			WO 1992-JP470	W 19920414

AB This invention has for its object to provide a method of inducing a transition in crystalline state of a crystallizable pharmaceutical with great ease and improved efficiency and uniformity on a high production scale. An extruder is used for inducing a transition from one crystalline state ( $\Delta$ ) to another crystalline state in a crystallizable pharmaceutical. An extruded indomethacin (form  $\alpha$ ) was converted to an amorphous form.

IT 53902-12-8, Tranilast  
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (method for inducing crystalline state transition in pharmaceuticals)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 69 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:151208 CAPLUS

DOCUMENT NUMBER: 144:219324

TITLE: Transnasal composition having immediate action and high absorbability

INVENTOR(S): Nagata, Ryoichi; Haruta, Shunji

PATENT ASSIGNEE(S): Translational Research, Ltd., Japan

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

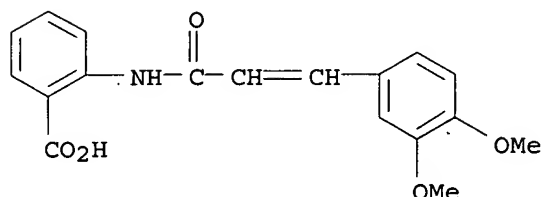
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006016530	A1	20060216	WO 2005-JP14389	20050805
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: JP 2004-233660 A 20040810

AB Disclosed is a powdery composition for transnasal administration which contains a nonpeptidic nonproteinaceous drug and crystalline cellulose masses having a specific mesh-size as a carrier therefor. This composition can exert an immediate action of the drug and a high absorbability. For example, morphine hydrochloride 65 mg and Avicel PH-F20 (crystalline cellulose) 135 mg were blended and nasally administered to monkeys for the determination of pharmacokinetic parameters of morphine.

IT 53902-12-8, Tranilast  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (transnasal powder composition having immediate action and high  
 absorbability)  
 RN 53902-12-8 CAPLUS  
 CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
 (CA INDEX NAME)



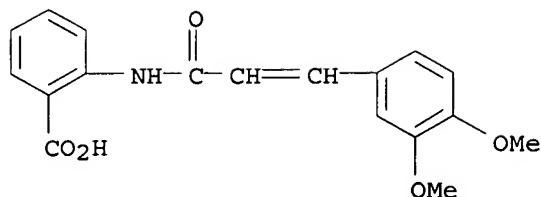
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 70 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:148238 CAPLUS  
 DOCUMENT NUMBER: 144:239929  
 TITLE: Drug eluting stents made from crosslinked  
 biodegradable materials and drugs  
 INVENTOR(S): Sung, Hsing-Wen; Liang, Hsiang-Fa; Huang, Chin-Tsung;  
 Tu, Hosheng  
 PATENT ASSIGNEE(S): Taiwan  
 SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S.  
 Ser. No. 916,170.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 12  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006034885	A1	20060216	US 2004-929047	20040827
US 2005019404	A1	20050127	US 2004-916170	20040811
PRIORITY APPLN. INFO.:			US 2004-916170	A2 20040811
			US 2003-610391	A2 20030630
			US 2003-518050P	P 20031107
			US 2004-547935P	P 20040226
			US 2004-565438P	P 20040426
			US 2004-574501P	P 20040526
			US 2004-585775P	P 20040706

OTHER SOURCE(S): MARPAT 144:239929  
 AB The present invention relates to a drug-loaded biodegradable stent and  
 methods for treating vulnerable plaques of a patient comprising a  
 plurality of layers or zones, each layer or zone comprising its own  
 specific biodegrdn. rate and its specific drug loading characteristics.  
 In one embodiment, the layers and zones are configured and arranged, in  
 combination, radially, circumferentially and longitudinally. For example,  
 a stent made from genipin-crosslinked chitosan was loaded with Taxol for  
 controlled release of the antitumor agent.

IT 53902-12-8, Tranilast  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (drug eluting stents made from crosslinked biodegradable materials and  
 drugs)  
 RN 53902-12-8 CAPLUS  
 CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
 (CA INDEX NAME)



L9 ANSWER 71 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:1262679 CAPLUS  
 DOCUMENT NUMBER: 143:472649  
 TITLE: Diarylalkanes as potent inhibitors of binuclear enzymes  
 INVENTOR(S): Jia, Qi; Zhao, Ji-Fu  
 PATENT ASSIGNEE(S): Unigen Pharmaceuticals, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 39 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005267047	A1	20051201	US 2005-139200	20050527
AU 2005249493	A1	20051215	AU 2005-249493	20050527
CA 2567801	A1	20051215	CA 2005-2567801	20050527
WO 2005117849	A1	20051215	WO 2005-US18884	20050527
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1748767	A1	20070207	EP 2005-762186	20050527
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				

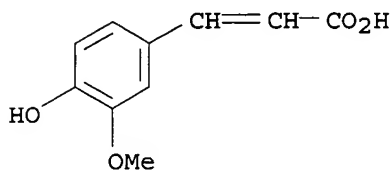
PRIORITY APPLN. INFO.: US 2004-575599P P 20040528  
 WO 2005-US18884 W 20050527

OTHER SOURCE(S): MARPAT 143:472649

AB The present invention implements a strategy that combines an enzyme inhibition assay with a chemical dereplication process to identify active plant exts. and the particular compds.-diarylalkanes and/or diarylalkanols within those exts. that specifically inhibit binuclear enzyme function. Included in the present invention are compns. of matter comprised of one or more of diarylalkanes and/or diarylalkanols, which inhibit the activity of binuclear enzymes, particularly tyrosinase and which prevent melanin overprodn. The present invention also provides a method for inhibiting the activity of a binuclear enzyme, particularly tyrosinase and a method for preventing and treating diseases and conditions related to binuclear enzyme function. The present invention further includes a method for preventing and treating melanin overprodn. and diseases and conditions of the skin related thereto. The method for preventing and treating diseases and conditions related to binuclear enzyme function and melanin overprodn.

is comprised of administering to a host in need thereof an effective amount of a composition comprising one or more diarylalkanes and/or diarylalkanols synthesized and/or isolated from one or more plants together with a pharmaceutically acceptable carrier.

IT 1135-24-6, 3-Methoxy-4-hydroxycinnamic acid  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (diarylalkanes as inhibitors of binuclear enzymes)  
 RN 1135-24-6 CAPLUS  
 CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 72 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:497471 CAPLUS  
 DOCUMENT NUMBER: 143:32422  
 TITLE: Crosslinkable biological material and angiogenic agent for promoting angiogenesis  
 INVENTOR(S): Sung, Hsing-Wen; Liang, Huang-Chien; Tu, Hosheng  
 PATENT ASSIGNEE(S): Taiwan  
 SOURCE: U.S. Pat. Appl. Publ., 56 pp., Cont.-in-part of U.S. Ser. No. 408,176.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 12  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005124560	A1	20050609	US 2004-827673	20040419
US 7101857	B2	20060905		
WO 9819718	A1	19980514	WO 1997-US20113	19971104
W: CA, CN, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1260237	A1	20021127	EP 2002-19186	19971104
R: DE, FR, GB, IT				
US 6608040	B1	20030819	US 2001-297808	20010927
US 2002091445	A1	20020711	US 2002-67130	20020204
US 6545042	B2	20030408		
US 6998418	B1	20060214	US 2003-408176	20030407
AU 2004289270	A1	20050526	AU 2004-289270	20041105
CA 2545136	A1	20050526	CA 2004-2545136	20041105
EP 1689322	A1	20060816	EP 2004-818654	20041105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
PRIORITY APPLN. INFO.:				
			US 1996-30701P	P 19961105
			WO 1997-US20113	W 19971104
			US 2001-297808	A2 20010927
			US 2002-67130	A2 20020204
			US 2003-408176	A2 20030407
			US 2003-492874P	P 20030806
			US 2003-518050P	P 20031107
			US 2003-526434P	P 20031202
			US 2004-547935P	P 20040226
			US 2004-552517P	P 20040312
			EP 1997-947356	A3 19971104

US 2004-565438P P 20040426  
 US 2004-574501P P 20040526  
 US 2004-610391 A 20040630  
 US 2004-585775P P 20040706  
 WO 2004-US37217 W 20041105

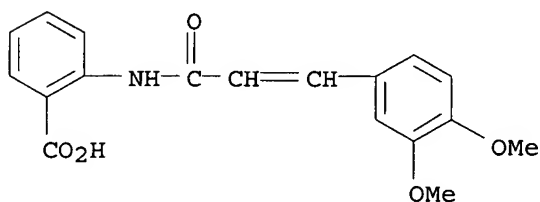
AB A method for promoting angiogenesis in a patient comprising providing crosslinkable biol. solution to the target tissue, wherein the crosslinkable biol. solution is loaded with at least one angiogenic agent. In one embodiment, the at least one angiogenic agent is a non-protein factor selected from a group consisting of ginsenoside Rg1, ginsenoside Re, combination thereof and the like. In another embodiment, the crosslinkable biol. solution of the present invention is broadly defined in a form or phase of solution, paste, gel, suspension, colloid or plasma that may be solidifiable thereafter. For example, to increase pore sizes and porosities within test samples, the acellular pericardia were treated with acetic acid and collagenase. Subsequently, acellular tissues were fixed in a 0.05% genipin at 37° for 3 days. Genipin, as a crosslinking agent, was significantly less cytotoxic compared to glutaraldehyde used as a control.

IT 53902-12-8, Tranilast

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (biomaterial modified with composition containing angiogenic agent and crosslinker for promoting angiogenesis)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
 (CA INDEX NAME)



L9 ANSWER 73 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:497233 CAPLUS

DOCUMENT NUMBER: 143:32417

TITLE: Drug-eluting stent having collagen drug carrier chemically treated with genipin

INVENTOR(S): Sung, Hsing-Wen; Chen, Mei-Chin; Tu, Peter Y.; Tu, Hosheng

PATENT ASSIGNEE(S): Taiwan

SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S. Ser. No. 717,162.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005123582	A1	20050609	US 2004-811413	20040326
WO 9819718	A1	19980514	WO 1997-US20113	19971104
W: CA, CN, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1260237	A1	20021127	EP 2002-19186	19971104
R: DE, FR, GB, IT				
US 6608040	B1	20030819	US 2001-297808	20010927
US 6624138	B1	20030923	US 2002-211656	20020802

US 2003191071	A1	20031009		
US 2005163818	A1	20050728	US 2003-610391	20030630
AU 2004289270	A1	20050526	AU 2004-289270	20041105
CA 2545136	A1	20050526	CA 2004-2545136	20041105
EP 1689322	A1	20060816	EP 2004-818654	20041105

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

PRIORITY APPLN. INFO.:

US 1996-30701P	P	19961105
WO 1997-US20113	W	19971104
US 2001-297808	A2	20010927
US 2002-211656	A2	20020802
US 2003-610391	A2	20030630
US 2003-492874P	P	20030806
US 2003-518050P	P	20031107
US 2003-717162	A2	20031119
US 2004-547935P	P	20040226
US 2004-552517P	P	20040312
EP 1997-947356	A3	19971104
US 2002-393565P	P	20020702
US 2004-565438P	P	20040426
US 2004-574501P	P	20040526
US 2004-610391	A	20040630
US 2004-585775P	P	20040706
WO 2004-US37217	W	20041105

OTHER SOURCE(S): MARPAT 143:32417

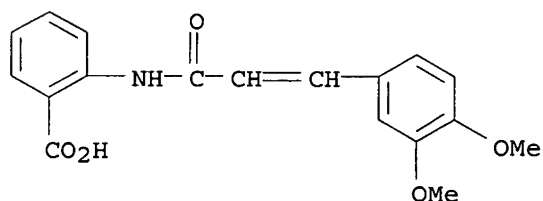
AB A method for treating vulnerable plaques of a patient, comprising: providing a biodegradable stent comprising a first supporting zone made of a first biodegradable material, wherein the supporting zone comprises at least a portion of continuous circumference of the stent; and a second therapeutic zone made of a second biodegradable material, wherein the therapeutic zone comprises at least one bioactive agent; delivering the biodegradable stent to the vulnerable plaques; orienting the therapeutic zone at about the luminal surface of the vulnerable plaque; and releasing the at least one bioactive agent for treating the vulnerable plaques.

IT 53902-12-8, Tranilast

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(drug-eluting stent having collagen drug carrier chemical treated with genipin)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
(CA INDEX NAME)



L9 ANSWER 74 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:140590 CAPLUS

DOCUMENT NUMBER: 142:225670

TITLE: Composition for heart disease, its active ingredients, method to prepare same and uses thereof

INVENTOR(S): Yan, Xijun; Wu, Naifeng; Guo, Zhixin; Ye, Zhengliang; Liu, Yan

PATENT ASSIGNEE(S): Peop. Rep. China

SOURCE: U.S. Pat. Appl. Publ., 16 pp.

CODEN: USXXCO

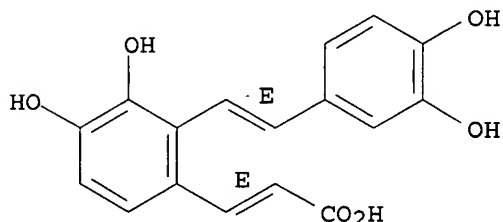
DOCUMENT TYPE: Patent



LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005037094	A1	20050217	US 2004-903110	20040730
PRIORITY APPLN. INFO.:			US 2003-491466P	P 20030731
AB This invention provides a composition for heart disease comprising exts. from raw herbs of 80.0-97.0% Radix salviae miltorrhizae, 1.0-19.0% Panax notoginseng and 0.1-1.0% borneol and its active ingredients. This invention also provides a method for preparing said composition and the active ingredients of the composition. Finally, this invention provides various uses of said compns. and the active ingredients. Preparation of hydroalcoholic exts. of the above plants is described.				
IT 158732-59-3, Salvianolic acid f				
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses) (composition for heart disease, its active ingredients, method to prepare same and uses thereof)				
RN 158732-59-3 CAPLUS				
CN 2-Propenoic acid, 3-[2-[(1E)-2-(3,4-dihydroxyphenyl)ethenyl]-3,4-dihydroxyphenyl]-, (2E)- (9CI) (CA INDEX NAME)				

Double bond geometry as shown.



L9 ANSWER 75 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:77978 CAPLUS  
 DOCUMENT NUMBER: 142:162660  
 TITLE: Biodegradable stent with crosslinked bioactive agent for slow release  
 INVENTOR(S): Sung, Hsing-Wen; Chen, Mei-Chin; Tu, Peter Y.; Tu, Hosheng  
 PATENT ASSIGNEE(S): Taiwan  
 SOURCE: U.S. Pat. Appl. Publ., 45 pp., Cont.-in-part of U.S. Ser. No. 610,391.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 12  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005019404	A1	20050127	US 2004-916170	20040811
US 2005163818	A1	20050728	US 2003-610391	20030630
US 2006034885	A1	20060216	US 2004-929047	20040827
AU 2004289270	A1	20050526	AU 2004-289270	20041105
CA 2545136	A1	20050526	CA 2004-2545136	20041105
EP 1689322	A1	20060816	EP 2004-818654	20041105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				

US 2005163821 A1 20050728 US 2005-906239 20050210  
 WO 2006033686 A1 20060330 WO 2005-US19930 20050608

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,  
 LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,  
 NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,  
 SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,  
 ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,  
 KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,  
 KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 2003-610391 A2 20030630  
 US 2003-518050P P 20031107  
 US 2004-547935P P 20040226  
 US 2004-565438P P 20040426  
 US 2004-574501P P 20040526  
 US 2004-585775P P 20040706  
 US 1996-30701P P 19961105  
 WO 1997-US20113 W 19971104  
 US 2001-297808 A2 20010927  
 US 2002-211656 A2 20020802  
 US 2004-610391 A 20040630  
 US 2004-916170 A2 20040811  
 WO 2004-US37217 W 20041105  
 US 2004-24101 A2 20041228

OTHER SOURCE(S): MARPAT 142:162660

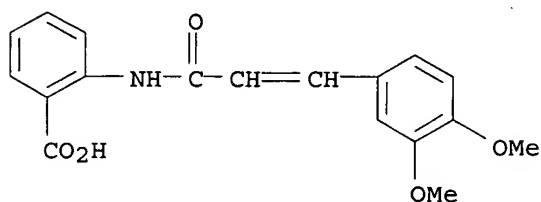
AB The present invention relates to a drug-loaded biodegradable stent or implant for drug slow release and methods for treating vulnerable plaques of a patient comprising a plurality of layers or zones, each layer or zone comprising its own specific biodegradn. rate and its specific drug loading characteristics. Specifically, the layers and zones are configured and arranged, in combination, radially, circumferentially and longitudinally. The crosslinked biodegradable stent or implant comprises at least one layer or zone of biol. material, said biol. material comprising at least one bioactive agent and being crosslinked with a means for crosslinking said biol. material.

IT 53902-12-8, Tranilast

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (biodegradable stent with crosslinked bioactive agent for slow release)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
 (CA INDEX NAME)



L9 ANSWER 76 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:995641 CAPLUS

DOCUMENT NUMBER: 141:416007

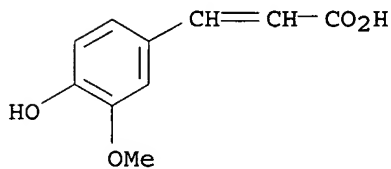
TITLE: Pharmaceutical compositions containing drugs entrapped in crosslinked ionic core micelles

INVENTOR(S): Bronich, Tatiana K.; Kabanov, Alexander V.

PATENT ASSIGNEE(S): University of Nebraska Board of Regents, USA

SOURCE: U.S. Pat. Appl. Publ., 17 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004228823	A1	20041118	US 2003-440221	20030516
PRIORITY APPLN. INFO.:			US 2003-440221	20030516
AB The present invention provides polymer micelles with cross-linked ionic cores as delivery vehicles for therapeutics, diagnostics, nucleic acids, proteins, small mols. and the like. The present invention provides addnl. methods of synthesis and uses for such micelles. For example, cisplatin was entrapped into the micelles of ethylene oxide-sodium methacrylate block copolymer complexed with calcium ion and crosslinked by 1,2-ethylene diamine.				
IT 1135-24-6, 4-Hydroxy-3-methoxy cinnamic acid				
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (polyanionic segment; pharmaceutical micelles containing drugs entrapped in crosslinked ionic core micelles)				
RN 1135-24-6 CAPLUS				
CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)				



L9 ANSWER 77 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2001:676586 CAPLUS  
 DOCUMENT NUMBER: 135:216027  
 TITLE: Stretchable patches comprising drugs in tacky layers  
 INVENTOR(S): Hidaka, Osafumi; Ohata, Akiko  
 PATENT ASSIGNEE(S): Teijin Limited, Japan; Teysan Pharmaceuticals Co., Ltd.  
 SOURCE: PCT Int. Appl., 24 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066095	A1	20010913	WO 2001-JP1691	20010305
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2373171	A1	20010913	CA 2001-2373171	20010305
AU 2001036092	A5	20010917	AU 2001-36092	20010305
AU 780881	B2	20050421		

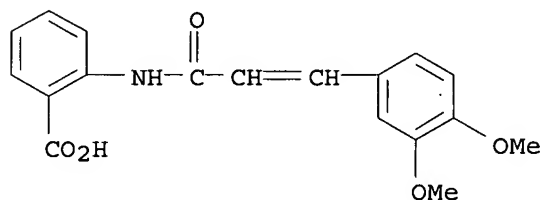
EP 1177786 A1 20020206 EP 2001-908314 20010305  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 US 2002146445 A1 20021010 US 2001-959420 20011026  
 PRIORITY APPLN. INFO.: JP 2000-61676 A 20000307  
 WO 2001-JP1691 W 20010305

AB This invention relates to a stretchable patch which comprises a support film having a thickness of 1 to 50  $\mu$ m and a drug-containing tacky layer having a thickness of 3 to 400  $\mu$ m, wherein the support film satisfies the following requirements (1) to (4): (1) the support film comprises a copolymer obtained by copolymerizing 0-90 % vinyl acetate, 10-97 % alkyl (meth)acrylate in which the alkyl has 3 to 14 carbon atoms on the average, and 0-15 % (meth)acrylic acid; (2) the copolymer has a degree of crosslinking of 20 or higher when crosslinked with a polyvalent metal, and when the copolymer is crosslinked with a polyfunctional chain compound, the content of units derived from the compound in the copolymer is 1 to 10; (3) the support film has a strength of self-adhesion of 150 g or lower; and (4) the support film has an elastic recovery at 10 elongation of 70 or higher.

IT 53902-12-8, Tranilast  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (stretchable patches containing drugs in tacky layers)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 78 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:365197 CAPLUS

DOCUMENT NUMBER: 129:81875

TITLE: Certain Norditerpenoid Alkaloids and Their Cardiovascular Action

AUTHOR(S): Desai, Haridutt K.; Hart, Bradley P.; Caldwell, R. William; Huang, Jianzhong; Pelletier, S. William

CORPORATE SOURCE: Institute for Natural Products Research and Department of Chemistry, University of Georgia, Athens, GA, 30602-2556, USA

SOURCE: Journal of Natural Products (1998), 61(6), 743-748  
 CODEN: JNPRDF; ISSN: 0163-3864

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

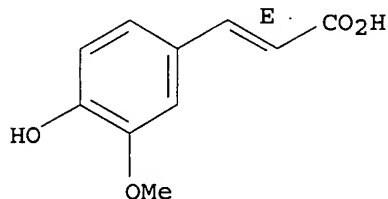
LANGUAGE: English

AB Thirteen new derivs. of norditerpenoid alkaloids, namely, 8-deacetyl-8-p-aminobenzoyldelphinine, 8-deacetyl-8-anthranoyldelphinine, 8-deacetyl-8-(4-hydroxy-3-methoxycinnamoyl)delphinine, 16-demethoxy-15,16-didehydro-8-p-anisoyle-14-benzoyldelphonine, 6-acetylheteratisine N-oxide, 3,8-diacetylfalconerine, 8-stearoylfalconerine, 8-linolenyalfalconerine, 13-acetylpyrodelphinine, 13-acetylidelphinine N-oxide, N-deacetyl-8,9-diacetylappaconitine, 8,9-(methylenedioxy)lappaconine, and 16-epipyroaconitine N-oxide, were prepared, and their structures were established by anal. of spectroscopic data (1D and 2D NMR, HRFABMS). The preliminary in vivo cardiovascular action (hypotensive, bradycardic, and ventricular arrhythmias) of these

new compds. was tested in male Sprague-Dawley rats. The results are reported herein.

IT 537-98-4, trans-4-Hydroxy-3-methoxycinnamic acid  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of norditerpenoid alkaloids as cardiovascular agents)  
RN 537-98-4 CAPLUS  
CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

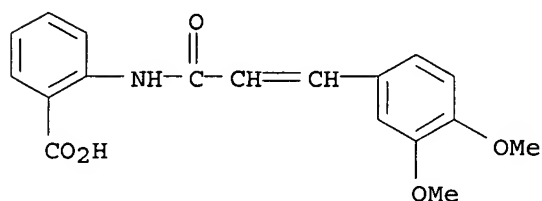
L9 ANSWER 79 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1996:209937 CAPLUS  
DOCUMENT NUMBER: 124:242363  
TITLE: Stable pharmaceutical lipid emulsions containing oils and emulsifiers and lecithins  
INVENTOR(S): Suzuki, Hidekazu; Yamazaki, Satoshi; Naito, Yoshikazu; Endo, Kenji; Oguma, Touru; Maeda, Makoto  
PATENT ASSIGNEE(S): Wakamoto Pharmaceutical Co., Ltd., Japan  
SOURCE: Can. Pat. Appl., 77 pp.  
CODEN: CPXXEB  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2153553	A1	19960114	CA 1995-2153553	19950710
US 5693337	A	19971202	US 1995-500087	19950710
EP 700678	A1	19960313	EP 1995-110923	19950712
R: DE, FR, GB, IT				
JP 08081360	A	19960326	JP 1995-197896	19950712
PRIORITY APPLN. INFO.:		JP 1994-183045	A	19940713

AB A lipid emulsion which comprises (A) an oil component, (B) an emulsifying agent containing yolk lecithin and/or soybean lecithin, and (C) water, wherein the lipid emulsion further comprises citric acid or a pharmaceutically acceptable salt thereof and at least one member selected from the group consisting of methionine, phenylalanine, serine, histidine and pharmaceutically acceptable salts thereof, provided that is does not simultaneously contain methionine and phenylalanine. The emulsion does not change of color and formation of oil drops associated with the conventional natural lecithin-containing lipid emulsions due to the synergistic effect of the foregoing additives. The drug containing lipid emulsion is also excellent in storage stability and thus the foregoing lipid emulsion can be applied to drugs such as injections, eye drops, nasal drips, lotions or liniments, inhalants and drugs for oral administration or cosmetics such as humectants. A solution of 0.012 g of fluorometholone in 20 mL of ethanol was added to a solution of 20 mL hexane:ethanol (10:1) containing 0.54 g of yolk lecithin and 0.06 g of yolk phosphatidylethanolamine and mixed, followed by evaporation of solvent to obtain a lipid film. To the lipid film was added 5.4 g of soybean oil and

94 mL of 2% glycerin aqueous solution followed by vigorous stirring through shaking to carry out preliminary emulsification. The preliminarily emulsified liquid was passed through microfluidizer 10 times under a pressure of 750 kg/cm<sup>2</sup> to emulsify the liquid, the pH value of the emulsified liquid was adjusted to 6.5-7.5 to give a milk white stock lipid emulsion.

IT 53902-12-8, Tranilast  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (stable pharmaceutical lipid emulsions containing oils and emulsifiers and lecithins)  
 RN 53902-12-8 CAPLUS  
 CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
 (CA INDEX NAME)



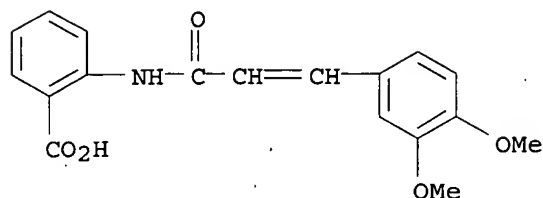
L9 ANSWER 80 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1986:116113 CAPLUS  
 DOCUMENT NUMBER: 104:116113  
 TITLE: Lipid nanopellet oral drug formulation  
 INVENTOR(S): Speiser, Peter  
 PATENT ASSIGNEE(S): Rentschler, Dr., Arzneimittel G.m.b.H. und Co., Fed.  
 Rep. Ger.  
 SOURCE: Ger. Offen., 35 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3421468	A1	19851219	DE 1984-3421468	19840608
EP 167825	A2	19860115	EP 1985-106926	19850604
EP 167825	A3	19870121		
EP 167825	B1	19900808		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 55243	T	19900815	AT 1985-106926	19850604
JP 61056122	A	19860320	JP 1985-120726	19850605
US 4880634	A	19891114	US 1987-66459	19870626
PRIORITY APPLN. INFO.:			DE 1984-3421468	A 19840608
			EP 1985-106926	A 19850604
			US 1985-740771	A1 19850630

AB Lipid nanopellets (80-800 nm), as aqueous colloidal suspensions, are carrier systems for oral drugs. The lipids are saturated fatty acids, their esters with glycerol and with other polyalcs., and fatty alcs. The system contains natural or artificial surfactants. Thus, a mixture of 2 g tristearin and 0.6 g testosterone undecanoate was melted at 85° and 0.4 g phospholipon 100-H in 4 mL CHCl<sub>3</sub> was added. The CHCl<sub>3</sub> was evaporated and 0.04 Na cholate in 200 mL water was added, followed by stirring and ultrasonication, to give the nanopellet suspension.

IT 53902-12-8  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (lipid nanopellets, for oral administration as aqueous colloidal emulsion)  
 RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
(CA INDEX NAME)



L9 ANSWER 81 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:28398 CAPLUS

DOCUMENT NUMBER: 104:28398

TITLE: Derivatives of isoquinoline. XXIV. Synthesis and biological properties of 1- and 2-arylalkenyl-6,7-dimethoxy-4,4-diethyl-substituted hydrogenated derivatives of isoquinoline and their noncyclic analogs

AUTHOR(S): Airapetyan, G. K.; Avetisyan, A. S.; Markaryan, E. A.; Pogosyan, A. V.

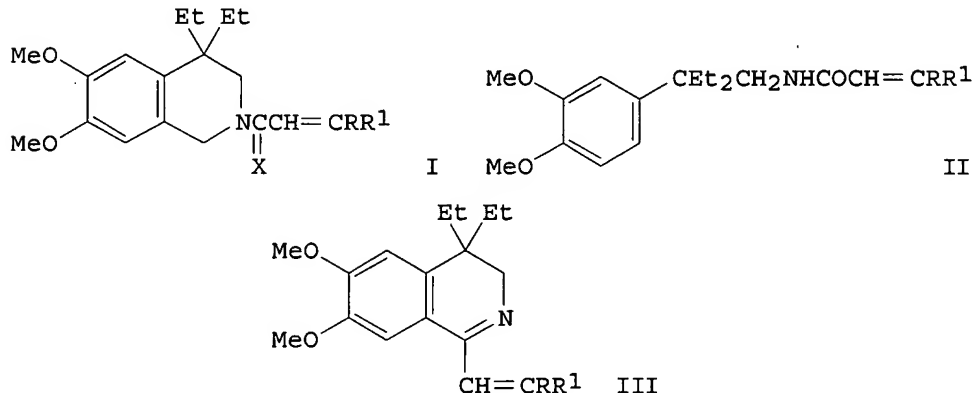
CORPORATE SOURCE: USSR

SOURCE: Deposited Doc. (1984), VINITI 3943-84, 10 pp. Avail.: VINITI

DOCUMENT TYPE: Report

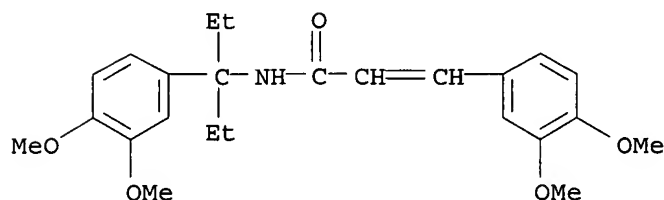
LANGUAGE: Russian

GI



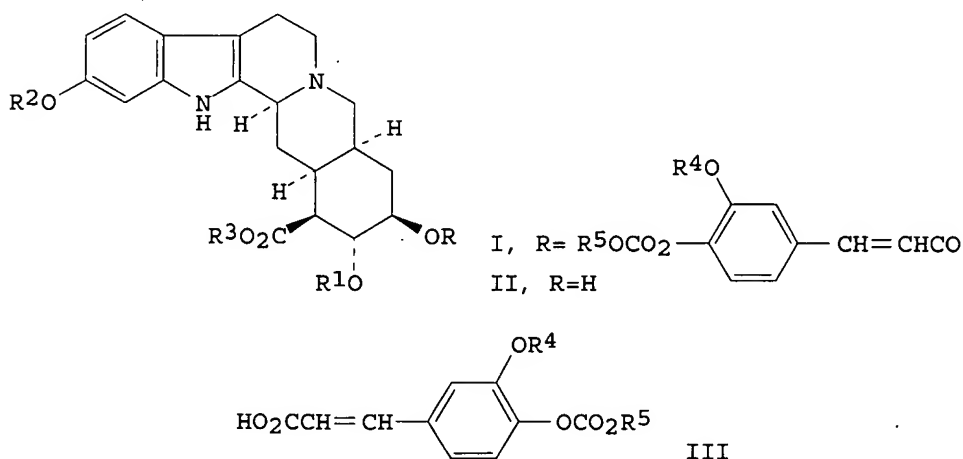
AB Twenty title compds. were prepared (1) by condensation of the chloranhydrides of  $\alpha,\beta$ -unsatd. acids with 6,7-dimethoxy-4,4-diethyl-1,2,3,4-tetrahydroisoquinoline [72193-99-8] to produce cyclic 1-arylalkenyls [I; X = O or H<sub>2</sub>; R = H, Me, or Ph; R<sub>1</sub> = Ph or (MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>], (2) by condensation with 2-(3,4-dimethoxyphenyl)-2-ethylbutylamine [99611-90-2] to produce acyclic analogs [II; R = H, Me, or Ph; R<sub>1</sub> = Ph or (MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>], or (3) by cyclization of II to produce 2-arylalkenyls [III; R = H or Ph; R<sub>1</sub> = Ph or (MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>]. The hydrogenated derivs. of I, II, and III were prepared by reduction with AlH<sub>3</sub> or LiAlH<sub>4</sub>. Hydrochlorides of some of the compds. were also prepared. Studies in isolated rat vas deferens preps. showed that several hydrochlorides of hydrogenates I and III possessed weak sympatholytic activity. At dosages of 0.1-3.0 mg/kg in unspecified laboratory animals, none of the compds. affected systemic arterial pressure, with the exception of a hydrogenated methylphenyl derivative of III [99611-97-9], which exhibited marked hypotensive activity.

IT 99612-04-1P  
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (preparation and pharmacol. of)  
 RN 99612-04-1 CAPLUS  
 CN 2-Propenamide, 3-(3,4-dimethoxyphenyl)-N-[1-(3,4-dimethoxyphenyl)-1-ethylpropyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 82 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1976:577752 CAPLUS  
 DOCUMENT NUMBER: 85:177752  
 TITLE: 3-Isorescinnamine derivatives  
 INVENTOR(S): Tanaka, Yoshihiro; Fujimoto, Yasuo  
 PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51019798	A	19760217	JP 1974-89782	19740807
PRIORITY APPLN. INFO.: GI			JP 1974-89782	A 19740807



AB 3-Isorescinnamine derivs. I (R<sub>1</sub> to R<sub>5</sub> = lower alkyl) were prepared by reaction of alkyl 3-isoreserpate or its derivs. (II) with cinnamic acids III or their functional derivs. I had hypotensive action (no data). Thus, 5.0 g II (R<sub>1</sub> = R<sub>2</sub> = R<sub>3</sub> = Me) in DMF-C<sub>5</sub>H<sub>5</sub>N was treated with 10.5 g



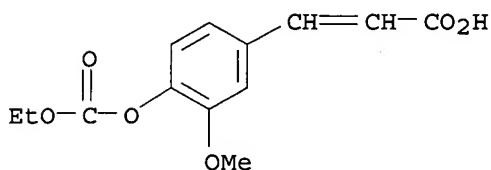
III (R4 = Me, R5 = Et) at room temperature to give, after treatment with HNO3, 6.4 g I. HNO3 (R1 = R2 = R3 = R4 = Me, R5 = Et) (IV). Free IV was also prepared

IT 42381-67-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(acylation of isoereserpate by)

RN 42381-67-9 CAPLUS

CN 2-Propenoic acid, 3-[4-[(ethoxycarbonyl)oxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 83 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1976:180461 CAPLUS

DOCUMENT NUMBER: 84:180461

TITLE: Rescinnamines

INVENTOR(S): Kametani, Tetsuji

PATENT ASSIGNEE(S): Japan Chemipha Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp. Division of Japan. Kokai 73 40,800.

CODEN: JKXXAF

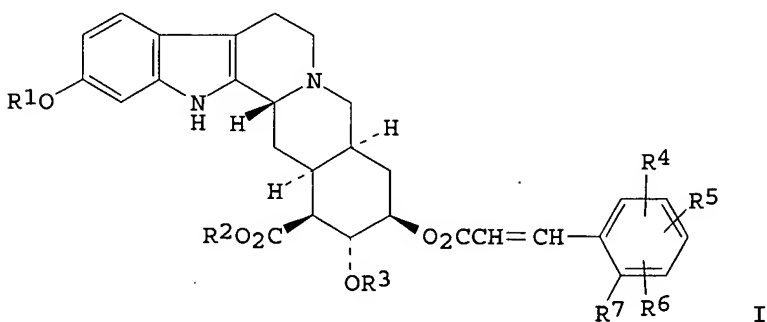
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50123699	A	19750929	JP 1974-64929	19740610
PRIORITY APPLN. INFO.: GI			JP 1974-64929	A 19740610



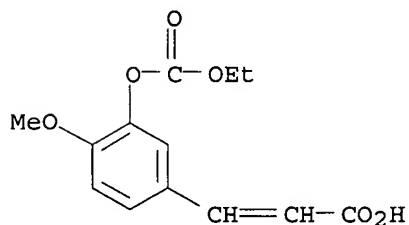
AB Rescinnamines I [R1-3 = alkyl; R4 = alkoxy, R5 = (alkoxycarbonyl)oxy, R6 = R7 = H; or R4 = R5 = R6 = alkoxy, R7 = NO2] were prepared by acylating alkyl reserpates with the appropriate cinnamic acids or their reactive derivs. I have hypotensive effect (no data). Thus, 3-[(ethoxycarbonyl)oxy]-4-methoxycinnamic acid was heated with SOCl2, and then treated with Me reserpate in C5H5N to give 75% I (R1 = R2 = R3 = Me, R4 = 4-MeO, R5 = 3-EtO2CO, R6 = R7 = H). Also prepared were I (R1 = R2 = R3 = Me) (R4, R5, R6, R7 given): 3-MeO, 4-EtO2CO, H, H; 3,4,5-(MeO)3, NO2.

IT 59189-24-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
(acyl chlorination of)

RN 59189-24-1 CAPLUS

CN 2-Propenoic acid, 3-[3-[(ethoxycarbonyl)oxy]-4-methoxyphenyl]- (9CI) (CA INDEX NAME)



L9 ANSWER 84 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1974:403945 CAPLUS

DOCUMENT NUMBER: 81:3945

TITLE: N1-2-Imidazolinyl carbohydrazides

PATENT ASSIGNEE(S): Ferlux-Chimie S. A.

SOURCE: Fr. Demande, 20 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2186238	A1	19740111	FR 1972-16056	19720505
FR 2186238	B1	19750620		

PRIORITY APPLN. INFO.: FR 1972-16056 A 19720505

GI For diagram(s), see printed CA Issue.

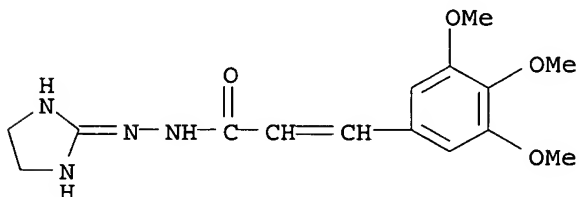
AB Hydrazides I (R = aryloxyalkyl, arylvinyl, alkyl, aryl, 4-pyridyl) (41 compds.) were prepared, e.g. by acylating 2-hydrazino-2-imidazoline. I [R = 2,4-MeO(CH<sub>2</sub>:CHCH<sub>2</sub>)C<sub>6</sub>H<sub>3</sub>OCH<sub>2</sub>] at 80 mg/kg orally in mice gave 50% protection in the writhing syndrome test, and at 20 mg/kg i.v. in rats showed a strong, persistent hypotensive effect.

IT 52377-39-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 52377-39-6 CAPLUS

CN 2-Propenoic acid, 3-(3,4,5-trimethoxyphenyl)-, 2-(4,5-dihydro-1H-imidazol-2-yl)hydrazide, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

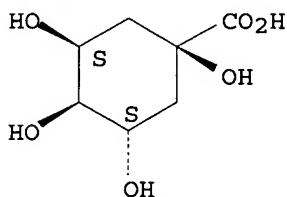
L9 ANSWER 85 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:34388 CAPLUS  
 DOCUMENT NUMBER: 144:114303  
 TITLE: Extraction of sweet potato  
 INVENTOR(S): Takagaki, Kinya  
 PATENT ASSIGNEE(S): Toyo Shinyaku Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

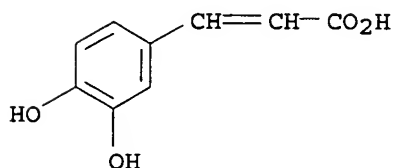
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006008665	A	20060112	JP 2005-147373	20050519
PRIORITY APPLN. INFO.:			JP 2004-152599	A 20040521

AB This invention provides an extraction method of sweet potato to obtain an extract with higher content. of polyphenols. Sweet potato stems and leaves are extracted using water or water-containing organic solvents, preferably an aqueous ethanolic solution. The exts. comprise tricaffeoylquinic acid and/or dicaffeoylquinic acid. The exts. may be used as antidiabetics, antihypertensives, etc. (no data given).  
 IT 71275-40-6P  
 RL: NPO (Natural product occurrence); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)  
 (extraction of sweet potato)  
 RN 71275-40-6 CAPLUS  
 CN Cyclohexanecarboxylic acid, bis[[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]oxy]dihydroxy-, (1 $\alpha$ ,3 $\alpha$ ,4 $\alpha$ ,5 $\beta$ )-(9CI) (CA INDEX NAME)  
 CM 1  
 CRN 36413-60-2  
 CMF C7 H12 O6

Relative stereochemistry.



CM 2  
 CRN 331-39-5  
 CMF C9 H8 O4



L9 ANSWER 86 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:64670 CAPLUS

DOCUMENT NUMBER: 142:254230

TITLE: Tranilast attenuates cardiac matrix deposition in experimental diabetes: role of transforming growth factor- $\beta$

AUTHOR(S): Martin, Jennifer; Kelly, Darren J.; Mifsud, Sally A.; Zhang, Yuan; Cox, Alison J.; See, Fiona; Krum, Henry; Wilkinson-Berka, Jennifer; Gilbert, Richard E.

CORPORATE SOURCE: University of Melbourne Department of Medicine, St. Vincent's Hospital, Australia

SOURCE: Cardiovascular Research (2005), 65(3), 694-701  
CODEN: CVREAU; ISSN: 0008-6363

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The pathol. accumulation of extracellular matrix is a characteristic feature of diabetic cardiomyopathy that is directly related to a loss of function. Tranilast [n-(3,4-anthranilic acid)], used for the treatment of fibrotic skin diseases, has also been shown to inhibit transforming growth factor- $\beta$  (TGF- $\beta$ )-induced matrix production in kidney epithelial cells. To investigate the effects of tranilast in the diabetic heart, we examined its effects in cultured cardiac fibroblasts and then assessed its effects in (mRen-2)27 diabetic rats with established disease (8 wk after streptozotocin). In vitro studies demonstrated a 58% reduction in TGF- $\beta$ 1-induced 3[H]-hydroxyproline incorporation with tranilast 30  $\mu$ M ( $p < 0.01$ ). At 16 wk, diabetes in the Ren-2 rat was associated with increased cardiac fibrosis and evidence of TGF- $\beta$ 1 activation, as measured by the abundance of phosphorylated Smad2. Despite persistent hyperglycemia and hypertension, tranilast attenuated cardiac fibrosis by 37% ( $p < 0.05$ ) in association with reduction in phospho-Smad2 ( $p < 0.01$ ).

These findings indicate that tranilast has antifibrotic actions in the Ren-2 model of exptl. diabetic cardiac disease by mechanisms that might attributable to reduced TGF- $\beta$  activity.

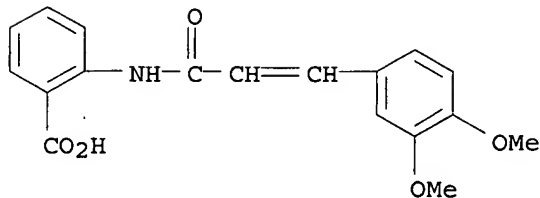
IT 53902-12-8, Tranilast

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(tranilast attenuates cardiac matrix deposition in exptl. diabetes and role of TGF- $\beta$ )

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 87 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:777463 CAPLUS

DOCUMENT NUMBER: 142:127070

TITLE: Tranilast Attenuates Structural and Functional Aspects of Renal Injury in the Remnant Kidney Model

AUTHOR(S): Kelly, Darren J.; Zhang, Yuan; Gow, Renae; Gilbert,

CORPORATE SOURCE: Richard E.  
 Departments of Medicine, St. Vincent's Hospital,  
 University of Melbourne, Australia

SOURCE: Journal of the American Society of Nephrology (2004),  
 15(10), 2619-2629  
 CODEN: JASNEU; ISSN: 1046-6673

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

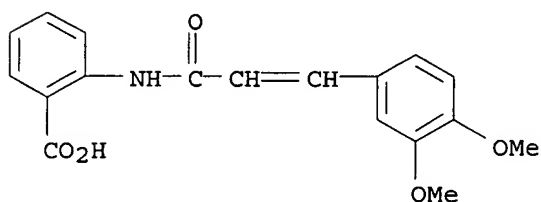
LANGUAGE: English

AB Pathol. fibrosis is a key feature of progressive renal disease that correlates closely with kidney dysfunction and in which the prosclerotic growth factor TGF- $\beta$  has been consistently implicated. Tranilast (n-[3,4-dimethoxycinnamoyl] anthranilic acid), an antifibrotic agent that is used to treat hypertrophic scars and scleroderma, has also been shown to inhibit TGF- $\beta$ -induced extracellular matrix synthesis in a range of cell types, including those of renal origin. Therefore, the effects of tranilast on kidney fibrosis and dysfunction were examined in the subtotal nephrectomy model of progressive renal injury. Subtotal nephrectomy led to proteinuria and renal dysfunction in association with glomerulosclerosis, tubulointerstitial fibrosis, and macrophage accumulation. Despite persistent hypertension, treatment with tranilast led to a reduction in albuminuria (61.7  $\pm$  1.2 vs. 20.5  $\pm$  1.3 mg/d; P < 0.01) and plasma creatinine (0.16 vs. 0.08 mmol/L; P < 0.01) in subtotally nephrectomized rats. In addition, features suggestive of TGF- $\beta$  activation, including glomerulosclerosis, tubulointerstitial fibrosis, tubular atrophy, and macrophage accumulation, all were significantly attenuated by tranilast in association with evidence of reduced TGF- $\beta$  signaling in vivo. In the context of a recent pilot study in humans, the findings of the present report suggest that tranilast may provide a novel strategy for the treatment of progressive kidney disease characterized by fibrotic scarring.

IT 53902-12-8, Tranilast  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (tranilast attenuated structural, functional aspects of renal disease with reduced glomerulosclerosis, tubulointerstitial fibrosis, tubular atrophy, macrophage accumulation, proteinuria, creatinine clearance in subtotally nephrectomized rat)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 88 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:38949 CAPLUS

DOCUMENT NUMBER: 124:76537

TITLE: Cardiac hypertrophy inhibitors containing tranilast

INVENTOR(S): Nakajima, Mitsuyoshi; Umemura, Kazuo; Kikuchi, Shinji

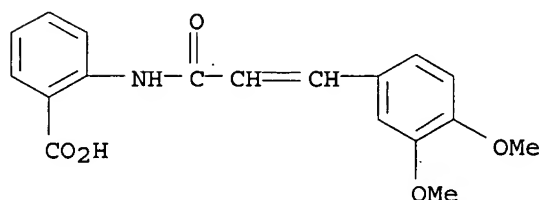
PATENT ASSIGNEE(S): Kissei Pharmaceutical, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.  
 CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 07277966	A	19951024	JP 1994-105882	19940408
PRIORITY APPLN. INFO.:				JP 1994-105882	19940408
AB	Prophylactic and therapeutic agents for cardiac hypertrophy contain 2-(3,4-dimethoxycinnamoyl)aminobenzoic acid (I) or its pharmacol. acceptable salts as an active ingredient. Administration of I at 300 mg/kg to spontaneously hypertensive rats once a day for 4 wk suppressed hypertrophy of left ventricle.				
IT	53902-12-8, Tranilast RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (cardiac hypertrophy inhibitors containing tranilast)				
RN	53902-12-8 CAPLUS				
CN	Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)				



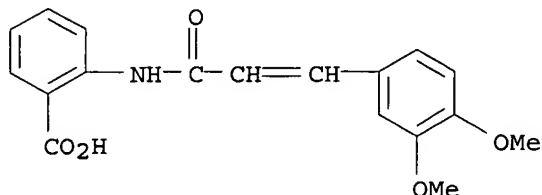
L9 ANSWER 89 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1996:30299 CAPLUS  
DOCUMENT NUMBER: 124:135194  
TITLE: Tranilast suppresses intimal hyperplasia after photochemically induced endothelial injury in the rat  
AUTHOR(S): Kikuchi, Shinji; Umemura, Kazuo; Kondo, Kazunao; Nakashima, Mitsuyoshi  
CORPORATE SOURCE: Hamamatsu, 431-31, Japan  
SOURCE: European Journal of Pharmacology (1996), 295(2/3), 221-7  
CODEN: EJPHAZ; ISSN: 0014-2999  
PUBLISHER: Elsevier  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Intimal thickening in the femoral artery of spontaneously hypertensive rats (SHR) was initiated by endothelial damage induced by the photochem. reaction between green light and systemic rose bengal. This model represents a non-mech. method of producing vessel wall denudation. Neointima formation was assessed by calculating the cross-sectional area of intima, media and lumen, using computer anal. Tranilast (30, 100 and 300 mg/kg, p.o.), administered 2 days prior to endothelial injury, reduced intimal area by 29, 62 and 87%, resp., compared to that of vehicle-treated controls. In cultured SHR-derived vascular smooth muscle cells, tranilast produced concentration-dependent inhibition of mitogenesis, whether stimulated by platelet-derived growth factor, basic fibroblast growth factor, insulin-like growth factor or fetal bovine serum. These results suggest that tranilast may be effective in preventing coronary restenosis.  
IT 53902-12-8, Tranilast  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(tranilast suppresses intimal hyperplasia after photochem. induced endothelial injury in rat)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
(CA INDEX NAME)



L9 ANSWER 90 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:883416 CAPLUS

DOCUMENT NUMBER: 124:80349

TITLE: Kukoamine A and other hydrophobic acylpolyamines:  
potent and selective inhibitors of Crithidia  
fasciculata trypanothione reductase

AUTHOR(S): Ponasik, James A.; Strickland, Corey; Faerman, Carlos;  
Savvides, Savvas; Karplus, P. Andrew; Ganem, Bruce

CORPORATE SOURCE: Dep. Chem., Cornell Univ., Ithaca, NY, 14853-1301, USA

SOURCE: Biochemical Journal (1995), 311(2), 371-5

CODEN: BIJOAK; ISSN: 0264-6021

PUBLISHER: Portland Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The enzyme trypanothione reductase (TR), together with its substrate, the glutathione-spermidine conjugate trypanothione, plays an essential role in protecting parasitic trypanosomatids against oxidative stress and is a target for drug design. Here the authors show that a naturally occurring spermine derivative, the antihypertensive agent kukoamine A [N1N12-bis(dihydrocaffeoyl)-spermine] inhibits TR as a mixed inhibitor ( $K_i = 1.8 \mu\text{M}$ ,  $K_{ii} = 13 \mu\text{M}$ ). Kukoamine shows no significant inhibition of human glutathione reductase ( $K_i > 10 \text{ mM}$ ) and thus provides a novel selective drug lead. The corresponding N1N8-bis(dihydrocaffeoyl)spermidine derivative was synthesized and acted as a purely competitive inhibitor with  $K_i = 7.5 \mu\text{M}$ . A series of mono- and di-acylated spermines and spermidines were synthesized to gain an insight into the effect of polyamine chain length, the nature and position of the acyl substituent and the importance of conformational mobility. These compds. inhibited TR with  $K_i$  values ranging from 11 to 607  $\mu\text{M}$ .

IT 171294-45-4

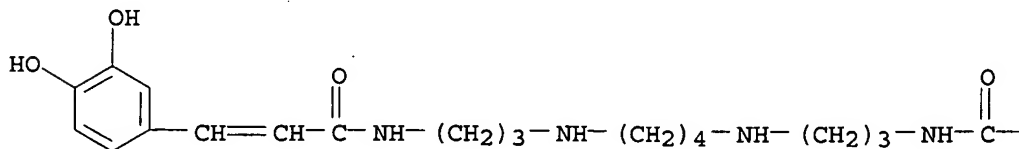
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

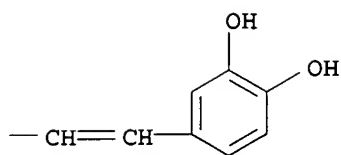
(kukoamine A and other hydrophobic acylpolyamines as potent and selective inhibitors of Crithidia fasciculata trypanothione reductase)

RN 171294-45-4 CAPLUS

CN 2-Propenamamide, N,N'-[1,4-butanediylbis(imino-3,1-propanediyl)]bis[3-(3,4-dihydroxyphenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A





L9 ANSWER 91 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1964:34188 CAPLUS

DOCUMENT NUMBER: 60:34188

ORIGINAL REFERENCE NO.: 60:6114e-h,6115a

TITLE: Urinary excretion of phenolic and indolic acids after ingestion of  $\alpha$ -methyldopa

AUTHOR(S): Ruge, W.; Hartmann, F.

CORPORATE SOURCE: Univ. Marburg a.d. Lahn, Germany

SOURCE: Klinische Wochenschrift (1963), 41(17), 856-61

CODEN: KLWOAZ; ISSN: 0023-2173

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB cf. CA 58, 1801h. By means of 2-dimensional paper chromatog. the urinary excretion pattern of phenolic and indolic acids was studied in 10 female albino rats (Siv 50 strain), and in a man and a woman who showed essential hypertension, before and after ingestion of  $\alpha$ -methyldopa, Aldomet, (L- $\alpha$ -methyl-3,4-dihydroxy-1-phenylalanine) (I). Each rat received 100 mg. I/day in drinking H<sub>2</sub>O. Urine samples for chromatog. were prepared by acidification and solvent extraction (EtOAc, 95% EtOH). The spot

put

on the paper represented urine containing 2.5 mg. creatinine. 4-Hydroxy-3-methoxymandelic acid (II) separated better if the solvent for the 1st dimension was iso-PrOH-NH<sub>3</sub>-H<sub>2</sub>O (80:2:18), and for the 2nd, PhH-HOAc-H<sub>2</sub>O (125:72:3). The phenolic acid spots were then developed with diazotized nitroaniline. For the indolic acids, the solvent for the 1st dimension was iso-PrOH-NH<sub>3</sub>-H<sub>2</sub>O (200:10:20), and for the 2nd, BuOH-HOAc-H<sub>2</sub>O (150:30:50) with Ehrlich's reagent as developer. During the tests on the 2 patients they were allowed neither coffee nor bananas, and were given daily 0.75-2.0 g. I according to tolerance. Blood pressures changed, resp., from 220/115 to 160/95 and from 180/110 to 200/120 during the 3 wk of tests wherein 1 control and 6 treated 24-h. urines were collected from each patient. On these there were quant. determined both 5-hydroxyindoleacetic acid (III) and II (Sandler and Ruthwen, CA 54, 16521f; 55, 23644h). Thus, in normal rat urine 13 different known phenolic acids were proved present, and after I was given, o-hydroxyhippuric and o-hydroxyphenylpyruvic (IV) acids also appeared; ferulic, vanillic (V), and p-hydroxybenzoic (VI) acids increased; and dihydroferulic acid became doubtful. The 4 indolic acids identified in normal rat urine were unchanged in amount during the first test period with I, but beginning with the 2nd period, indoleacetic (VII) and indolelactic acid (VIII) acids were decreased. III and propionic acid metabolite number 12 disappeared. In the 4th sample 2 new spots appeared, one near VII acid, and the other probably indoleacetylglutamine. In the chromatograms from the 2 patients, there were 24 different urinary phenolic acids identified before I was given, and at first during its administration they all decreased in amount, including II. Then during the 5th and 6th sampling periods there was a conspicuous increase above the initial normal values for m-hydroxybenzoic, VI, V, and IV acids. II then decreased no further. Of the 13 substances identified on the indole chromatogram, VII and VIII acids decreased in amount, and III increased. The decreased excretions may be explained as due to decarboxylase inhibition by I. The increases in several catabolic products of catechol amine may indicate either an enzyme adaptation or a bypassing of the normal metabolic path. I may effect a secondary

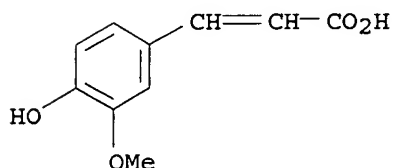


liberation of biogenic amines.

IT 1135-24-6, Cinnamic acid, 4-hydroxy-3-methoxy-  
(in urine,  $\alpha$ -methyldopa effect on)

RN 1135-24-6 CAPLUS

CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 92 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:488828 CAPLUS

DOCUMENT NUMBER: 145:431929

TITLE: Combination therapy with tranilast and  
angiotensin-converting enzyme inhibition provides  
additional renoprotection in the remnant kidney model

AUTHOR(S): Kelly, D. J.; Zhang, Y.; Cox, A. J.; Gilbert, R. E.

CORPORATE SOURCE: Department of Medicine, University of Melbourne, St.  
Vincent's Hospital, Victoria, Australia

SOURCE: Kidney International (2006), 69(11), 1954-1960

CODEN: KDYIA5; ISSN: 0085-2538

PUBLISHER: Nature Publishing Group

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Despite current therapy with agents that block the renin-angiotensin system, renal dysfunction continues to progress in a significant proportion of patients with kidney disease. Several pre-clin. studies have reported beneficial effects of tranilast, an inhibitor of transforming growth factor (TGF)- $\beta$ 's actions in a range of diseases that are characterized by fibrosis. However, whether such therapy provides addnl. benefits in renal disease, when added to angiotensin-converting enzyme (ACE) inhibition, has not been explored. We randomized subtotally (5/6) nephrectomized rats to receive vehicle, the ACE inhibitor, perindopril (6 mg/l), tranilast (400 mg/kg/day), or their combination for 12 wk. When compared with sham-nephrectomized animals, subtotally nephrectomized animals had reduced creatinine clearance, proteinuria, glomerulosclerosis, interstitial fibrosis, tubular atrophy, and evidence of TGF- $\beta$  activity, as indicated by the abundant nuclear staining of phosphorylated Smad2. These manifestations of injury and TGF- $\beta$  activation were all attenuated by treatment with either tranilast or perindopril, with the latter also attenuating the animals' hypertension. When compared with single-agent treatment, the combination of tranilast and perindopril provided addnl., incremental improvements in creatinine clearance, proteinuria, and glomerulosclerosis, and a reduction in nuclear phsopho-Smad2 beyond single-agent treatment. These findings indicate that the combination of tranilast and perindopril was superior to single-agent treatment on kidney structure and function in the remnant kidney model, and suggests the potential for such dual therapy in kidney disease that continues to progress despite blockade of the renin-angiotensin system.

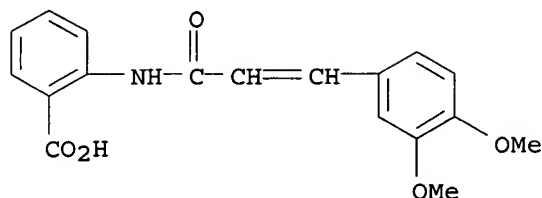
IT 53902-12-8, Tranilast

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(combination of perindopril and tranilast significantly improved creatinine clearance, proteinuria, glomerulosclerosis and reduction in nuclear phsopho-Smad2 compared to perindopril or tranilast alone in subtotally nephrectomized rat)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 93 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2006:445908 CAPLUS  
DOCUMENT NUMBER: 144:445358  
TITLE: Therapeutic avenanthramide compounds  
INVENTOR(S): Meydani, Mohsen  
PATENT ASSIGNEE(S): Trustees of Tufts College, USA  
SOURCE: U.S. Pat. Appl. Publ., 50 pp., Cont.-in-part of U.S. Ser. No. 995,722.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006100274	A1	20060511	US 2005-257918	20051025
US 2005239892	A1	20051027	US 2004-995722	20041122
PRIORITY APPLN. INFO.:			US 2003-524327P	P 20031121
			US 2004-625484P	P 20041105
			US 2004-995722	A2 20041122

OTHER SOURCE(S): MARPAT 144:445358

AB Methods and compns. are disclosed for reducing pro-inflammatory mols., adhesion mols., and vascular smooth muscle cell proliferation, and for increasing NO production The present invention describes the use of phenolic compns., purified from oats or synthetically produced, to decrease the effective amount of pro-inflammatory mols. and/or cell adhesion mols. Alternatively, an alc. extract or concentrate from oats can be used. The methods

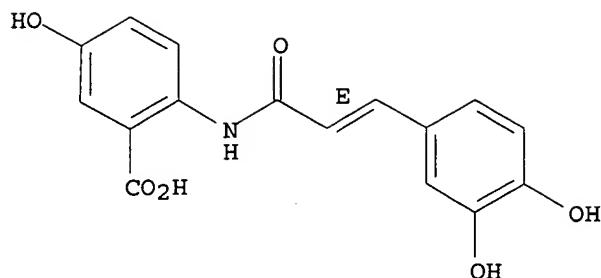
of the present invention can be used as a treatment or prophylaxis of a wide variety of disorders associated with inflammatory states and/or with a lack of or need for nitric oxide (NO), such as inflammatory conditions, pain, free radical associated disorders, cardiovascular diseases, autoimmune disorders, pathol. platelet aggregation, pathol. vasoconstriction, vascular effects of diabetes, stroke, atherosclerosis, hypertension, abnormal vasospasm, and restenosis after angioplasty.

IT 116764-15-9P, Avenanthramide C  
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)  
(therapeutic avenanthramide compds.)

RN 116764-15-9 CAPLUS

CN Benzoic acid, 2-[[[(2E)-3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]amino]-5-hydroxy- (9CI) (CA INDEX NAME)

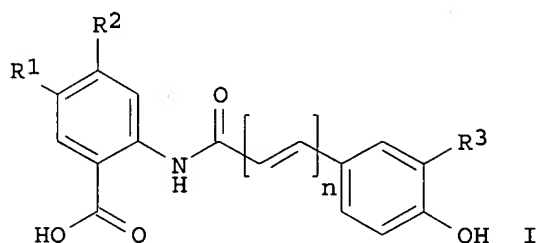
Double bond geometry as shown.



L9 ANSWER 94 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:1155552 CAPLUS  
 DOCUMENT NUMBER: 143:432646  
 TITLE: Therapeutic avenanthramide compounds for treatment of inflammatory-related cardiovascular diseases  
 PATENT ASSIGNEE(S): Trustees of Tufts College, USA  
 SOURCE: U.S. Pat. Appl. Publ., 36 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005239892	A1	20051027	US 2004-995722	20041122
US 2006100274	A1	20060511	US 2005-257918	20051025
PRIORITY APPLN. INFO.:			US 2003-524327P	P 20031121
			US 2004-625484P	P 20041105
			US 2004-995722	A2 20041122

OTHER SOURCE(S): MARPAT 143:432646  
 GI



AB Methods and compns. are disclosed for reducing pro-inflammatory mols., adhesion mols., and vascular smooth muscle cell proliferation, and for increasing NO production. The invention describes the use of phenolic compns. of formula I (R1, R2, or R3 = same or differently H, OH, anhydride, amide, amine, aliphatic, aromatic, acyl, alkoxy, alkylene, alkenylene, alkynylene, hydroxycarbonylalkyl, or heterocyclic group). These compds. which may be purified from oats or synthetically produced decrees the effective amount of pro-inflammatory mols. and/or cell adhesion mols. Alternatively, an alc. extract or concentrate from oats can be used. The methods of the invention can be used as a treatment or prophylaxis of a wide variety of disorders associated with inflammatory states and/or with a lack of or need for nitric oxide (NO), such as inflammatory conditions, pain, free radical associated disorders, cardiovascular diseases, autoimmune disorders, pathol. platelet aggregation, pathol. vasoconstriction, vascular effects of diabetes,

stroke, atherosclerosis, hypertension, abnormal vasospasm, and restenosis after angioplasty. Antiproliferative activity of avenanthramide C (Av-C) in aortic smooth muscle cells was determined as well as Av-C's nitric oxide-inducing effect.

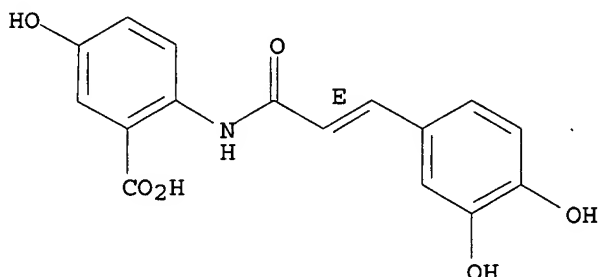
IT 116764-15-9, Avenanthramide C

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(therapeutic avenanthramide compds. for treatment of inflammation-related cardiovascular diseases)

RN 116764-15-9 CAPLUS

CN Benzoic acid, 2-[[[(2E)-3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]amino]-5-hydroxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L9 ANSWER 95 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:700095 CAPLUS

DOCUMENT NUMBER: 143:186499

TITLE: Tranilast prevents the progression of experimental diabetic nephropathy through suppression of enhanced extracellular matrix gene expression

AUTHOR(S): Akahori, Hiroshi; Ota, Tsuguhito; Torita, Muneyoshi; Ando, Hitoshi; Kaneko, Shuichi; Takamura, Toshinari

CORPORATE SOURCE: Department of Diabetes and Digestive Disease, Kanazawa University Graduate School of Medical Science, Ishikawa, Japan

SOURCE: Journal of Pharmacology and Experimental Therapeutics (2005), 314(2), 514-521

CODEN: JPETAB; ISSN: 0022-3565

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

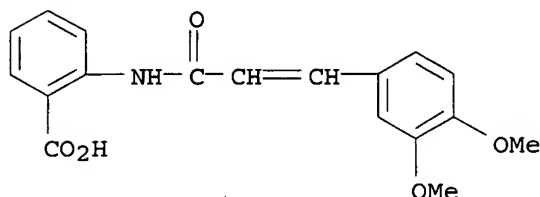
DOCUMENT TYPE: Journal

LANGUAGE: English

AB The present study was performed to investigate the effects of the antiallergic drug tranilast on the development of diabetic nephropathy in streptozotocin (50 mg/kg)-induced diabetic spontaneously hypertensive rats (SHR). Diabetic SHR were given standard chow or chow containing tranilast at a dose of 1400 mg/kg for 24 wk. The effects of tranilast on urinary albumin excretion, mesangial expansion, expression of transforming growth factor- $\beta$  (TGF- $\beta$ ) and type I collagen mRNAs, number of anionic sites on the glomerular basement membrane (GBM), and urinary TGF- $\beta$  and 8-hydroxy-2'-deoxyguanosine (8-OHdG) excretion were assessed. Tranilast did not affect the blood glucose concentration or blood pressure in diabetic SHR. Urinary albumin excretion rate and creatinine clearance were markedly increased in diabetic SHR. Tranilast treatment decreased albuminuria and hyperfiltration. Tranilast inhibited the diabetes-induced expansion of mesangial and tuft areas, as well as the increase in urinary TGF- $\beta$  and 8-OHdG excretion, loss of anionic sites of GBM, and overexpression of TGF- $\beta$  as determined immunohistochem. The levels of TGF- $\beta$  and type I collagen mRNA expression were increased in the renal cortex in untreated diabetic SHR at 24 wk, as determined by real-time

quant. polymerase chain reaction. Tranilast treatment inhibited the up-regulation of TGF- $\beta$  and type I collagen mRNA expression by 65 and 36%, resp., in diabetic SHR. In conclusion, tranilast decreased albuminuria by suppressing glomerular hyperfiltration, mesangial expansion, and loss of the charge barrier via regulation of extracellular matrix gene expression and oxidative stress. Tranilast may be clinically useful in the treatment of diabetic nephropathy.

IT 53902-12-8, Tranilast  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (tranilast prevents progression of diabetic nephropathy through suppression of enhanced extracellular matrix gene expression)  
 RN 53902-12-8 CAPLUS  
 CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 96 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:1009113 CAPLUS

DOCUMENT NUMBER: 141:150692

TITLE: Intervention with Tranilast Attenuates Renal Pathology and Albuminuria in Advanced Experimental Diabetic Nephropathy

AUTHOR(S): Mifsud, Sally; Kelly, Darren J.; Qi, Weier; Zhang, Yuan; Pollock, Carol A.; Wilkinson-Berka, Jennifer L.; Gilbert, Richard E.

CORPORATE SOURCE: Department of Physiology, University of Melbourne and St. Vincent's Hospital, Melbourne, Vic., Australia

SOURCE: Nephron (2003), 95(4), p83-p91

CODEN: NPRNAY; ISSN: 0028-2766

PUBLISHER: S. Karger AG

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Tubulointerstitial pathol. with the accumulation of extracellular matrix are pathol. hallmarks of diabetic nephropathy that are directly related to declining renal function. Tranilast (N-[3,4-dimethoxycinnamoyl]anthranilic acid), an inhibitor of transforming growth factor- $\beta$  (TGF- $\beta$ ), used to treat hypertrophic scars has recently been shown in pilot studies to exert a beneficial effect in advanced diabetic nephropathy in humans. However, its effects on diabetic renal pathol. are unknown. Studies were conducted using a transgenic model, the diabetic (mRen-2)27 rat, which develops many of the structural and functional characteristics of human diabetic nephropathy when diabetes is induced with streptozotocin (STZ). An exptl. design was chosen to mimic, in part, the clin. context with drug therapy (tranilast 400 mg/kg/day) initiated in established disease (8 wk after STZ) and in the presence of persistent hyperglycemia and hypertension. At 16 wk, diabetes was associated with progressive albuminuria, tubulointerstitial fibrosis and tubular atrophy. Without affecting blood pressure or blood glucose, tranilast treatment was associated with a 83% reduction in tubulointerstitial fibrosis ( $p < 0.001$ ), a 58% reduction in tubular atrophy ( $p < 0.01$ ) and near normalization of albuminuria ( $p <$

0.05) in diabetic Ren-2 rats. In vitro studies in primary cultures of human renal cortical fibroblasts demonstrated a reduction in TGF- $\beta$ -induced hydroxyproline incorporation and fibronectin synthesis with tranilast 100  $\mu$ M. Tranilast, when administered during the course of exptl. diabetic nephropathy, attenuates tubulointerstitial pathol. and albuminuria. These findings are consistent with the antagonist effects of tranilast on TGF- $\beta$  actions in the diabetic kidney.

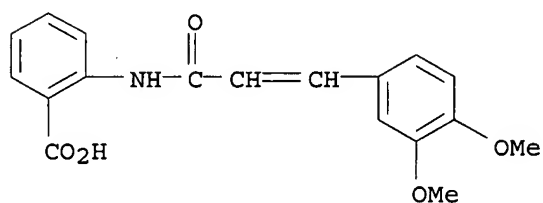
IT 53902-12-8, Tranilast

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(tranilast treatment reduced tubulointerstitial fibrosis, tubular atrophy and normalize albuminuria in diabetic rat and also reduced TGF- $\beta$  induced hydroxyproline incorporation and fibronectin synthesis in human renal cortical fibroblasts)

RN 53902-12-8 CAPLUS

CN Benzoic acid, 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino] - (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 97 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:650742 CAPLUS

DOCUMENT NUMBER: 127:346310

TITLE: Preparation of tetrahydroquinolinecarboxylic acid derivatives as intimal thickening inhibitors

INVENTOR(S): Harada, Hiroshi; Asama, Hiroshi; Nonaka, Yoshiisa; Kamata, Koji; Hotei, Yukihiro

PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

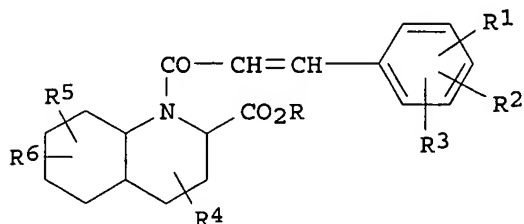
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09255660	A	19970930	JP 1996-108763	19960325
PRIORITY APPLN. INFO.:			JP 1996-108763	19960325
OTHER SOURCE(S):	MARPAT 127:346310			

GI



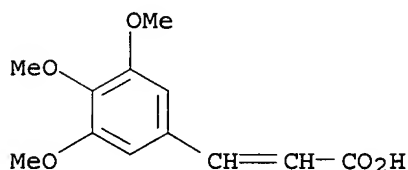
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AB The title derivs. I [R1 = H, halo, OH, lower alkyl, lower alkoxy, cycloalkylalkoxy, aralkyloxy, lower acyl, mono- or di(lower alkyl)amino, lower alkoxycarbonyl; R2-3 = H, halo, lower alkyl, lower alkoxy, cycloalkylalkoxy, aralkyloxy; R4-6 = H, OH, lower alkyl, lower alkoxy, CO2H, lower alkoxycarbonyl; R = H, lower alkyl] and their pharmacol. acceptable salts are claimed. I inhibit hyperproliferation of intimal cells to prevent atherosclerosis and restenosis after PTCA and DCA (directional coronary atherectomy). 1-(3,4,5-Trimethoxycinnamoyl)-1,2,3,4-tetrahydroquinoline-2-carboxylic acid (preparation given) inhibited proliferation of smooth muscle cells of thoracic aorta isolated from a spontaneously hypertensive rat at IC50 32  $\mu$ M.

IT 90-50-6, 3,4,5-Trimethoxycinnamic acid  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of N-cinnamoyltetrahydroquinolinecarboxylic acid derivs. as intimal thickening inhibitors)

RN 90-50-6 CAPLUS

CN 2-Propenoic acid, 3-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 98 OF 98 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:648534 CAPLUS

DOCUMENT NUMBER: 127:346309

TITLE: Preparation of decahydroquinolinecarboxylic acid derivatives as intimal thickening inhibitors

INVENTOR(S): Harada, Hiroshi; Kusama, Hiroshi; Nonaka, Yoshiisa; Kamata, Koji; Hotei, Yukihiro

PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.  
 CODEN: JKXXAF

DOCUMENT TYPE: Patent

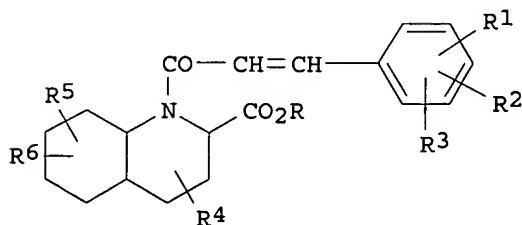
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09255661	A	19970930	JP 1996-108764	19960325
PRIORITY APPLN. INFO.:			JP 1996-108764	19960325
OTHER SOURCE(S):	MARPAT 127:346309			

GI



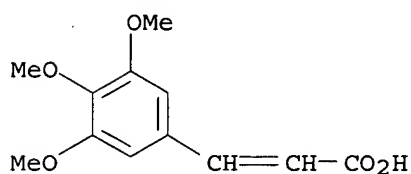
I

AB The title derivs. I [R1 = H, halo, OH, lower alkyl, lower alkoxy,

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IT  90-50-6, 3,4,5-Trimethoxycinnamic acid
    RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of N-cinnamoyldecahydroquinolinecarboxylic acid derivs. as
        intimal thickening inhibitors)
RN  90-50-6  CAPLUS
CN  2-Propenoic acid, 3-(3,4,5-trimethoxyphenyl)- (9CI)  (CA INDEX NAME)

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L2 ANSWER 102 OF 102 REGISTRY COPYRIGHT 2007 ACS on STN

RN 77-95-2 REGISTRY

ED Entered STN: 16 Nov 1984

CN Cyclohexanecarboxylic acid, 1,3,4,5-tetrahydroxy-,  
(1 $\alpha$ ,3R,4 $\alpha$ ,5R)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Cyclohexanecarboxylic acid, 1,3,4,5-tetrahydroxy-, (-)- (8CI)

CN Cyclohexanecarboxylic acid, 1,3,4,5-tetrahydroxy-, [1R-  
(1 $\alpha$ ,3 $\alpha$ ,4 $\alpha$ ,5 $\beta$ )]-

OTHER NAMES:

CN (-)-Quinic acid

CN D-(-)-Quinic acid

CN D-Quinic acid

CN Quinic acid

CN Quinic acid, (-)-

FS STEREOSEARCH

DR 35949-55-4

MF C7 H12 O6

CI COM

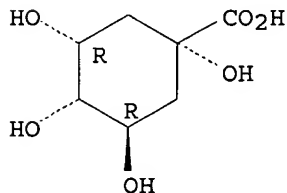
LC STN Files: AGRICOLA, ANABSTR, BEILSTEIN\*, BIOSIS, BIOTECHNO, CA, CABA,  
CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM,  
EMBASE, GMELIN\*, IFICDB, IFIUDB, IPA, MEDLINE, MRCK\*, NAPRALERT, PROMT,  
PS, RTECS\*, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL

(\*File contains numerically searchable property data)

Other Sources: DSL\*\*, EINECS\*\*

(\*\*Enter CHEMLIST File for up-to-date regulatory information)

Absolute stereochemistry. Rotation (-).



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

771 REFERENCES IN FILE CA (1907 TO DATE)

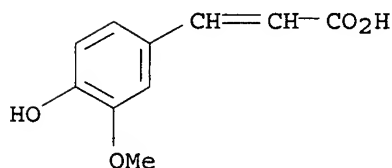
46 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

774 REFERENCES IN FILE CAPLUS (1907 TO DATE)

17 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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L3 ANSWER 120 OF 127 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 1135-24-6 REGISTRY  
 ED Entered STN: 16 Nov 1984  
 CN 2-Propenoic acid, 3-(4-hydroxy-3-methoxyphenyl)- (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Cinnamic acid, 4-hydroxy-3-methoxy- (7CI, 8CI)  
 OTHER NAMES:  
 CN 3-(4-Hydroxy-3-methoxyphenyl)-2-propenoic acid  
 CN 3-(4-Hydroxy-3-methoxyphenyl)acrylic acid  
 CN 3-Methoxy-4-hydroxycinnamic acid  
 CN 4'-Hydroxy-3'-methoxycinnamic acid  
 CN 4-Hydroxy-3-methoxycinnamic acid  
 CN Coniferic acid  
 CN Ferulaic acid  
 CN Ferulic acid  
 CN NSC 2821  
 CN NSC 51986  
 CN NSC 674320  
 MF C10 H10 O4  
 CI COM  
 LC STN Files: AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN\*, BIOSIS, BIOTECHNO, CA,  
 CABA, CAOLD, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMINFORMRX, CHEMLIST,  
 CIN, CSCHM, DDFU, DRUGU, EMBASE, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE,  
 MRCK\*, NAPRALERT, PIRA, PROMT, RTECS\*, SPECINFO, SYNTHLINE, TOXCENTER,  
 ULIDAT, USPAT2, USPATFULL, VETU  
 (\*File contains numerically searchable property data)  
 Other Sources: EINECS\*\*, NDSL\*\*, TSCA\*\*  
 (\*\*Enter CHEMLIST File for up-to-date regulatory information)

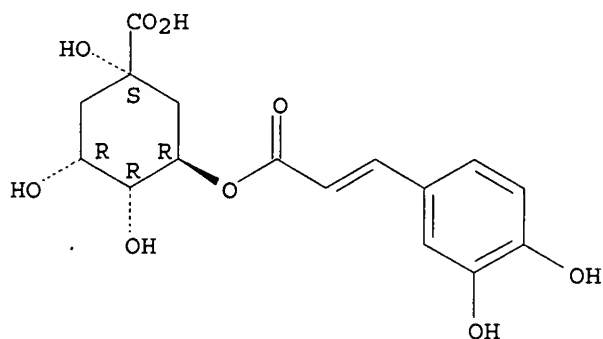


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

7378 REFERENCES IN FILE CA (1907 TO DATE)  
 444 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 7443 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
 2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L4 ANSWER 45 OF 45 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 327-97-9 REGISTRY  
 ED Entered STN: 16 Nov 1984  
 CN Cyclohexanecarboxylic acid, 3-[[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]oxy]-1,4,5-trihydroxy-, (1S,3R,4R,5R)- (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Chlorogenic acid (8CI)  
 CN Cyclohexanecarboxylic acid, 3-[[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]oxy]-1,4,5-trihydroxy-, [1S-(1 $\alpha$ ,3 $\beta$ ,4 $\alpha$ ,5 $\alpha$ )]-  
 OTHER NAMES:  
 CN 3-(3,4-Dihydroxycinnamoyl)quinic acid  
 CN 3-Caffeoylquinic acid  
 CN 3-O-(3,4-Dihydroxycinnamoyl)-D-quinic acid  
 CN 3-O-Caffeoylquinic acid  
 CN Heriguard  
 CN NSC 407296  
 CN NSC 70861  
 FS STEREOSEARCH  
 DR 12626-41-4, 15076-00-3, 16310-14-8, 16431-25-7, 16431-26-8, 108657-60-9  
 MF C16 H18 O9  
 CI COM  
 LC STN Files: AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN\*, BIOSIS, BIOTECHNO, CA, CABA, CAOLD, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHEM, DDFU, DRUGU, EMBASE, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK\*, MSDS-OHS, NAPRALERT, PIRA, PROMT, RTECS\*, SPECINFO, TOXCENTER, USPAT2, USPATFULL, VETU  
 (\*File contains numerically searchable property data)  
 Other Sources: EINECS\*\*  
 (\*\*Enter CHEMLIST File for up-to-date regulatory information)

Absolute stereochemistry.  
 Double bond geometry unknown.

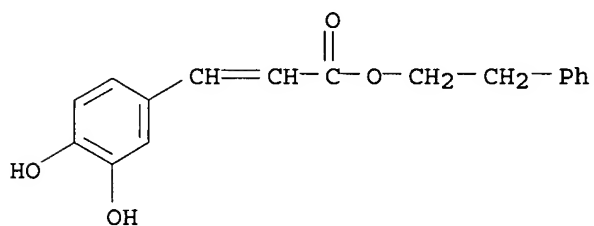


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

6187 REFERENCES IN FILE CA (1907 TO DATE)  
 247 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 6236 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
 32 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=>

L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 104594-70-9 REGISTRY  
 ED Entered STN: 11 Oct 1986  
 CN 2-Propenoic acid, 3-(3,4-dihydroxyphenyl)-, 2-phenylethyl ester (9CI) (CA  
 INDEX NAME)  
 OTHER NAMES:  
 CN  $\beta$ -Phenylethyl caffeate  
 CN 2-Phenylethyl caffeate  
 CN Caffeic acid phenethyl ester  
 DR 132031-37-9  
 MF C17 H16 O4  
 SR CA  
 LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN\*, BIOSIS, BIOTECHNO,  
 CA, CAPLUS, CASREACT, CHEMCATS, CSCHM, EMBASE, IPA, MEDLINE, RTECS\*,  
 TOXCENTER, USPAT2, USPATFULL  
 (\*File contains numerically searchable property data)

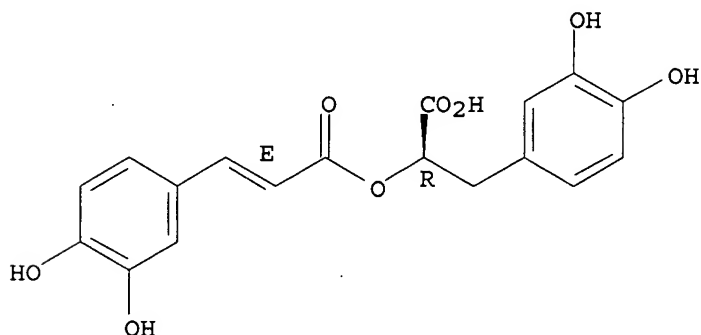


**\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\***

313 REFERENCES IN FILE CA (1907 TO DATE)  
 4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 317 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 8 OF 8 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 20283-92-5 REGISTRY  
 ED Entered STN: 16 Nov 1984  
 CN Benzenepropanoic acid,  $\alpha$ -[[ (2E)-3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]oxy]-3,4-dihydroxy-, ( $\alpha$ R)- (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Benzenepropanoic acid,  $\alpha$ -[[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]oxy]-3,4-dihydroxy-, [R-(E)]-  
 CN Cinnamic acid, 3,4-dihydroxy-, 2-ester with 3-(3,4-dihydroxyphenyl)lactic acid (8CI)  
 CN Rosmarinic acid (6CI, 7CI)  
 OTHER NAMES:  
 CN Mamorekku RUH 2  
 CN RM 21A  
 CN Rosemaric acid  
 CN Rosemary acid  
 FS STEREOSEARCH  
 MF C18 H16 O8  
 CI COM  
 LC STN Files: AGRICOLA, ANABSTR, BEILSTEIN\*, BIOSIS, BIOTECHNO, CA, CAOLD, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSChem, DDFU, DRUGU, EMBASE, IPA, NAPRALERT, PHAR, PROMT, PROUSDDR, RTECS\*, SPECINFO, TOXCENTER, USPAT2, USPATFULL  
 (\*File contains numerically searchable property data)

Absolute stereochemistry. Rotation (+).  
 Double bond geometry as shown.

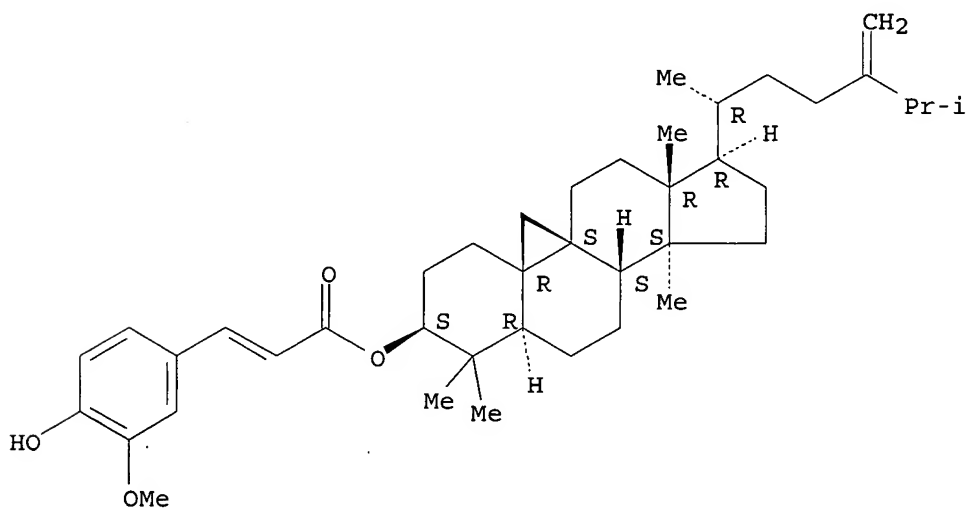


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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 939 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
 5 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L7 ANSWER 17 OF 17 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 469-36-3 REGISTRY  
 ED Entered STN: 16 Nov 1984  
 CN 9,19-Cyclolanostan-3-ol, 24-methylene-, 3-(4-hydroxy-3-methoxyphenyl)-2-propenoate, (3 $\beta$ )-(9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN 1H,19H-Cyclopropa[9,10]cyclopenta[a]phenanthrene, 9,19-cyclolanostan-3-ol deriv.  
 CN 9,19-Cyclo-9 $\beta$ -lanostan-3 $\beta$ -ol, 24-methylene-, 4-hydroxy-3-methoxycinnamate (8CI)  
 CN Cinnamic acid, 4-hydroxy-3-methoxy-, 24-methylene-9,19-cyclo-9 $\beta$ -lanostan-3 $\beta$ -yl ester (8CI)  
 CN Oryzanol C (6CI)  
 OTHER NAMES:  
 CN 24-Methylenecycloartanol ferulate  
 CN 24-Methylenecycloartanol ferulic acid ester  
 CN 24-Methylenecycloartanyl ferulate  
 FS STEREOSEARCH  
 DR 97818-51-4, 119104-04-0, 100109-90-8, 116846-86-7  
 MF C41 H60 O4  
 CI COM  
 LC STN Files: AGRICOLA, BEILSTEIN\*, BIOSIS, CA, CAOLD, CAPLUS, CHEMCATS, MRCK\*, TOXCENTER, USPATFULL  
 (\*File contains numerically searchable property data)

Absolute stereochemistry.  
 Double bond geometry unknown.



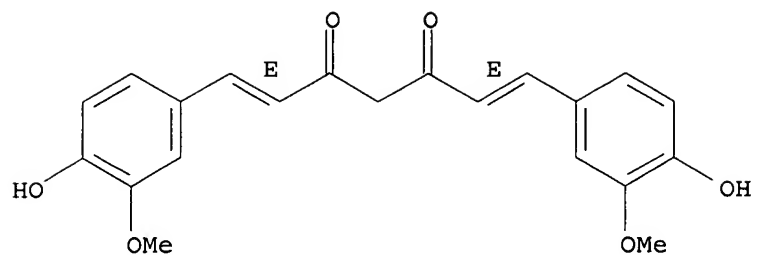
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

55 REFERENCES IN FILE CA (1907 TO DATE)  
 56 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
 3 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=>

L8 ANSWER 66 OF 66 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 458-37-7 REGISTRY  
 ED Entered STN: 16 Nov 1984  
 CN 1,6-Heptadiene-3,5-dione, 1,7-bis(4-hydroxy-3-methoxyphenyl)-, (1E,6E)-  
 (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN 1,6-Heptadiene-3,5-dione, 1,7-bis(4-hydroxy-3-methoxyphenyl)-, (E,E)-  
 (8CI)  
 CN Curcumin (6CI)  
 OTHER NAMES:  
 CN (E,E)-1,7-Bis(4-hydroxy-3-methoxyphenyl)-1,6-heptadiene-3,5-dione  
 CN C Yellow 15  
 CN C.I. 75300  
 CN C.I. Natural Yellow 3  
 CN Curcuma  
 CN Curcumin I  
 CN Curcumine  
 CN Diferuloylmethane  
 CN E 100  
 CN E 100 (dye)  
 CN Haidr  
 CN Halad  
 CN Haldar  
 CN Halud  
 CN Indian Saffron  
 CN Kacha Haldi  
 CN Merita Earth  
 CN Natural Yellow 3  
 CN NSC 32982  
 CN San-Ei Curcumine AL  
 CN San-Ei Gen Curcumine AL  
 CN Souchet  
 CN Terra Merita  
 CN trans,trans-Curcumin  
 CN Turmeric  
 CN Turmeric (dye)  
 CN Turmeric yellow  
 CN Ukon  
 CN Ukon (dye)  
 CN Yellow Ginger  
 CN Yellow Root  
 CN Yo-Kin  
 FS STEREOSEARCH  
 DR 15845-47-3, 73729-23-4, 79257-48-0, 91884-86-5, 33171-04-9  
 MF C21 H20 O6  
 CI COM  
 LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN\*,  
 BIOSIS, BIOTECHNO, CA, CABA, CAOLD, CAPLUS, CASREACT, CBNB, CHEMCATS,  
 CHEMLIST, CIN, CSCHEM, DDFU, DRUGU, EMBASE, HSDB\*, IFICDB, IFIPAT,  
 IFIUDB, IMSRESEARCH, IPA, MEDLINE, MRCK\*, MSDS-OHS, NAPRALERT, PHAR,  
 PIRA, PROMT, PROUSDDR, RTECS\*, SPECINFO, SYNTHLINE, TOXCENTER, ULIDAT,  
 USPAT2, USPATFULL  
 (\*File contains numerically searchable property data)  
 Other Sources: DSL\*\*, EINECS\*\*, TSCA\*\*  
 (\*\*Enter CHEMLIST File for up-to-date regulatory information)

Double bond geometry as shown.



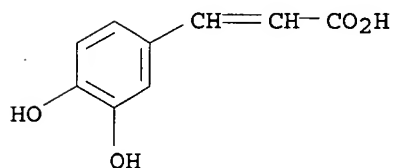
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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132 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
2868 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
21 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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L9 ANSWER 116 OF 118 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 331-39-5 REGISTRY  
 ED Entered STN: 16 Nov 1984  
 CN 2-Propenoic acid, 3-(3,4-dihydroxyphenyl)- (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Cinnamic acid, 3,4-dihydroxy- (8CI)  
 OTHER NAMES:  
 CN 3,4-Dihydroxybenzeneacrylic acid  
 CN 3,4-Dihydroxycinnamic acid  
 CN 3-(3,4-Dihydroxyphenyl)-2-propenoic acid  
 CN 3-(3,4-Dihydroxyphenyl)propenoic acid  
 CN 4-(2'-Carboxyvinyl)-1,2-dihydroxybenzene  
 CN 4-(2-Carboxyethenyl)-1,2-dihydroxybenzene  
 CN Caffeic acid  
 CN NSC 57197  
 CN NSC 623438  
 MF C9 H8 O4  
 CI COM  
 LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN\*, BIOSIS,  
 BIOTECHNO, CA, CABA, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMLIST, CIN,  
 CSCHEM, DDFU, DRUGU, EMBASE, HSDB\*, IFICDB, IFIPAT, IFIUDB, IPA,  
 MEDLINE, MRCK\*, MSDS-OHS, NAPRALERT, PIRA, PROMT, PS, RTECS\*, SPECINFO,  
 SYNTHLINE, TOXCENTER, ULIDAT, USPAT2, USPATFULL, VETU  
 (\*File contains numerically searchable property data)  
 Other Sources: DSL\*\*, EINECS\*\*  
 (\*\*Enter CHEMLIST File for up-to-date regulatory information)

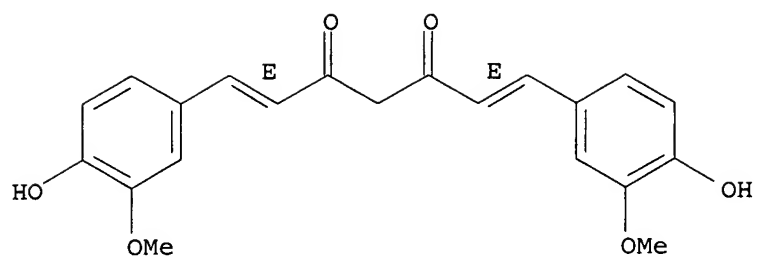


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

7275 REFERENCES IN FILE CA (1907 TO DATE)  
 423 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 7329 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
 12 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L19 ANSWER 66 OF 66 REGISTRY COPYRIGHT 2007 ACS on STN  
 RN 458-37-7 REGISTRY  
 ED Entered STN: 16 Nov 1984  
 CN 1,6-Heptadiene-3,5-dione, 1,7-bis(4-hydroxy-3-methoxyphenyl)-, (1E,6E)-(9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN 1,6-Heptadiene-3,5-dione, 1,7-bis(4-hydroxy-3-methoxyphenyl)-, (E,E)-(8CI)  
 CN Curcumin (6CI)  
 OTHER NAMES:  
 CN (E,E)-1,7-Bis(4-hydroxy-3-methoxyphenyl)-1,6-heptadiene-3,5-dione  
 CN C Yellow 15  
 CN C.I. 75300  
 CN C.I. Natural Yellow 3  
 CN Curcuma  
 CN Curcumin I  
 CN Curcumine  
 CN Diferuloylmethane  
 CN E 100  
 CN E 100 (dye)  
 CN Haidr  
 CN Halad  
 CN Haldar  
 CN Halud  
 CN Indian Saffron  
 CN Kacha Haldi  
 CN Merita Earth  
 CN Natural Yellow 3  
 CN NSC 32982  
 CN San-Ei Curcumine AL  
 CN San-Ei Gen Curcumine AL  
 CN Souchet  
 CN Terra Merita  
 CN trans,trans-Curcumin  
 CN Turmeric  
 CN Turmeric (dye)  
 CN Turmeric yellow  
 CN Ukon  
 CN Ukon (dye)  
 CN Yellow Ginger  
 CN Yellow Root  
 CN Yo-Kin  
 FS STEREOSEARCH  
 DR 15845-47-3, 73729-23-4, 79257-48-0, 91884-86-5, 33171-04-9  
 MF C21 H20 O6  
 CI COM  
 LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN\*, BIOSIS, BIOTECHNO, CA, CABA, CAOLD, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHM, DDFU, DRUGU, EMBASE, HSDB\*, IFICDB, IFIPAT, IPIUDB, IMSRESEARCH, IPA, MEDLINE, MRCK\*, MSDS-OHS, NAPRALERT, PHAR, PIRA, PROMT, PROUSDDR, RTECS\*, SPECINFO, SYNTHLINE, TOXCENTER, ULIDAT, USPAT2, USPATFULL  
 (\*File contains numerically searchable property data)  
 Other Sources: DSL\*\*, EINECS\*\*, TSCA\*\*  
 (\*\*Enter CHEMLIST File for up-to-date regulatory information)

Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2843 REFERENCES IN FILE CA (1907 TO DATE)  
132 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
2868 REFERENCES IN FILE CAPLUS (1907 TO DATE)  
21 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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